



STIC Search Report

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STIC Database Tracking Number: 191467

TO: Kahsay Habte
Location: REM-5C15&5C18
Art Unit: 1624
Tuesday, June 06, 2006
Case Serial Number: 10/757023

From: Saloni Sharma
Location: Biotech-Chem Library
REM-1A64
Phone: (571)272-8601

saloni.sharma@uspto.gov

Search Notes

Examiner Habte,

See attached results.

If you have any questions about this search feel free to contact me at any time.

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Saloni Sharma
Technical Information Specialist
STIC Biotech/Chem Library
(571)272-8601

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None or
few.

191467

Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: Kahsay Habte Examiner #: 78271 Date: 5/31/06
Art Unit: 1624 Phone Number: 2-0667 Serial Number: 101257023
Location (Bldg/Room#): REN 5C-15 (Mailbox #): 5C-18 Results Format Preferred (circle): PAPER DISK

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: _____

Inventors (please provide full names): _____

Earliest Priority Date: (2020)

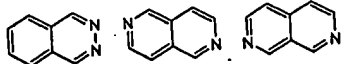
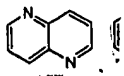
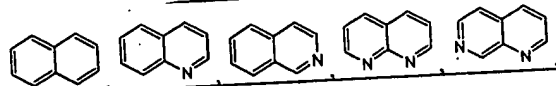
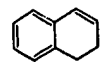
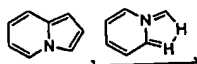
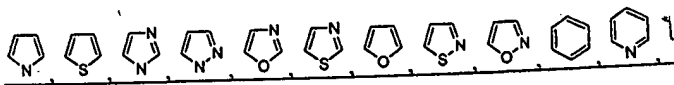
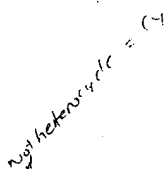
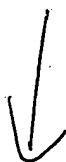
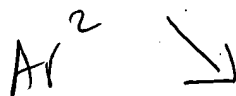
Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*


$$Ar' = \text{Aryl}$$

Ar = Aryl
Ar² = All five membered rings, pyridines, Quinoline, Isoquinoline
Naphthyridines, see below


$$H = C$$

Satori Shume

Stn ✓

Date pickup 6/1/06

Date finished 6/5/06

Prep time = 80 min

Online time = 65 min

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(FILE 'HOME' ENTERED AT 09:13:21 ON 06 JUN 2006)

FILE 'CAPLUS' ENTERED AT 09:13:27 ON 06 JUN 2006

E US2004-757023/APPS

L1 1 SEA ABB=ON PLU=ON US2004-757023/AP
SEL RN L1

FILE 'REGISTRY' ENTERED AT 09:14:10 ON 06 JUN 2006

L2 34 SEA ABB=ON PLU=ON (106-55-8/BI OR 124-40-3/BI OR 130182-29-5/
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2032-35-1/BI OR 21705-40-8/BI OR 309915-22-8/BI OR 309915-23-9/
BI OR 3167-49-5/BI OR 352-11-4/BI OR 36052-24-1/BI OR 436848-15
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-5/BI OR 436848-23-6/BI OR 436848-24-7/BI OR 436848-25-8/BI OR
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63909-58-0/BI OR 7210-76-6/BI OR 79-37-8/BI OR 79099-07-3/BI
OR 92822-02-1/BI OR 92822-03-2/BI)
D SCAN

FILE 'CAPLUS' ENTERED AT 09:15:41 ON 06 JUN 2006

E MAVUNKEL B/AU

L3 45 SEA ABB=ON PLU=ON ("MAVUNKEL B"/AU OR "MAVUNKEL B J"/AU OR
"MAVUNKEL BABU"/AU OR "MAVUNKEL BABU J"/AU OR "MAVUNKEL BABU
JOSEPH"/AU)

E DUGAR S/AU

L4 100 SEA ABB=ON PLU=ON ("DUGAR S"/AU OR "DUGAR S K"/AU OR "DUGAR
S M"/AU OR "DUGAR S V"/AU OR "DUGAR SUNDEEP"/AU)

E LUEDTKE G/AU

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"LUEDTKE GREG"/AU OR "LUEDTKE GREGORY"/AU OR "LUEDTKE GREGORY
R"/AU OR "LUEDTKE GREGORY RANDALL"/AU)

E MCENROE G/AU

L6 27 SEA ABB=ON PLU=ON ("MCENROE G"/AU OR "MCENROE GLEN"/AU OR
"MCENROE GLENN"/AU OR "MCENROE GLENN A"/AU)

E TAN X/AU

L7 1389 SEA ABB=ON PLU=ON TAN X?/AU

L8 22 SEA ABB=ON PLU=ON (L3 AND (L4 OR L5 OR L6 OR L7)) OR (L4 AND
(L5 OR L6 OR L7)) OR (L5 AND (L6 OR L7)) OR (L6 AND L7)

FILE 'STNGUIDE' ENTERED AT 09:20:39 ON 06 JUN 2006

FILE 'REGISTRY' ENTERED AT 09:22:26 ON 06 JUN 2006

L9 STRUCTURE UPLOADED

L10 50 SEA SSS SAM L9

FILE 'REGISTRY' ENTERED AT 09:23:48 ON 06 JUN 2006

L11 STRUCTURE UPLOADED

L12 50 SEA SSS SAM L11

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FILE 'STNGUIDE' ENTERED AT 09:34:22 ON 06 JUN 2006

FILE 'REGISTRY' ENTERED AT 10:07:20 ON 06 JUN 2006

L13 STRUCTURE UPLOADED

L14 1 SEA SSS SAM L13

D SCAN

L15 250 SEA SSS FUL L13

FILE 'CAPLUS' ENTERED AT 10:09:41 ON 06 JUN 2006

L16 17 SEA ABB=ON PLU=ON L15

L17 17 SEA ABB=ON PLU=ON (L16 OR L1)

L18 0 SEA ABB=ON PLU=ON L17 NOT (PY>2000 OR AY>2000 OR PRY>2000)

FILE 'BEILSTEIN' ENTERED AT 10:10:29 ON 06 JUN 2006

L19 0 SEA SSS FUL L13

FILE 'MARPAT' ENTERED AT 10:11:02 ON 06 JUN 2006

L20 1 SEA SSS SAM L13

L21 56 SEA SSS FUL L13

L22 44 SEA ABB=ON PLU=ON L21 NOT L16

L23 54 SEA ABB=ON PLU=ON L21/COM

L24 42 SEA ABB=ON PLU=ON L23 NOT L16

SAVE L24 HABTE023/A TEMP

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FILE LAST UPDATED: 5 Jun 2006 (20060605/ED)

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L3 45 SEA FILE=CAPLUS ABB=ON PLU=ON ("MAVUNKEL B"/AU OR "MAVUNKEL B J"/AU OR "MAVUNKEL BABU"/AU OR "MAVUNKEL BABU J"/AU OR "MAVUNKEL BABU JOSEPH"/AU)

L4 100 SEA FILE=CAPLUS ABB=ON PLU=ON ("DUGAR S"/AU OR "DUGAR S K"/AU OR "DUGAR S M"/AU OR "DUGAR S V"/AU OR "DUGAR SUNDEEP"/AU)

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L6 27 SEA FILE=CAPLUS ABB=ON PLU=ON ("MCENROE G"/AU OR "MCENROE GLEN"/AU OR "MCENROE GLENN"/AU OR "MCENROE GLENN A"/AU)

L7 1389 SEA FILE=CAPLUS ABB=ON PLU=ON TAN X?/AU

L8 22 SEA FILE=CAPLUS ABB=ON PLU=ON (L3 AND (L4 OR L5 OR L6 OR L7)) OR (L4 AND (L5 OR L6 OR L7)) OR (L5 AND (L6 OR L7)) OR

(L6 AND L7)

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L8 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:488573 CAPLUS

TITLE: Inhibition of p38 α MAPK enhances proteasome inhibitor-induced apoptosis of myeloma cells by modulating Hsp27, Bcl-XL, Mcl-1 and p53 levels in vitro and inhibits tumor growth in vivo

AUTHOR(S): Navas, T. A.; Nguyen, A. N.; Hideshima, T.; Reddy, M.; Ma, J. Y.; Haghazari, E.; Henson, M.; Stebbins, E. G.; Kerr, I.; O'Young, G.; Kapoun, A. M.; Chakravarty, S.; Mavunkel, B.; Perumattam, J.; Luedtke, G.; Dugar, S.; Medicherla, S.; Protter, A. A.; Schreiner, G. F.; Anderson, K. C.; Higgins, L. S.

CORPORATE SOURCE: Scios, Inc., Fremont, CA, USA

SOURCE: Leukemia (2006), 20(6), 1017-1027

CODEN: LEUKED; ISSN: 0887-6924

PUBLISHER: Nature Publishing Group

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Inhibition of p38 kinase blocks the production of tumor-promoting factors in the multiple myeloma (MM) bone marrow microenvironment. Proteasome inhibitors MG132 and bortezomib have been shown to have direct cytotoxic effects on MM cells. We show that a selective inhibitor of p38 α , SCIO-469, enhances the ability of MG132 and bortezomib to induce the apoptosis of MM cells. Previously, we showed that p38 inhibition with SCIO-469 enhances MM cytotoxicity of bortezomib by inhibiting the transient expression and phosphorylation of Hsp27, a downstream target of p38. Here we show that continued treatment of MM cells with bortezomib leads to a SCIO-469-enhanced downregulation of Hsp27 and to increased MM apoptosis. Furthermore, we show that p38 inhibition enhances the bortezomib-induced MM apoptosis by upregulation of p53 and downregulation of Bcl-XL and Mcl-1. In a mouse xenograft plasmacytoma model of MM, we found that inhibiting p38 augments the effects of bortezomib in decreasing MM tumor growth in vivo. Thus, in addition to its role in suppressing an activated MM microenvironment, co-treatment with a p38 inhibitor, such as SCIO-469, may enhance the cytotoxicity of bortezomib by modulating pro-apoptotic and anti-apoptotic factors in MM cells, suggesting great potential for co-therapy. Leukemia (2006) 20, 1017-1027.

L8 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1351110 CAPLUS

DOCUMENT NUMBER: 144:88316

TITLE: Preparation of azaindoles as inhibitors of p38 kinase

INVENTOR(S): Mavunkel, Babu J.; Perumattam, John J.; Lu, Qing; Dugar, Sundeep; Goyal, Bindu; Wang, Dan X.; Chakravarty, Sarvajit; Luedtke, Gregory R.; Nashashibi, Imad; Tester, Richland; Tan, Xuefei

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 83 pp., Cont.-in-part of U.S. Ser. No. 683,656.

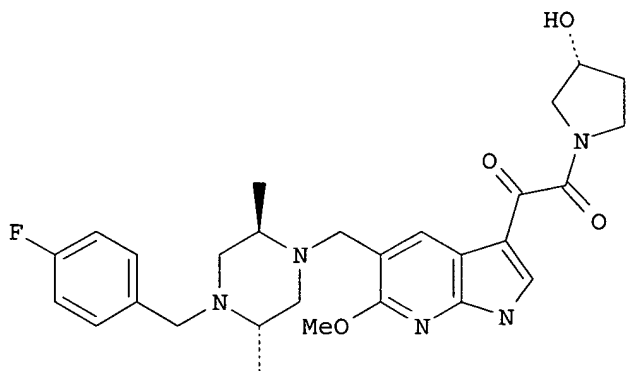
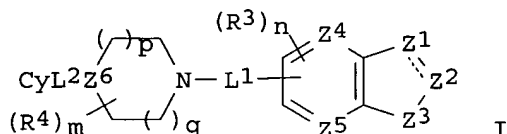
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|------------------|-----------------|-------------|
| US 2005288299 | A1 | 20051229 | US 2005-107027 | 20050415 |
| US 2004176598 | A1 | 20040909 | US 2003-683656 | 20031009 |
| PRIORITY APPLN. INFO.: | | | US 2002-417599P | P 20021009 |
| | | | US 2003-683656 | A2 20031009 |
| OTHER SOURCE(S): | | MARPAT 144:88316 | | |
| GI | | | | |



AB Title compds. [I; dotted line = optional double bond; 1 of Z1, Z2 = CQ, CR1Q, the other = CRR1, C(R1)2; Q = R1, WiCOXjY; W, X = (substituted) alkylene, alkenylene, alkynylene, heteroalkylene; i, j = 0, 1; Y = COR2, isostere; Z3 = NR7, O, S; Z4, Z5 = N, CH, CR3, or 1 of Z4, Z5 = C to which L1 is linked; ≥1 of Z4, Z5 = N; Z6 = N, CR5; L1, L2 = (substituted) alkylene, alkenylene, alkynylene, heteroalkylene; Cy = 1-2 (substituted) (fused) 3-7 membered ring(s); R1, R2, R5, R7 = H, R3; R3 = (substituted) alkyl, heteroalkyl, alkenyl, heteroalkenyl, alkynyl, heteroalkynyl, acyl, heteroacyl, aryl, heteroaryl, halo, etc.; R4 = R3, O, NCN, etc.; n = 0-2; m = 0-4; p, q = 0-2; p+k = 0-3], were prepared Thus, title compound (II) inhibited p38α with IC50 = 0.01 μM.

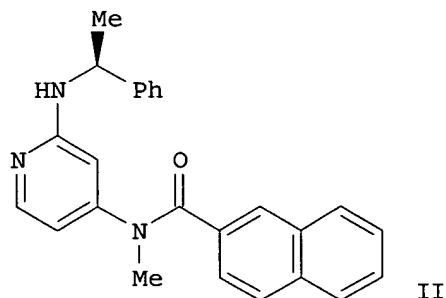
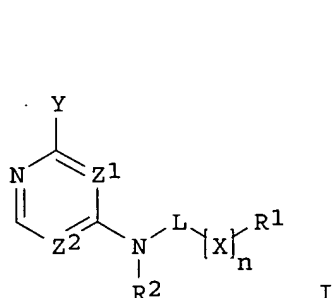
L8 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:324132 CAPLUS
DOCUMENT NUMBER: 142:392427
TITLE: Preparation of N-heterocyclyl amides and sulfonamides as p38 kinase inhibitors
INVENTOR(S): Dugar, Sundeep; McEnroe, Glen
PATENT ASSIGNEE(S): Scios Inc., USA
SOURCE: PCT Int. Appl., 195 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2005033072 | A2 | 20050414 | WO 2004-US32403 | 20040930 |
| WO 2005033072 | A3 | 20060112 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-507633P P 20030930
 OTHER SOURCE(S): MARPAT 142:392427
 GI



AB The title compds. I [R1 = alkyl, cycloalkyl, heterocycloalkyl, aryl; L = CO, SO2; X = O, CO, (un)substituted CH2, NH; n = 0-3; R2 = H, alkyl, aryl, etc.; Y = (un)substituted NH2, OH; one of Z1 and Z2 = CH, and the other is either CH or N], useful for inhibiting p38 kinase, were prepared E.g., a multi-step synthesis of (1S)-II, starting from 4-amino-2-chloropyridine and 2-naphthoyl chloride, was given. The compds. I were tested against p38 α kinase in the diluted whole blood assay (biol. data were given for representative compds. I). The pharmaceutical composition comprising the compound I is disclosed.

L8 ANSWER 4 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:324006 CAPLUS

DOCUMENT NUMBER: 142:392425

TITLE: Preparation of 2-phenyl-N-4-pyridinyl-4-pteridinamines and related compounds as TGF- β inhibitors

INVENTOR(S): Dugar, Sundeep; Chakravarty, Sarvajit; Murphy, Alison; Mcenroe, Glen; Conte, Aurelia; Perumattam, John J.

PATENT ASSIGNEE(S): Scios Inc., USA

SOURCE: PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| ----- | ---- | ----- | ----- | ----- |
| WO 2005032481 | A2 | 20050414 | WO 2004-US32430 | 20040930 |
| WO 2005032481 | A3 | 20050616 | | |
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| RW: | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| US 2005096333 | A1 | 20050505 | US 2004-957183 | 20040930 |
| PRIORITY APPLN. INFO.: | | | US 2003-507910P | P 20030930 |
| OTHER SOURCE(S): | MARPAT 142:392425 | | | |
| GI | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = (R1)m; B = (R2)n; C = Z5; D = Z6; E = Z7; F = Z8; m, n = 0-3; R1 = OH, SH, NH2, etc.; R2 = NH2, CONH2, R, etc.; R = (un)substituted alkyl, alkenyl, alkynyl, etc.; Z5, Z6, Z7, Z8 = N or CH with provisos] and their pharmaceutically acceptable salts were prepared. For example, N-alkylation of 4-aminopyridine with 4-chloropteridine II, e.g., prepared from Me 3-amino-2-pyrazinecarboxylate in 3-steps, afforded pyridinylpteridinamine III in 36% yield. In TGF- β inhibition assays, 47-examples of compds. I exhibited IC50 values <5 μ M. Compds. I are claimed to be useful for the treatment of conditions characterized by enhanced TGF β activity.

L8 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:232421 CAPLUS

DOCUMENT NUMBER: 142:316692

TITLE: Preparation of indolylcarboxamide derivatives as inhibitors of p38 kinase

INVENTOR(S): **Mavunkel, Babu J.**; Chakravarty, Sarvajit; Perumattam, John J.; **Dugar, Sundeep**; Lu, Qing; Liang, Xi

PATENT ASSIGNEE(S): Scios, Inc., USA

SOURCE: U.S., 65 pp., Cont.-in-part of U.S. 6,589,954.
 CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

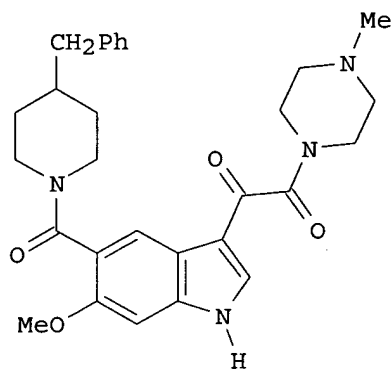
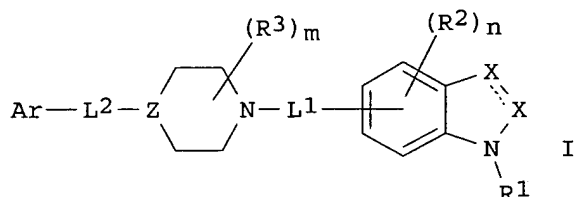
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|-------|-----------------|-------|
| ----- | ---- | ----- | ----- | ----- |

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|------------------------|----|----------|-----------------|-------------|
| US 6867209 | B1 | 20050315 | US 2000-575060 | 20000519 |
| US 6130235 | A | 20001010 | US 1998-128137 | 19980803 |
| US 6340685 | B1 | 20020122 | US 1999-275176 | 19990324 |
| US 6589954 | B1 | 20030708 | US 1999-316761 | 19990521 |
| US 2003158417 | A1 | 20030821 | US 2002-146703 | 20020514 |
| US 2003144520 | A1 | 20030731 | US 2002-157048 | 20020528 |
| US 6864260 | B2 | 20050308 | | |
| US 2003162970 | A1 | 20030828 | US 2002-156996 | 20020528 |
| US 2003195355 | A1 | 20031016 | US 2002-156997 | 20020528 |
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| | | | US 1998-128137 | A2 19980803 |
| | | | US 1999-275176 | A2 19990324 |
| | | | US 1999-316761 | A2 19990521 |
| | | | US 1999-154594P | P 19990917 |
| | | | US 2000-202608P | P 20000509 |
| | | | US 2000-575060 | A1 20000519 |

OTHER SOURCE(S) : MARPAT 142:316692

GI



AB Title compds. I [X independently = CA, CR4A, CR5, CR52, NR6, or N; L1 = CO, SO2, or alkylene; L2 = (un)substituted-alkylene or -alkenylene; Ar = (un)substituted aryl group with substituents consisting of alkyl, alkenyl, halo, CN, etc.; Z = N or CR7 wherein R7 = H or non-interfering substituent; R1 = H, alkyl, alkenyl, alkynyl, aryl, arylalkyl, etc.; R2 independently = halo, alkyl, OH, alkoxy, etc.; R3 independently = CN, CF3, NO2, alkyl, aryl, acyl, etc.; R4 = H, halo, alkyl or alkenyl; R5 independently = H, halo, alkyl, OH, etc.; R6 = H, alkyl, alkenyl, aryl, acyl, aroyl, etc.; A = -WiCOXjY wherein Y is COR8 wherein R8 = H, (un)substituted-alkyl, -alkenyl, -alkynyl, etc.; W and X =

(un)substituted-alkylene, -alkenylene, -alkynylene; Y = tetrazole, 1,2,3-triazole, 1,2,4-triazole, or imidazole and each of i and j independently = 0 or 1; m = 0-4; n = 0-3], and their pharmaceutically acceptable salts are prepared and disclosed as useful for treatment of rheumatoid arthritis. Thus, e.g., II, was prepared by carbonylation of 6-methoxy-(4-benzylpiperidinyl)-indole-5-carboxamide with oxalyl chloride and subsequent amination using 4-methylpiperazine. ELISA assays for evaluation of inhibition of p38 kinase by I revealed that all compds. of the invention possessed IC₅₀ values in the range of 0.1-1.5 μ M. I as inhibitors of p38 kinase should prove useful in the treatment of rheumatoid arthritis.

REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:191633 CAPLUS

TITLE: p38 α MAP kinase inhibitors: From discovery to the clinic

AUTHOR(S): **Dugar, Sundeep; Mavunkel, Babu;**
Chakravarty, Sarvajit; Perumattam, John; **Luedtke, Greg;** Lu, Qing; Chen, Zheng; Xu, Yong-jing;
Protter, Andrew; Schreiner, George; Almirez, Ramona;
Scott, Brian; Laney, Maureen; Henson, Margaret;
Lewicki, John; Moore, Adrian; Lee, Sarah; Brahn, Earnest; Liu, David

CORPORATE SOURCE: Scios, Inc, Fremont, CA, 94555, USA

SOURCE: Abstracts of Papers, 229th ACS National Meeting, San Diego, CA, United States, March 13-17, 2005 (2005), MEDI-300. American Chemical Society: Washington, D. C.

CODEN: 69GQMP

DOCUMENT TYPE: Conference; Meeting Abstract

LANGUAGE: English

AB P38 α MAP kinase is an intracellular soluble serine threonine kinase which is activated in response to stress, growth factors and cytokines, such as IL-1 β and TNF- α . Its activation has been shown to further activate proteins and transcription factors that lead to the production of several key pro-inflammatory and inflammatory cytokines. P38 α MAP kinase has an important patho-physiol. role in diseases, such as rheumatoid arthritis, where chronic inflammation is said to play a causal role. In recent years there have been several reports of efforts to find small mol. inhibitors of this enzyme as potential therapy in several disease areas. This presentation describes the SAR, in-vitro and in-vivo characterization of a class of highly specific, indole based piperidine amide inhibitors of p38 α .

L8 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:1029578 CAPLUS

DOCUMENT NUMBER: 142:132714

TITLE: p38 Inhibition attenuates the pro-inflammatory response to C-reactive protein by human peripheral blood mononuclear cells

AUTHOR(S): Lim, Moon Y.; Wang, Hui; Kapoun, Ann M.; O'Connell, Maile; O'Young, Gilbert; Brauer, Heather Ann;
Luedtke, Gregory R.; Chakravarty, Sarvajit;
Dugar, Sundeep; Schreiner, George S.; Protter, Andrew A.; Higgins, Linda S.

CORPORATE SOURCE: Scios Inc., Fremont, CA, 94555, USA

SOURCE: Journal of Molecular and Cellular Cardiology (2004),

37(6), 1111-1114

CODEN: JMCDAJ; ISSN: 0022-2828

PUBLISHER: Elsevier B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB An active role for C-reactive protein (CRP) in inflammatory vascular diseases has been recently suggested. Monocytes play an important role in vascular pathol. and are activated by p38 mitogen activated protein kinase (MAPK) dependent mechanisms in many inflammatory settings. Therefore, we investigated whether CRP directly promotes a pro-inflammatory phenotype in human peripheral blood mononuclear cells (HPBMC) via p38 MAPK signaling. CRP exposure leads to a rapid phosphorylation of p38 MAPK in HPBMC. CRP-induced p38 kinase activity in HPBMC was blocked by treatment with an inhibitor of p38 kinase, SD-282. CRP-induced the expression of tissue factor protein and the secretion of IL-6, IL-8, IL-1 β , TNF α and PGE2. Co-exposure to CRP and SD-282 blocked the secretion of these pro-inflammatory and pro-thrombotic mediators. CRP treatment elevated IL-6, IL-8, IL-1 β , TNF α , COX-2 and TF mRNA expression. These effects of CRP also required p38 activity, since SD-282 blocked mRNA induction of each. Taken together these data suggest a mechanistic relationship between p38 MAPK signaling and CRP-induced pro-inflammatory and pro-thrombotic activities in HPBMC. Thus, p38 inhibition may represent a novel approach to attenuate inflammation and its consequences in cardiovascular disease.

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:857329 CAPLUS

DOCUMENT NUMBER: 141:332209

TITLE: Preparation of bicyclic pyrimidine inhibitors of TGF- β

INVENTOR(S): Dugar, Sundeeep; Chakravarty, Sarvajit; Conte, Aurelia; Axon, Jonathan; Mcenroe, Glenn

PATENT ASSIGNEE(S): Scios Inc., USA

SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

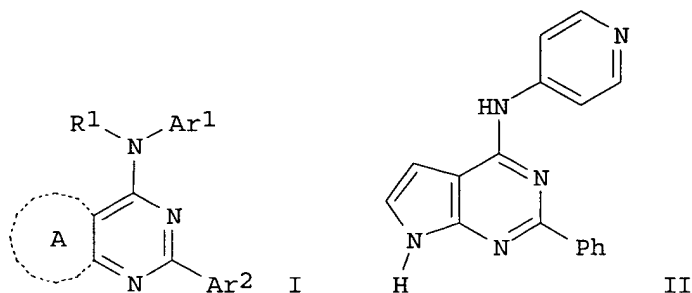
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2004087056 | A2 | 20041014 | WO 2004-US9300 | 20040326 |
| WO 2004087056 | A3 | 20050224 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2520465 | AA | 20041014 | CA 2004-2520465 | 20040326 |
| US 2005004143 | A1 | 20050106 | US 2004-811428 | 20040326 |

EP 1608631 A2 20051228 EP 2004-758392 20040326
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK
 PRIORITY APPLN. INFO.: US 2003-458982P P 20030328
 WO 2004-US9300 W 20040326
 OTHER SOURCE(S): MARPAT 141:332209
 GI



AB Title compds. I [R1 = H, (un)substituted-alkyl, -alkenyl, -alkynyl; Ar1 and Ar2 independently = (un)substituted aromatic or heteroarom. moiety; Ring A is (un)substituted, (un)saturated or aromatic and contains 4-7 members, wherein each member independently = C, N, O, or S], as well as their pharmaceutically acceptable salts, are prepared and disclosed as being useful for treating subjects with conditions ameliorated by inhibition of transforming growth factor- β (TGF- β) activity. Thus, e.g., II was prep'd by cyclocondensation of benzamidine hydrochloride with Et 2-cyano-4,4-diethoxybutyrate to form 2-phenylpyrrolo[2,3-d]pyrimidone which was chlorinated and substituted with 4-aminopyridine. In TGF- β assays, I were found to possess IC50 values ranging from 0.0145-16.141 μ M.

L8 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:658081 CAPLUS
 TITLE: Discovery and biological evaluation of p38 α MAP kinase inhibitor SX-011
 AUTHOR(S): Lu, Qing; **Mavunkel, Babu**; Chakravarty, Sarvajit; Perumattam, John; **Luedtke, Greg**; Chen, Zheng; Xu, Yong-jing; **Dugar, Sundeep**; Protter, Andrew; Schreiner, George; Almirez, Ramona; Scott, Brian; Laney, Maureen; Henson, Margaret; Lewicki, John; Moore, Adrian; Lee, Sarah; Brahn, Earnest; Liu, David
 CORPORATE SOURCE: Scios, Inc, Fremont, CA, 94555, USA
 SOURCE: Abstracts of Papers, 228th ACS National Meeting, Philadelphia, PA, United States, August 22-26, 2004 (2004), MEDI-217. American Chemical Society: Washington, D. C. CODEN: 69FTZ8
 DOCUMENT TYPE: Conference; Meeting Abstract
 LANGUAGE: English
 AB P38 α MAP kinase is an intracellular soluble serine threonine kinase which is activated in response to stress, growth factors and cytokines, such as IL-1 β and TNF- α . Its activation has been shown to further activate proteins and transcription factors that lead to the

production of several key pro-inflammatory and inflammatory cytokines. P38 α MAP kinase has an important patho-physiol. role in diseases, such as rheumatoid arthritis, where chronic inflammation is said to play a causal role. In recent years there have been several reports of efforts to find small mol. inhibitors of this enzyme as potential therapy in several disease areas. This presentation describes the SAR, in-vitro and in-vivo characterization of a representative (SX-011) from a class of highly specific, indole based piperidine amide inhibitors of p38 α of the general structure I.

L8 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:619571 CAPLUS

DOCUMENT NUMBER: 141:204904

TITLE: Targeting endogenous transforming growth factor β receptor signaling in SMAD4-deficient human pancreatic carcinoma cells inhibits their invasive phenotype
 AUTHOR(S): Subramanian, Gayathri; Schwarz, Roderich E.; Higgins, Linda; McEnroe, Glenn; Chakravarty, Sarvajit; Dugar, Sundeep; Reiss, Michael

CORPORATE SOURCE: Departments of Internal Medicine (Medical Oncology), The Cancer Institute of New Jersey, University of Medicine and Dentistry of New Jersey-Robert Wood Johnson Medical School, New Brunswick, NJ, 08903, USA
 SOURCE: Cancer Research (2004), 64(15), 5200-5211
 CODEN: CNREA8; ISSN: 0008-5472

PUBLISHER: American Association for Cancer Research

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Transforming growth factor- β (TGF- β) suppresses tumor formation by blocking cell cycle progression and maintaining tissue homeostasis. In pancreatic carcinomas, this tumor suppressive activity is often lost by inactivation of the TGF- β -signaling mediator, Smad4. The authors found that human pancreatic carcinoma cell lines that have undergone deletion of MADH4 constitutively expressed high endogenous levels of phosphorylated receptor-associated Smad proteins (pR-Smad2 and pR-Smad3), whereas Smad4-pos. lines did not. These elevated pR-Smad levels could not be attributed to a decreased dephosphorylation rate nor to increased expression of TGF- β type I (TBR-I) or type II (TBR-II) receptors. Although minimal amts. of free bioactive TGF- β 1 and TGF- β 2 were detected in conditioned medium, treatment with a pan-specific (but not a TGF- β 3 specific) TGF- β -neutralizing antibody and with anti- α V β 6 integrin antibody decreased steady-state pSmad2 levels and activation of a TGF- β -inducible reporter gene in neighboring cells, resp. Thus, activation of TGF- β at the cell surface was responsible for the increased autocrine endogenous and paracrine signaling. Blocking TBR-I activity using a selective kinase inhibitor (SD-093) strongly decreased the in vitro motility and invasiveness of the pancreatic carcinoma cells without affecting their growth characteristics, morphol., or the subcellular distribution of E-cadherin and F-actin. Moreover, exogenous TGF- β strongly stimulated in vitro invasiveness of BxPC-3 cells, an effect that could also be blocked by SD-093. Thus, the motile and invasive properties of Smad4-deficient pancreatic cancer cells are at least partly driven by activation of endogenous TGF- β signaling. Therefore, targeting the TBR-I kinase represents a potentially powerful novel therapeutic approach for the treatment of this disease.

REFERENCE COUNT: 87 THERE ARE 87 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:471693 CAPLUS

DOCUMENT NUMBER: 141:167694

TITLE: Selective inhibitors of type I receptor kinase block cellular transforming growth factor- β signalingAUTHOR(S): Ge, Rongrong; Rajeev, Vaishali; Subramanian, Gayathri; Reiss, Kim A.; Liu, David; Higgins, Linda; Joly, Alison; **Dugar, Sundeep**; Chakravarty, Jit; Henson, Margaret; **McEnroe, Glenn**; Schreiner, George; Reiss, Michael

CORPORATE SOURCE: Division of Medical Oncology, Department of Internal Medicine, UMDNJ-Robert Wood Johnson Medical School and The Cancer Institute of New Jersey, New Brunswick, NJ, USA

SOURCE: Biochemical Pharmacology (2004), 68(1), 41-50

CODEN: BCPA6; ISSN: 0006-2952

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Transforming growth factor (TGF β) is a 25-kDa dimeric polypeptide that plays a key role in a variety of physiolo. processes and disease states. Blocking TGF β signaling represents a potentially powerful and conceptually novel approach to the treatment of disorders in which the signaling pathway is constitutively activated, such as cancer, chronic inflammation with fibrosis and select immune disorders. In this paper, the authors describe the biolo. properties of a novel series of quinazoline-derived inhibitors of the type I transforming growth factor receptor kinase (T β KIs) that bind to the ATP-binding site and keep the kinase in its inactive conformation. These compds. effectively inhibited TGF β -induced Smad2 phosphorylation in cultured cells in vitro with an IC50 between 20 and 300 nM. Moreover, T β KIs were able to broadly block TGF β -induced reporter gene activation. Finally, T β KIs inhibited TGF β -mediated growth inhibition of normal murine mammary epithelial cells (NMuMG) and mink lung epithelial cells (Mv1Lu), and TGF β -induced epithelial-mesenchymal transdifferentiation (EMT) of NMuMG cells. Thus, these chemical T β KIs have the potential to be further developed as anti-cancer and -fibrosis agents. In addition, they represent valuable new tools for dissecting the biochem. mechanisms of TGF β signal transduction and understanding the role of TGF β signaling pathways in different physiolo. and disease processes.

REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:252350 CAPLUS

DOCUMENT NUMBER: 140:264537

TITLE: Pyrimidine and triazine compounds as inhibitors of TGF β , preparation thereof, and therapeutic useINVENTOR(S): Axon, Jonathan; Chakravarty, Sarvajit; **Dugar, Sundeep**; **McEnroe, Glen**; Murphy, Alison

PATENT ASSIGNEE(S): Scios Inc., USA

SOURCE: PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
|------------|------|------|-----------------|------|


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WO 2004024159      A1      20040325      WO 2003-US28590      20030910
W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
    CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
    GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
    LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
    OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
    TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW:  GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
    KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
    FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
    BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
CA 2498460          AA      20040325      CA 2003-2498460      20030910
AU 2003272324       A1      20040430      AU 2003-272324       20030910
US 2004132730       A1      20040708      US 2003-660115       20030910
EP 1549316          A1      20050706      EP 2003-754501       20030910
R:   AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
    IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
BR 2003014196       A       20050726      BR 2003-14196        20030910
JP 2006503043       T2      20060126      JP 2004-536518       20030910
PRIORITY APPLN. INFO.:      US 2002-409870P      P 20020910
                                WO 2003-US28590      W 20030910

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OTHER SOURCE(S): MARPAT 140:264537

AB Substituted pyrimidines and triazines are useful in the treatment to conditions associated with enhanced TGF β activity. Compound preparation is included.

L8 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:220433 CAPLUS

DOCUMENT NUMBER: 140:270879

TITLE: Preparation of piperidinylcarbonyl- and piperazinylcarbonylindolamines as p38 kinase inhibitors.

INVENTOR(S): Chakravarty, Sarvajit; Dugar, Sundeeep; Lu, Qing; Luedtke, Gregory R.; Mavunkel, Babu J.; Perumatam, John Joseph; Tester, Richland

PATENT ASSIGNEE(S): Scios Inc., USA

SOURCE: PCT Int. Appl., 117 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

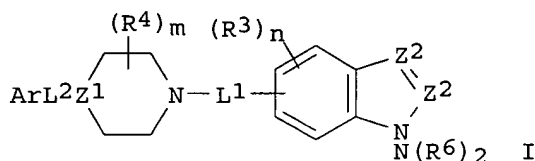
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2004022712 | A2 | 20040318 | WO 2003-US27761 | 20030903 |
| WO 2004022712 | A3 | 20040429 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2497408 | AA | 20040318 | CA 2003-2497408 | 20030903 |

AU 2003268464 A1 20040329 AU 2003-268464 20030903
 US 2004142940 A1 20040722 US 2003-654840 20030903
 EP 1545528 A2 20050629 EP 2003-749429 20030903
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 JP 2006506346 T2 20060223 JP 2004-534595 20030903
 PRIORITY APPLN. INFO.: US 2002-408493P P 20020903
 WO 2003-US27761 W 20030903
 OTHER SOURCE(S): MARPAT 140:270879
 GI



AB Title compds. [I; 1 Z2 = CA, the other = CR1; R1, R2, R5, R6 = H, noninterfering substituent; A = WiCOXjY; Y = COR2; W, X = spacer of 2-6Å; i, j = 0, 1; 2 R6 may form a 5-6 membered ring; m = 0-4; n = 0-3; L1, L2 = linker; R4 = noninterfering substituent; Z1 = N, CR5; Ar = (substituted) (fused) Ph, thienyl], were prepared for treatment of pro-inflammation response (no data). Thus, 1-(4-fluorobenzyl)-2S,5R-dimethylpiperazine, 6-chloroindole-5-carboxylic acid (preparation given), TBTU, and Et3N were stirred in DMF overnight to give 92% amide, which in CH2Cl2 at 0° was treated with (COCl)2 followed by stirring at room temperature for 5 h. Pyrrolidine was added followed by stirring for 1 h to give 71% 1-[6-chloro-5-[4-(4-fluorobenzyl)-2R,5S-dimethylpiperazine-1-carbonyl]-1H-indol-3-yl]-2-pyrrolidin-1-ylethane-1,2-dione. This was stirred with NaH in THF for 30 min.; O-(diphenylphosphinyl)hydroxylamine was added followed by stirring for 10 h to give 1-[1-amino-6-chloro-5-[4-(4-fluorobenzyl)-2R,5S-dimethylpiperazine-1-carbonyl]-1H-indol-3-yl]-2-pyrrolidin-1-ylethane-1,2-dione.

L8 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:60478 CAPLUS

DOCUMENT NUMBER: 140:111125

TITLE: Nitro(trifluoromethyl)phenylhydroxylamines as improved reagents for N-amination

INVENTOR(S): **Mavunkel, Babu**; Perumattam, John Joseph; Tester, Richland Wayne; **Dugar, Sundeep**

PATENT ASSIGNEE(S): Scios Inc., USA

SOURCE: PCT Int. Appl., 9 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2004007462 | A1 | 20040122 | WO 2003-US21888 | 20030711 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, | | | | |

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003261157 A1 20040202 AU 2003-261157 20030711
US 2005065344 A1 20050324 US 2003-618573 20030711
EP 1551807 A1 20050713 EP 2003-764582 20030711

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

PRIORITY APPLN. INFO.: US 2002-395693P P 20020711
WO 2003-US21888 W 20030711

OTHER SOURCE(S): CASREACT 140:111125; MARPAT 140:111125

AB The reagents are Ph hydroxylamines containing one nitro and at least one CF₃ substituent on the Ph moiety, for example O-(2-nitro-4-trifluoromethylphenyl)hydroxylamine and O-(4-nitro-2-trifluoromethylphenyl)hydroxylamine (I). Thus, stirring of Me indole-3-carboxylate with I in DMF in the presence of K₂CO₃ for 24 h gave 50% Me N-aminoindole-3-carboxylate.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:665552 CAPLUS

DOCUMENT NUMBER: 139:345323

TITLE: Indole-based heterocyclic inhibitors of p38 α MAP kinase: designing a conformationally restricted analogue

AUTHOR(S): Mavunkel, Babu J.; Chakravarty, Sarvajit; Perumattam, John J.; Luedtke, Gregory R.; Liang, Xi; Lim, Don; Xu, Yong-jin; Laney, Maureen; Liu, David Y.; Schreiner, George F.; Lewicki, John A.; Dugar, Sundeep

CORPORATE SOURCE: Scios Inc., Sunnyvale, CA, 94086, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(18), 3087-3090

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

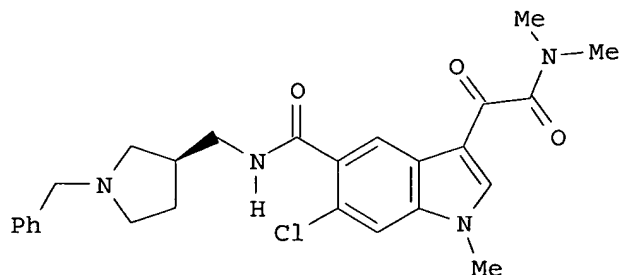
OTHER SOURCE(S): CASREACT 139:345323

AB P38 α Mitogen Activated Protein Kinase (MAP kinase) is an intracellular soluble serine threonine kinase. P38 α kinase is activated in response to cellular stresses, growth factors and cytokines such as interleukin-1 (IL-1) and tumor necrosis factor alpha (TNF- α). The central role of p38 α activation in settings of both chronic and acute inflammation has led efforts to find inhibitors of this enzyme as possible therapies for diseases such as rheumatoid arthritis, where p38 α activation is thought to play a causal role. Herein, we report structure-activity relationship studies on a series of indole-based heterocyclic inhibitors that led to the design and identification of a new class of p38 α inhibitors.

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2002:428896 CAPLUS
 DOCUMENT NUMBER: 137:6088
 TITLE: Preparation of indolecarboxamides as p38- α inhibitors
 INVENTOR(S): Dugar, Sundeep; Mavunkel, Babu J.; Luedtke, Gregory R.; Mcenroe, Glen
 PATENT ASSIGNEE(S): Scios Inc., USA
 SOURCE: PCT Int. Appl., 64 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-------------|
| WO 2002044168 | A2 | 20020606 | WO 2001-US43439 | 20011120 |
| WO 2002044168 | A3 | 20030522 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2429382 | AA | 20020606 | CA 2001-2429382 | 20011120 |
| AU 2002037657 | A5 | 20020611 | AU 2002-37657 | 20011120 |
| US 2003100588 | A1 | 20030529 | US 2001-989991 | 20011120 |
| US 6890938 | B2 | 20050510 | | |
| EP 1339708 | A2 | 20030903 | EP 2001-986461 | 20011120 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004536779 | T2 | 20041209 | JP 2002-546538 | 20011120 |
| US 2005171183 | A1 | 20050804 | US 2005-98905 | 20050404 |
| PRIORITY APPLN. INFO.: | | | US 2000-252163P | P 20001120 |
| | | | US 2001-989991 | A1 20011120 |
| | | | WO 2001-US43439 | W 20011120 |
| OTHER SOURCE(S): MARPAT 137:6088 | | | | |
| GI | | | | |



I

AB Title compds. were prepared as p38- α inhibitors (no data). Thus,

6-chloro-1-methyl-1H-indole-5-carboxylic acid was amidated by (R)-3-aminomethyl-1-benzylpyrrolidine followed by acylation and amidation to give title compound I.

L8 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:408665 CAPLUS

DOCUMENT NUMBER: 136:401784

TITLE: Preparation of piperidinylcarbonyl- and piperazinylcarbonylindolylglyoxylates and -amides as inhibitors of p38- α kinase

INVENTOR(S): Dugar, Sundeep; Luedtke, Gregory; Tan, Xuefei

PATENT ASSIGNEE(S): Scios Inc., USA

SOURCE: PCT Int. Appl., 97 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

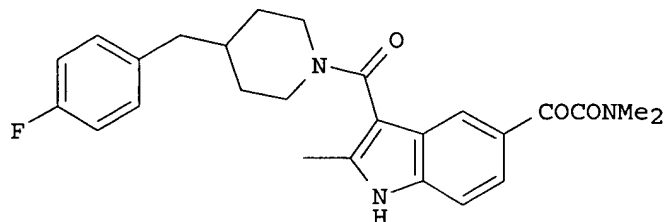
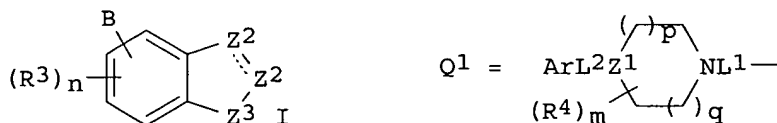
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|-------------|
| WO 2002042292 | A2 | 20020530 | WO 2001-US43441 | 20011120 |
| WO 2002042292 | A3 | 20021017 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2429605 | AA | 20020530 | CA 2001-2429605 | 20011120 |
| AU 2002026911 | A5 | 20020603 | AU 2002-26911 | 20011120 |
| US 2003092717 | A1 | 20030515 | US 2001-990187 | 20011120 |
| US 6821966 | B2 | 20041123 | | |
| EP 1341782 | A2 | 20030910 | EP 2001-995861 | 20011120 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | |
| JP 2004529859 | T2 | 20040930 | JP 2002-544426 | 20011120 |
| US 2005130965 | A1 | 20050616 | US 2004-992968 | 20041118 |
| PRIORITY APPLN. INFO.: | | | US 2000-252197P | P 20001120 |
| | | | US 2001-990187 | A3 20011120 |
| | | | WO 2001-US43441 | W 20011120 |

OTHER SOURCE(S): MARPAT 136:401784

GI



II

AB [Title compds. I; dotted line = optional double bond; B = WiCOXjY ; Y = COR2, isostere thereof; R2 = H, noninterfering substituent; W, X = spacer of 2-6 Å; i, j = 0, 1; R3 = noninterfering substituent; n = 0-3; Z3 = NR7, O; R7 = H, noninterfering substituent; 1 Z2 = C, CR8A, the other = CR1, C(R1)2, NR6, N; R1, R6, R8 = H, noninterfering substituent; A = Q1; Z1 = CR5, N; R5 = H, noninterfering substituent; p, q = 0-2; p+q = 0-3; Ar = aryl group substituted with 0-5 noninterfering substituents, wherein two noninterfering substituents can form a fused ring; R4 = noninterfering substituent; m is 0-4; L1, L2 = linker; the distance between the atom of Ar linked to L2 and the center of the Z2-containing ring = 4.5-24Å], were prepared as inhibitors of p38- α kinase (no data). Thus, title compound (II) was prepared in several steps starting from 4-nitrophenylglyoxylic acid.

L8 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:661422 CAPLUS

DOCUMENT NUMBER: 135:227015

TITLE: Preparation of piperidine and piperazine derivatives as inhibitors of p38- α kinase

INVENTOR(S): Goehring, Richard R.; **Mavunkel, Babu J.**; Liu, David Y.; Schreiner, George F.; **Luedtke, Gregory**; Lewicki, John A.

PATENT ASSIGNEE(S): Scios, Inc., USA

SOURCE: PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

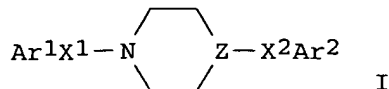
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2001064676 | A2 | 20010907 | WO 2001-US6715 | 20010228 |
| WO 2001064676 | A3 | 20020328 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, | | | |

BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 PRIORITY APPLN. INFO.: US 2000-185571P P 20000228
 OTHER SOURCE(S): MARPAT 135:227015
 GI



AB The title compds. I [Ar¹ = furanyl optionally substituted; X¹ = CO; Z = N, CH; X² = CH₂, isostere; Ar² = substituted Ph], inhibitors of p38-α kinase, were prepared E.g., 1-benzoyl-4-benzylpiperidine was prepared by reaction of 4-benzylpiperidine and PhCOCl.

L8 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:842127 CAPLUS

DOCUMENT NUMBER: 134:17503

TITLE: Preparation of 5-[4-benzylpiperidinyl(piperazinyl)]-indolecarboxamides as inhibitors of p38 kinase

INVENTOR(S): **Mavunkel, Babu J.**; Chakravarty, Sarvajit;
 Perumattam, John J.; **Dugar, Sundeep**; Lu,
 Qing; Liang, Xi

PATENT ASSIGNEE(S): Scios Inc., USA

SOURCE: PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

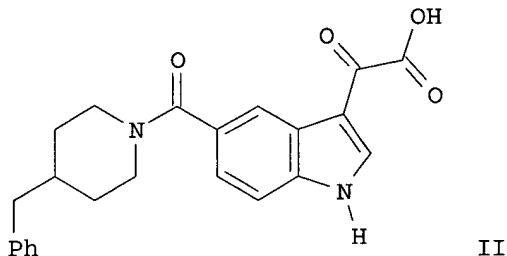
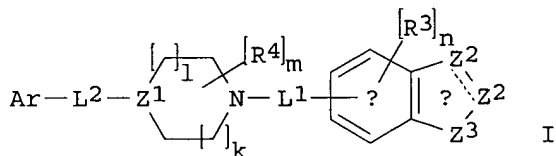
FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2000071535 | A1 | 20001130 | WO 2000-US14003 | 20000519 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6589954 | B1 | 20030708 | US 1999-316761 | 19990521 |
| CA 2372567 | AA | 20001130 | CA 2000-2372567 | 20000519 |
| EP 1178983 | A1 | 20020213 | EP 2000-939322 | 20000519 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| BR 2000011274 | A | 20020226 | BR 2000-11274 | 20000519 |
| NZ 515285 | A | 20040130 | NZ 2000-515285 | 20000519 |
| AU 772295 | B2 | 20040422 | AU 2000-54424 | 20000519 |
| BG 106091 | A | 20020628 | BG 2001-106091 | 20011108 |
| HR 2001000854 | A1 | 20030430 | HR 2001-854 | 20011119 |
| NO 2001005655 | A | 20020118 | NO 2001-5655 | 20011120 |
| AU 2004203356 | A1 | 20040819 | AU 2004-203356 | 20040722 |
| PRIORITY APPLN. INFO.: | | | US 1999-316761 | A 19990521 |
| | | | US 1999-154594P | P 19990917 |
| | | | US 2000-202608P | P 20000509 |

| | |
|-----------------|-------------|
| US 1998-86531P | P 19980522 |
| US 1998-128137 | A2 19980803 |
| US 1999-275176 | A2 19990324 |
| WO 2000-US14003 | W 20000519 |

OTHER SOURCE(S) : MARPAT 134:17503
GI



AB The title compds. [I; one Z2 = CA, CR8A and the other = CR1, CR12, NR6, N (wherein R1, R6, R8 = H, noninterfering substituent; A = WiCOXjY; Y = COR2, an isostere; R2 = H, noninterfering substituent; W, X = spacer of 2-6Å; i, j = 0-1); Z3 = NR7, O; R3 = noninterfering substituent; n = 0-3; L1, L2 = linker; R4 = noninterfering substituent; m = 0-4; Z1 = CR5, N (R5 = H, noninterfering substituent); l, k = 0-2, wherein the sum of l and k = 0-3; Ar = aryl substituted with 0-5 noninterfering substituents, wherein two noninterfering substituents can form a fused ring; the distance between the atom of Ar linked to L2 and the center of the α ring is 4.5-24Å] which inhibit p38- α kinase (biol. data given), were prepared Thus, treating 6-methoxy-(4-benzylpiperidinyl)-indole-5-carboxamide with oxalyl chloride in CH₂Cl₂ afforded the indole-5-carboxamide II.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:161119 CAPLUS

DOCUMENT NUMBER: 132:203174

TITLE: Inhibitors of p38- α kinase, preparation thereof, and therapeutic use

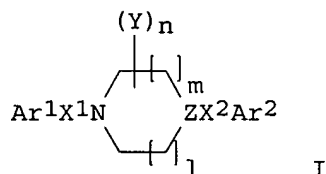
INVENTOR(S) : Goehring, R. Richard; Luedtke, Gregory R.; Mavunkel, Babu J.; Chakravarty, Sarvajit; Dugar, Sundeep; Schreiner, George F.; Liu, David Y.; Lewicki, John A.

PATENT ASSIGNEE(S) : Scios Inc., USA

SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|-------------------|-----------------|------------|
| WO 2000012074 | A2 | 20000309 | WO 1999-US19845 | 19990827 |
| WO 2000012074 | A3 | 20000831 | | |
| W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, EE, GE, HU, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2342251 | AA | 20000309 | CA 1999-2342251 | 19990827 |
| AU 9957936 | A1 | 20000321 | AU 1999-57936 | 19990827 |
| AU 772477 | B2 | 20040429 | | |
| EP 1107758 | A2 | 20010620 | EP 1999-945316 | 19990827 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| BR 9913654 | A | 20011127 | BR 1999-13654 | 19990827 |
| JP 2002523448 | T2 | 20020730 | JP 2000-567192 | 19990827 |
| PRIORITY APPLN. INFO.: | | | US 1998-98219P | P 19980828 |
| | | | US 1999-125343P | P 19990319 |
| | | | WO 1999-US19845 | W 19990827 |
| OTHER SOURCE(S): | | MARPAT 132:203174 | | |
| GI | | | | |



AB Methods are provided for treating conditions mediated by p38- α kinase using compds. I (Z = N, CR1; R1 = noninterfering substituent; X1, X2 = linker; Ar1, Ar2 = (un)substituted C1-20 hydrocarbyl (at least one of Ar1 and Ar2 = (un)substituted aryl), with proviso that when X2 = CH2 or an isostere thereof, X1 = CO or an isostere thereof, and Ar2 = (un)substituted Ph, Ar1 is other than (un)substituted indolyl, benzimidazolyl or benzotriazolyl, and wherein (un)substituted Ph is not (un)substituted indolyl, benzimidazolyl, or benzotriazolyl; Y = noninterfering substituent; n, m = 0-4; l = 0-3) or a pharmaceutically acceptable salt or pharmaceutical composition thereof. Preparation of compds. is described. Compds. of the invention may be used to treat p38- α kinase-mediated conditions.

L8 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1999:529819 CAPLUS
 DOCUMENT NUMBER: 131:295102
 TITLE: A Combinatorial Approach to the Identification of Dipeptide Aldehyde Inhibitors of β -Amyloid

Production

AUTHOR(S) : Higaki, Jeffrey N.; Chakravarty, Sarvajit; Bryant, Carmen M.; Cowart, Lisa R.; Harden, Paul; Scardina, Jan Marian; **Mavunkel, Babu; Luedtke, Gregory R.**; Cordell, Barbara

CORPORATE SOURCE: Scios Inc., Sunnyvale, CA, 94086, USA

SOURCE: Journal of Medicinal Chemistry (1999), 42(19), 3889-3898

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB In an effort to rapidly identify potent inhibitors of A β production and to probe the amino acid sequence specificity of the protease(s) responsible for the production of this peptide, a large number of dipeptide aldehydes were combinatorially synthesized and manually evaluated for their inhibitory properties. The starting point for this study was the dipeptide aldehyde carbobenzoxyl-valinyl-phenylalanyl previously shown to inhibit the production of A β in CHO cells stably transfected with the cDNA encoding β APP695. Pools of related dipeptide aldehydes were combinatorially synthesized, and the most active pool was deconvoluted, resulting in the identification of the most active inhibitor of this pool. Systematic optimization of this inhibitor resulted in a series of dipeptide aldehydes with enhanced potencies relative to carbobenzoxyl-valinyl-phenylalanyl. The most active dipeptide aldehydes were those that possessed hydrophobic amino acids at both the P1 and P2 positions. The most potent compound identified in this study was 3,5-dimethoxycinnamamide-isoleucinyl-leucinal with an IC₅₀ of 9.6 μ M, approx. 10-fold more active than carbobenzoxyl-valinyl-phenylalanyl. In immunopptn. expts. using antibodies directed toward either A β 1-40 or A β 1-42, 3,5-dimethoxycinnamamide-isoleucinyl-leucinal, like carbobenzoxyl-valinyl-phenylalanyl, preferentially inhibited the shorter 1-40 form of A β , whereas the longer 1-42 form was not as strongly inhibited. These results suggest that dipeptide aldehydes related to carbobenzoxyl-valinyl-phenylalanyl inhibit A β through similar mechanisms and demonstrate the utility of a combinatorial synthesis approach to rapidly identify potent inhibitors of A β production

REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:303623 CAPLUS

DOCUMENT NUMBER: 129:40700

TITLE: Solid phase synthesis of combinatorial libraries using anhydrides as templates

AUTHOR(S) : Perumattam, John; Chakravarty, Sarvajit; **Mcenroe, Glenn A.**; Goehring, R. Richard; **Mavunkel, Babu**; Suravajjala, Sandhya; Smith, Whitney W.; Chen, Baili

CORPORATE SOURCE: Scios Inc., Sunnyvale, CA, 94086, USA

SOURCE: Molecular Diversity (1998), Volume Date 1997-1998, 3(2), 121-128

CODEN: MODIF4; ISSN: 1381-1991

PUBLISHER: Kluwer Academic Publishers

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A simple and general approach to the synthesis of chemical libraries based on a universal anhydride template allows the preparation of large nos. of compds. Various cyclic/acyclic amines, primary/secondary amines, differently

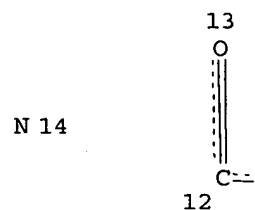
protected bifunctional amines were used as nucleophiles to react with anhydrides. The free carboxylic acid generated was then coupled with solid-bound amines. The facile and rapid generation of compds. through this multi-component assembly can be accomplished in a combinatorial parallel synthesis.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L13 STR

O 26 S 27



Page 1-A

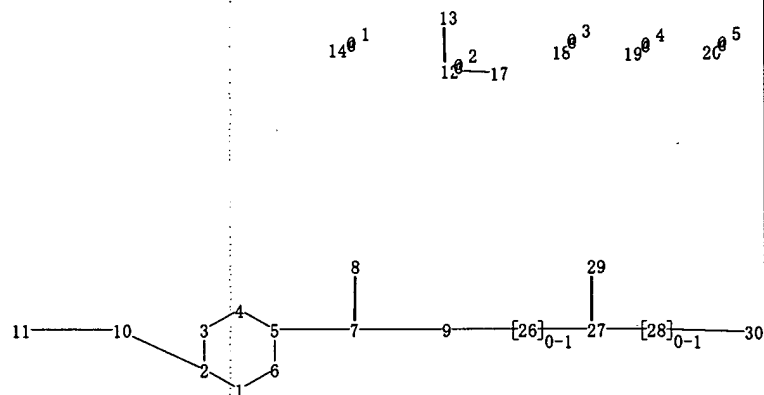
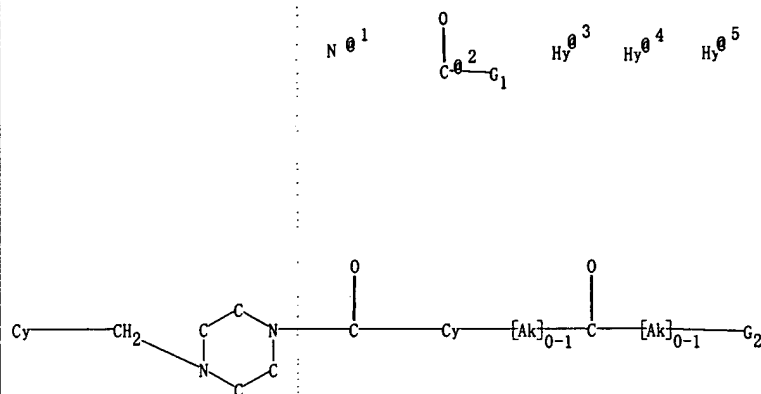
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REP G20=(0-1) 19-9 19-20
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NSPEC     IS R           AT   2
NSPEC     IS R           AT   3

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ring nodes :
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ring/chain nodes :
 14
chain bonds :
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ring bonds :
  1-2  1-6  2-3  3-4  4-5  5-6
exact/norm bonds :
  1-2  1-6  2-3  3-4  4-5  5-6  5-7  7-8  7-9  9-26 10-11 12-13 12-17
 26-27 27-28 27-29 28-30
exact bonds :
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G1:O,S,[*1]

G2:[*2],[*3],[*4],[*5]

Match level :

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10:CLASS 11:Atom 12:CLASS 13:CLASS 14:CLASS 17:CLASS 18:Atom 19:Atom
20:Atom 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS

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Generic attributes :

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9:
Saturation : Unsaturated
11:
Saturation : Unsaturated

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Node 19: Limited

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N,N3

Node 20: Limited

C,C3

N,N2

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 DEFAULT ECLEVEL IS LIMITED
 ECOUNT IS E1 C E4 N AT 16
 ECOUNT IS E2 C E3 N AT 17
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GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 27

STEREO ATTRIBUTES: NONE

L15 250 SEA FILE=REGISTRY SSS FUL L13
 L16 17 SEA FILE=CAPLUS ABB=ON PLU=ON L15
 L17 17 SEA FILE=CAPLUS ABB=ON PLU=ON (L16 OR L1)

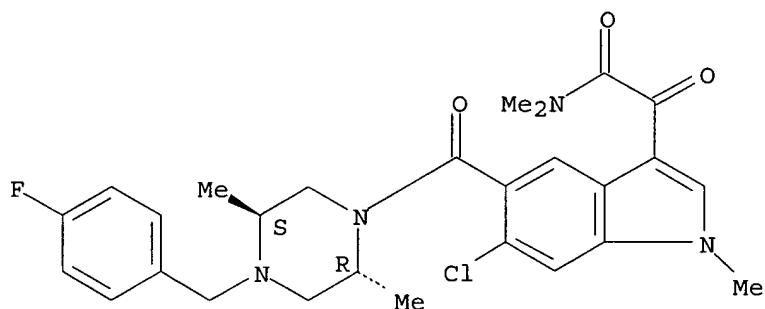
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L17 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2006:340004 CAPLUS
 DOCUMENT NUMBER: 144:363083
 TITLE: Treatment of multiple myeloma by inhibition of p38 MAP
 kinase
 INVENTOR(S): Brewer, Richard; Higgins, Linda S.
 PATENT ASSIGNEE(S): Scios, Inc., USA
 SOURCE: U.S. Pat. Appl. Publ., 70 pp., Cont.-in-part of U.S.
 Ser. No. 24,261.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
|------------|------|------|-----------------|------|

 US 2006079461 A1 20060413 US 2005-207542 20050819
 PRIORITY APPLN. INFO.: US 2003-532957P P 20031224
 US 2004-633980P P 20041206
 US 2004-24261 A2 20041227
 AB The invention provides a method to treat multiple myeloma by the
 administration of one or more p38 MAP kinase inhibitor(s).
 IT 309913-83-5
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (p38 MAP kinase inhibitors for treatment of multiple myeloma)
 RN 309913-83-5 CAPLUS
 CN 1H-Indole-3-acetamide, 6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-
 dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl- α -oxo- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.



L17 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2006:232067 CAPLUS
 DOCUMENT NUMBER: 144:286165
 TITLE: Treatment of osteolytic lesions associated with
 multiple myeloma by inhibition of p38 map kinase
 INVENTOR(S): Higgins, Linda S.; Protter, Andrew A.
 PATENT ASSIGNEE(S): Scios, Inc., USA
 SOURCE: U.S. Pat. Appl. Publ., 77 pp., Cont.-in-part of U.S.
 Ser. No. 24,170.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|-------------|
| US 2006058296 | A1 | 20060316 | US 2005-208481 | 20050819 |
| PRIORITY APPLN. INFO.: | | | US 2003-532439P | P 20031224 |
| | | | US 2004-625636P | P 20041104 |
| | | | US 2004-24170 | A2 20041227 |

OTHER SOURCE(S): MARPAT 144:286165
 AB The invention provides a method to treat osteolytic lesions associated with
 multiple myeloma by the administration of one or more p38 MAP kinase
 inhibitors.
 IT 309915-13-7 879132-01-1
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

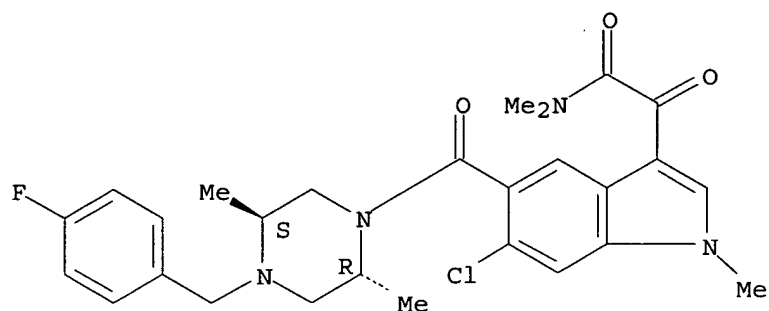
(Biological study); USES (Uses)

(treatment of osteolytic lesions associated with multiple myeloma by inhibition of p38 map kinase)

RN 309915-13-7 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl- α -oxo-, rel- (9CI)
(CA INDEX NAME)

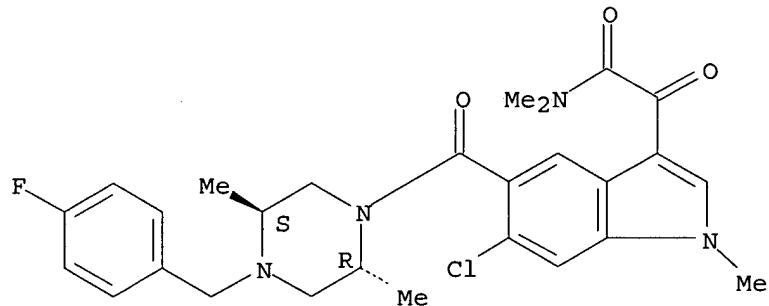
Relative stereochemistry.



RN 879132-01-1 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl- α -oxo-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

L17 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:218229 CAPLUS

DOCUMENT NUMBER: 144:267262

TITLE: Treatment of multiple myeloma by p38 map kinase and proteasome inhibition

INVENTOR(S): Schreiner, George F.; Protter, Andrew A.; Higgins, Linda S.

PATENT ASSIGNEE(S): Scios, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 69 pp., Cont.-in-part of U.S. Ser. No.24,169.

CODEN: USXXCO

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|-------------|
| US 2006052390 | A1 | 20060309 | US 2005-208055 | 20050819 |
| PRIORITY APPLN. INFO.: | | | US 2003-532440P | P 20031224 |
| | | | US 2004-633979P | P 20041206 |
| | | | US 2004-24169 | A2 20041227 |

OTHER SOURCE(S): MARPAT 144:267262

AB The invention provides a method to treat multiple myeloma by the co-administration of one or more p38 MAP kinase inhibitors with one or more proteasome inhibitors.

IT **848127-95-7**

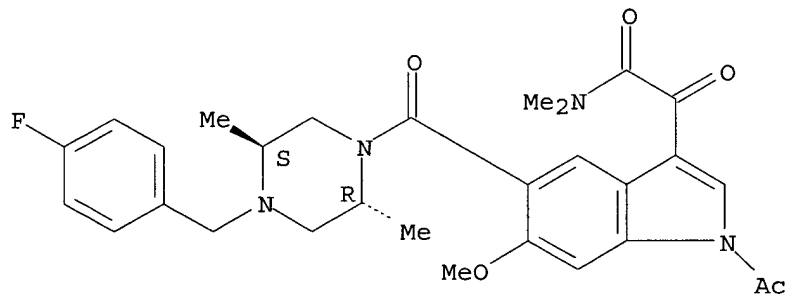
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(treatment of multiple myeloma by p38 map kinase and proteasome inhibition)

RN 848127-95-7 CAPLUS

CN 1H-Indole-3-acetamide, 1-acetyl-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl- α -oxo- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



L17 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1351110 CAPLUS

DOCUMENT NUMBER: 144:88316

TITLE: Preparation of azaindoles as inhibitors of p38 kinase

INVENTOR(S): Mavunkel, Babu J.; Perumattam, John J.; Lu, Qing;

Dugar, Sundeep; Goyal, Bindu; Wang, Dan X.;

Chakravarty, Sarvajit; Luedtke, Gregory R.;

Nashashibi, Imad; Tester, Richland; Tan, Xuefei

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 83 pp., Cont.-in-part of U.S. Ser. No. 683,656.

CODEN: USXXCO

DOCUMENT TYPE: Patent

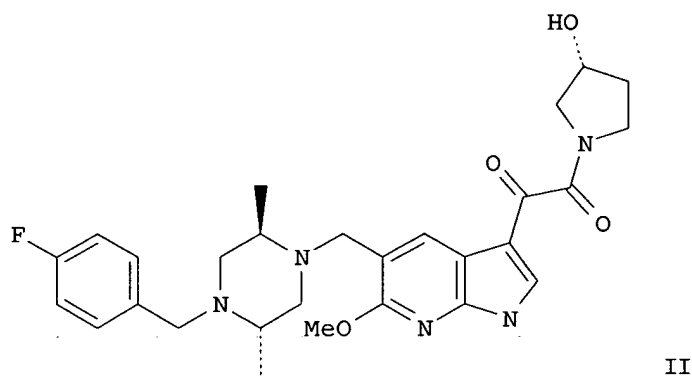
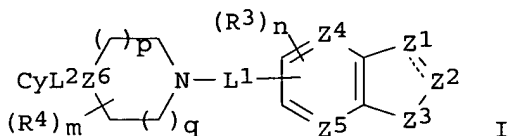
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
|------------|------|------|-----------------|------|

| | | | | |
|------------------------|----|------------------|-----------------|-------------|
| US 2005288299 | A1 | 20051229 | US 2005-107027 | 20050415 |
| US 2004176598 | A1 | 20040909 | US 2003-683656 | 20031009 |
| PRIORITY APPLN. INFO.: | | | US 2002-417599P | P 20021009 |
| | | | US 2003-683656 | A2 20031009 |
| OTHER SOURCE(S): | | MARPAT 144:88316 | | |
| GI | | | | |



AB Title compds. [I; dotted line = optional double bond; 1 of Z1, Z2 = CQ, CR1Q, the other = CRR1, C(R1)2; Q = R1, WiCOXjY; W, X = (substituted) alkylene, alkenylene, alkynylene, heteroalkylene; i, j = 0, 1; Y = COR2, isostere; Z3 = NR7, O, S; Z4, Z5 = N, CH, CR3, or 1 of Z4, Z5 = C to which L1 is linked; ≥1 of Z4, Z5 = N; Z6 = N, CR5; L1, L2 = (substituted) alkylene, alkenylene, alkynylene, heteroalkylene; Cy = 1-2 (substituted) (fused) 3-7 membered ring(s); R1, R2, R5, R7 = H, R3; R3 = (substituted) alkyl, heteroalkyl, alkenyl, heteroalkenyl, alkynyl, heteroalkynyl, acyl, heteroacyl, aryl, heteroaryl, halo, etc.; R4 = R3, O, NCN, etc.; n = 0-2; m = 0-4; p, q = 0-2; p+k = 0-3], were prepared Thus, title compound (II) inhibited p38α with IC50 = 0.01 μM.

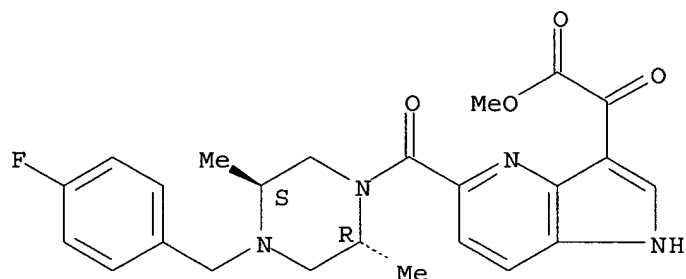
IT 872355-35-6P 872355-36-7P 872355-38-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of azaindoles as inhibitors of p38 kinase)

RN 872355-35-6 CAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-3-acetic acid, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-α-oxo-, methyl ester (9CI) (CA INDEX NAME)

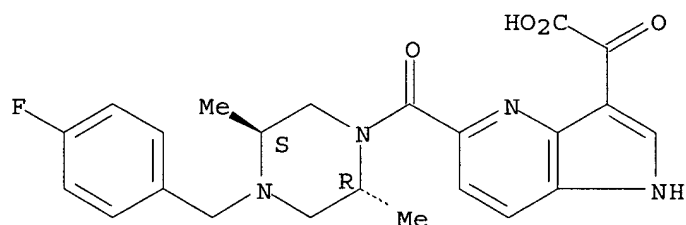
Absolute stereochemistry.



RN 872355-36-7 CAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-3-acetic acid, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-α-oxo- (9CI) (CA INDEX NAME)

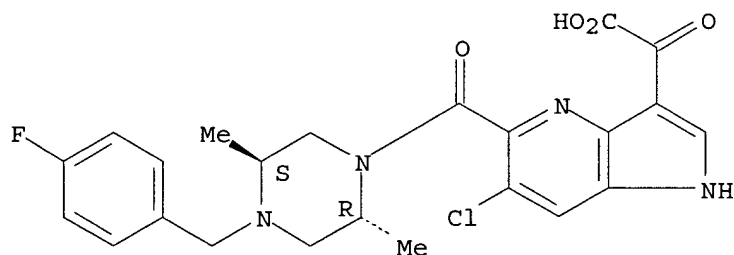
Absolute stereochemistry.



RN 872355-38-9 CAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-3-acetic acid, 6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-α-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 680208-26-8P 680208-42-8P 680208-44-0P
 680208-46-2P 680208-47-3P 680208-49-5P
 680208-72-4P 680208-74-6P 680208-76-8P
 680208-80-4P 872354-95-5P 872354-96-6P
 872354-97-7P 872354-98-8P 872354-99-9P
 872355-00-5P 872355-01-6P 872355-03-8P
 872355-04-9P 872355-05-0P 872355-08-3P
 872355-14-1P 872355-16-3P 872355-17-4P
 872355-18-5P 872355-19-6P 872355-20-9P
 872355-21-0P 872355-22-1P 872355-23-2P
 872355-24-3P 872355-25-4P 872355-26-5P
 872355-27-6P 872355-28-7P 872355-29-8P

872355-30-1P 872355-31-2P 872355-33-4P

872355-37-8P

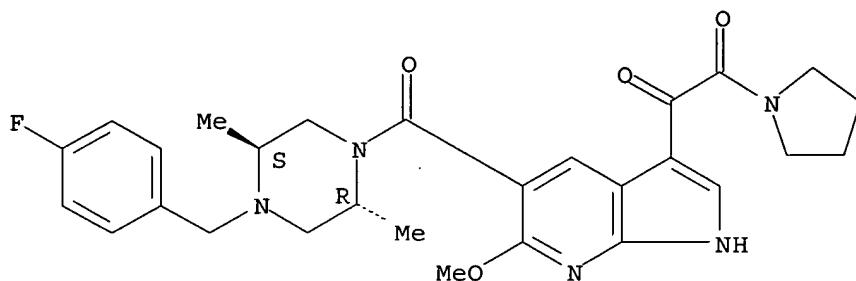
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azaindoles as inhibitors of p38 kinase)

RN 680208-26-8 CAPLUS

CN Piperazine, 1-[(4-fluorophenyl)methyl]-4-[[6-methoxy-3-(oxo-1-pyrrolidinylacetyl)-1H-pyrrolo[2,3-b]pyridin-5-yl]carbonyl]-2,5-dimethyl-, (2S,5R)- (9CI) (CA INDEX NAME)

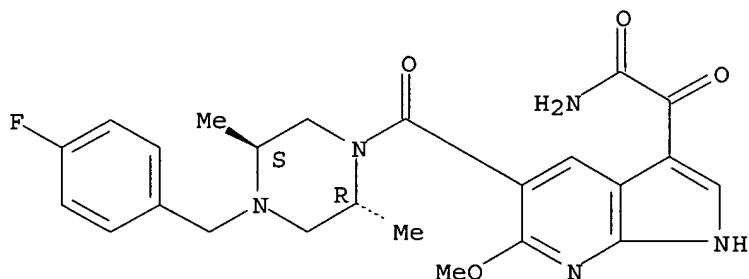
Absolute stereochemistry.



RN 680208-42-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy- α -oxo- (9CI) (CA INDEX NAME)

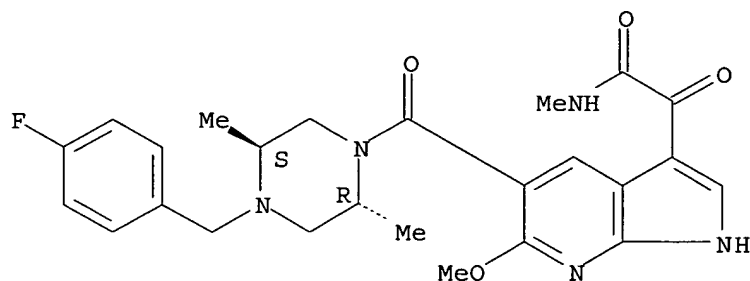
Absolute stereochemistry.



RN 680208-44-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N-methyl- α -oxo- (9CI) (CA INDEX NAME)

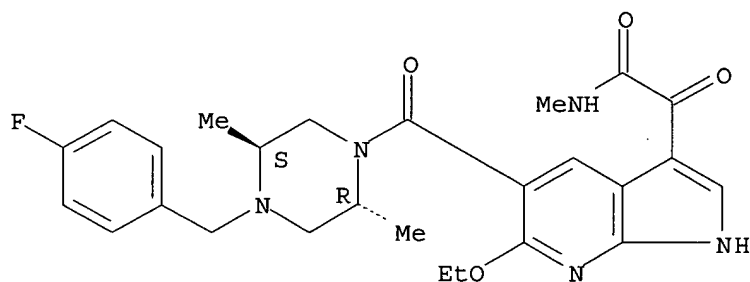
Absolute stereochemistry.



RN 680208-46-2 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 6-ethoxy-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N-methyl- α -oxo- (9CI) (CA INDEX NAME)

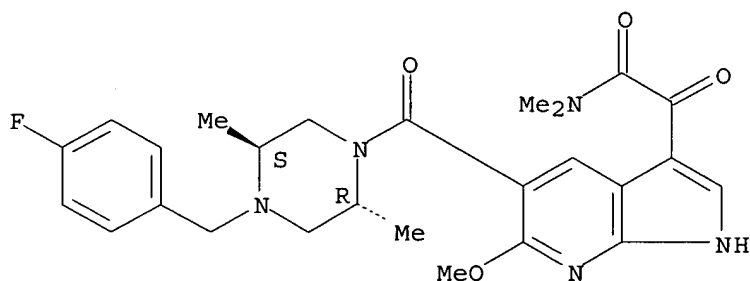
Absolute stereochemistry.



RN 680208-47-3 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)

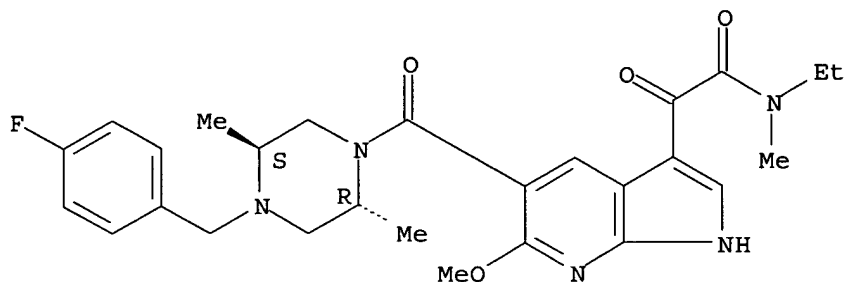
Absolute stereochemistry.



RN 680208-49-5 CAPLUS

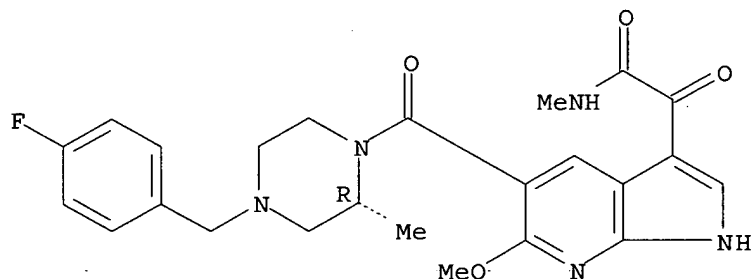
CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-ethyl-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N-methyl- α -oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



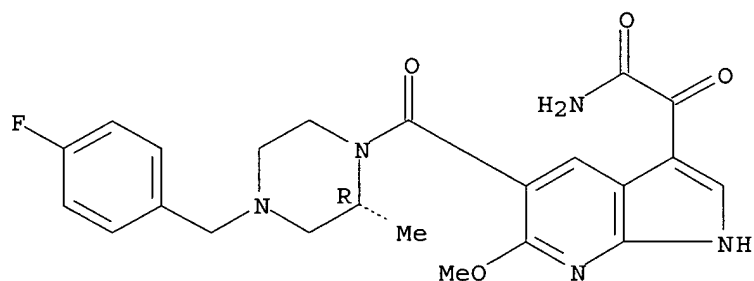
RN 680208-72-4 CAPLUS
 CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]carbonyl]-6-methoxy-N-methyl-α-oxo- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



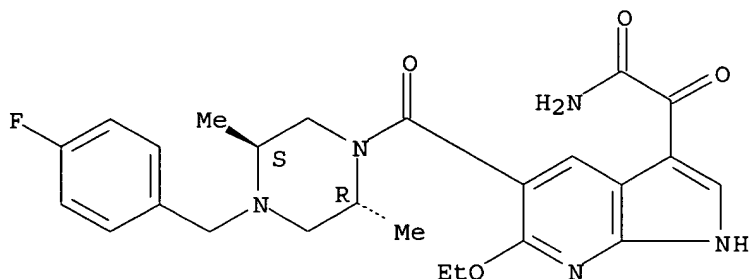
RN 680208-74-6 CAPLUS
 CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]carbonyl]-6-methoxy-α-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 680208-76-8 CAPLUS
 CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 6-ethoxy-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-α-oxo- (9CI) (CA INDEX NAME)

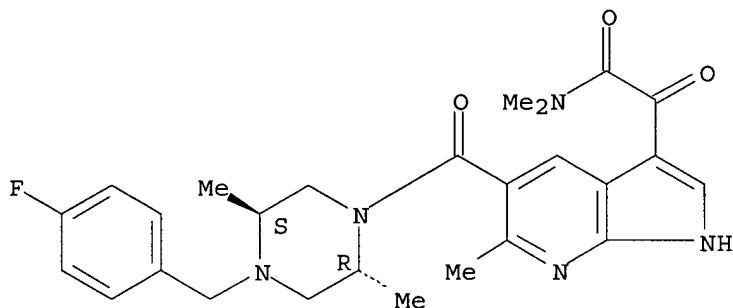
Absolute stereochemistry.



RN 680208-80-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,6-trimethyl- α -oxo- (9CI) (CA INDEX NAME)

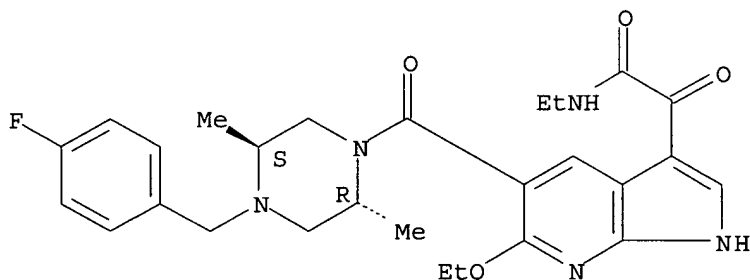
Absolute stereochemistry.



RN 872354-95-5 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 6-ethoxy-N-ethyl-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]- α -oxo- (9CI) (CA INDEX NAME)

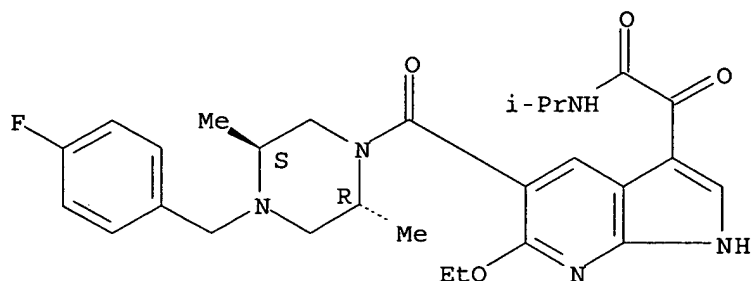
Absolute stereochemistry.



RN 872354-96-6 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 6-ethoxy-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N-(1-methylethyl)- α -oxo- (9CI) (CA INDEX NAME)

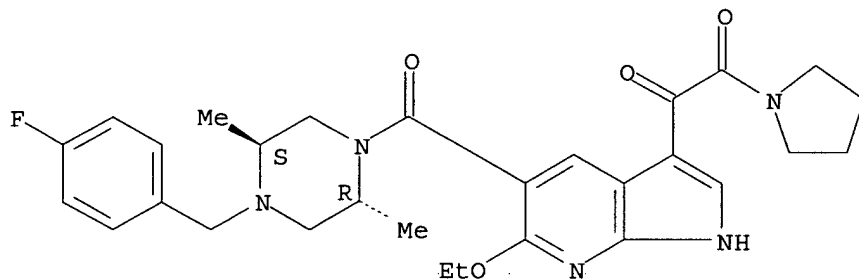
Absolute stereochemistry.



RN 872354-97-7 CAPLUS

CN Piperazine, 1-[[6-ethoxy-3-(oxo-1-pyrrolidinylacetyl)-1H-pyrrolo[2,3-b]pyridin-5-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

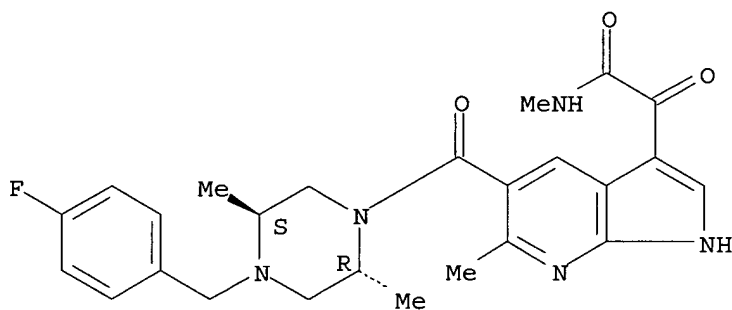
Absolute stereochemistry.



RN 872354-98-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,6-dimethyl- α -oxo- (9CI) (CA INDEX NAME)

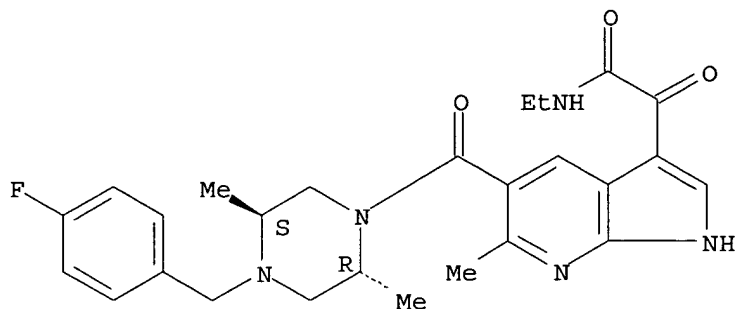
Absolute stereochemistry.



RN 872354-99-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-ethyl-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methyl- α -oxo- (9CI) (CA INDEX NAME)

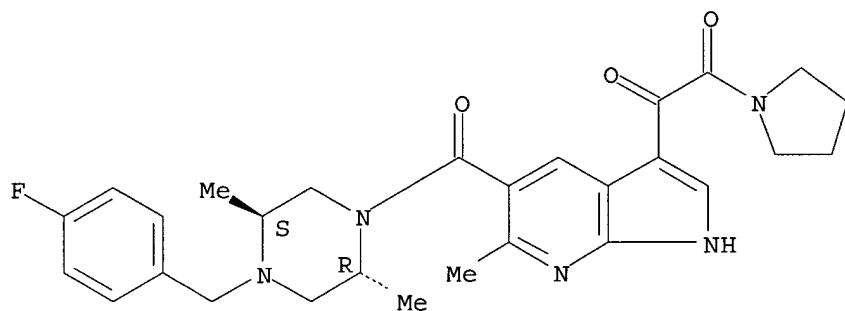
Absolute stereochemistry.



RN 872355-00-5 CAPLUS

CN Piperazine, 1-[(4-fluorophenyl)methyl]-2,5-dimethyl-4-[[6-methyl-3-(oxo-1-pyrrolidinylacetyl)-1H-pyrrolo[2,3-b]pyridin-5-yl]carbonyl]-, (2S,5R)-(9CI) (CA INDEX NAME)

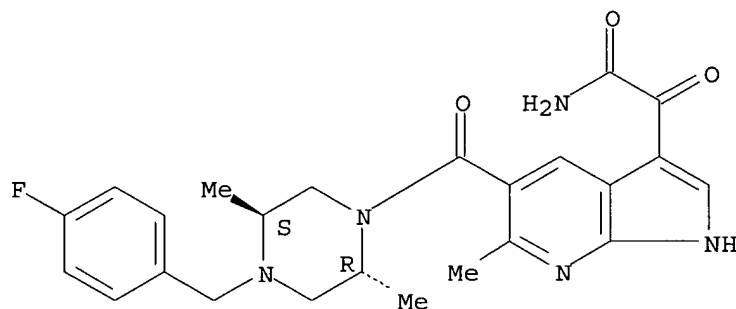
Absolute stereochemistry.



RN 872355-01-6 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methyl- α -oxo- (9CI) (CA INDEX NAME)

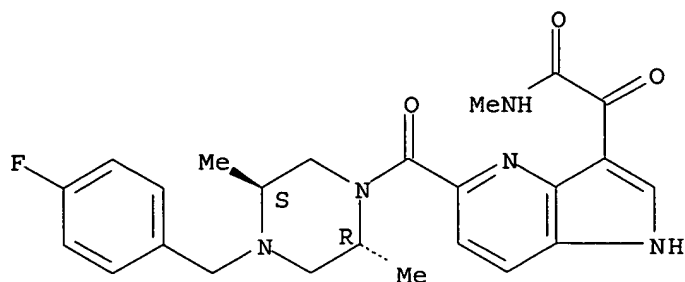
Absolute stereochemistry.



RN 872355-03-8 CAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N-methyl- α -oxo- (9CI) (CA INDEX NAME)

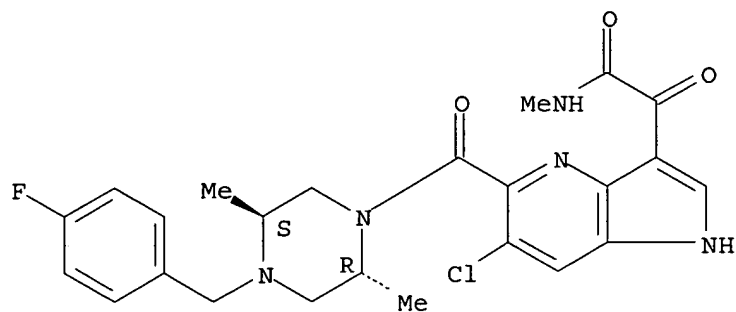
Absolute stereochemistry.



RN 872355-04-9 CAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-3-acetamide, 6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N-methyl-α-oxo- (9CI) (CA INDEX NAME)

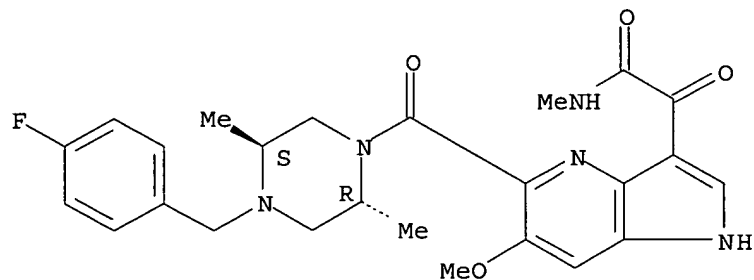
Absolute stereochemistry.



RN 872355-05-0 CAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N-methyl-α-oxo- (9CI) (CA INDEX NAME)

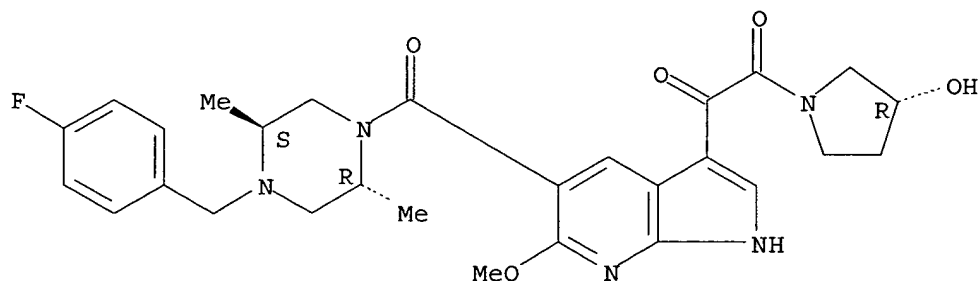
Absolute stereochemistry.



RN 872355-08-3 CAPLUS

CN Piperazine, 1-[(4-fluorophenyl)methyl]-4-[[[3-[[[(3R)-3-hydroxy-1-pyrrolidinyl]oxoacetyl]-6-methoxy-1H-pyrrolo[2,3-b]pyridin-5-yl]carbonyl]-2,5-dimethyl-, (2S,5R)- (9CI) (CA INDEX NAME)

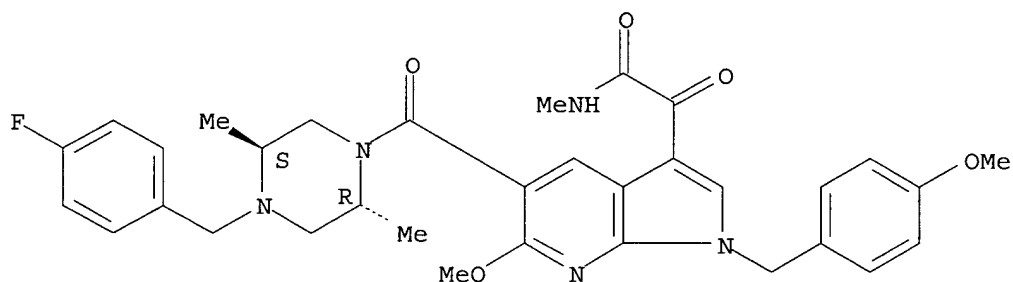
Absolute stereochemistry.



RN 872355-14-1 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1-[(4-methoxyphenyl)methyl]-N-methyl- α -oxo- (9CI) (CA INDEX NAME)

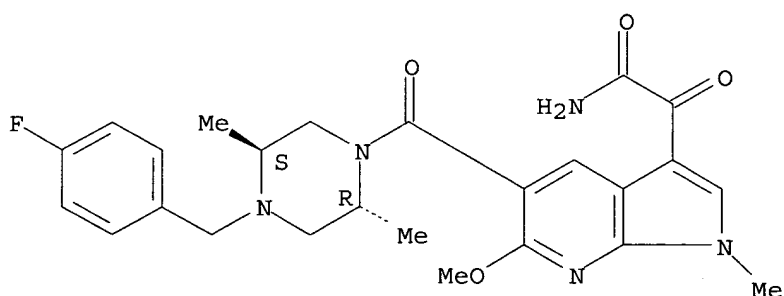
Absolute stereochemistry.



RN 872355-16-3 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1-methyl- α -oxo- (9CI) (CA INDEX NAME)

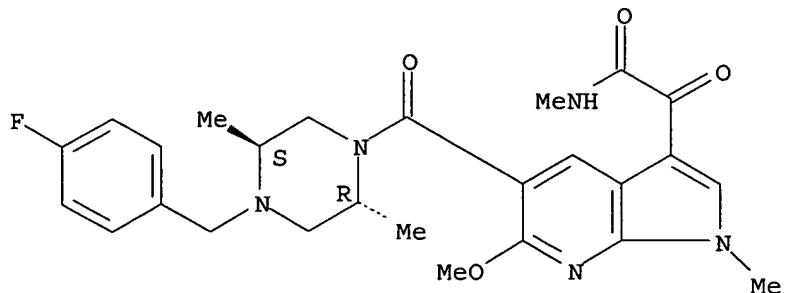
Absolute stereochemistry.



RN 872355-17-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,1-dimethyl- α -oxo- (9CI) (CA INDEX NAME)

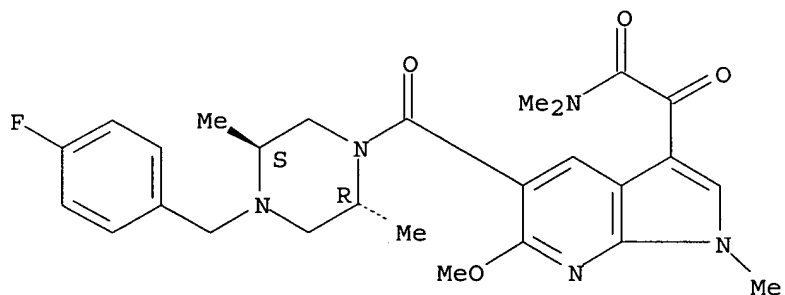
Absolute stereochemistry.



RN 872355-18-5 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,1-trimethyl- α -oxo- (9CI) (CA INDEX NAME)

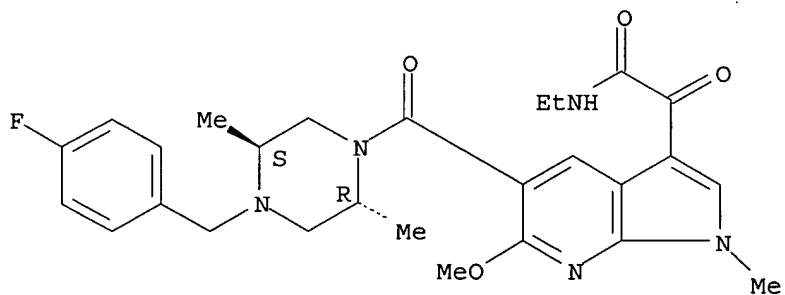
Absolute stereochemistry.



RN 872355-19-6 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-ethyl-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1-methyl- α -oxo- (9CI) (CA INDEX NAME)

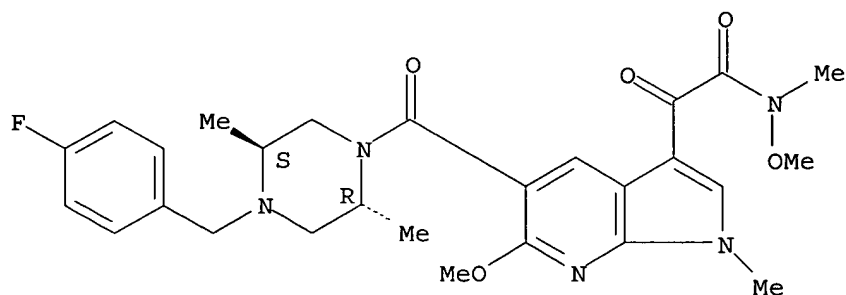
Absolute stereochemistry.



RN 872355-20-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,6-dimethoxy-N,1-dimethyl- α -oxo- (9CI) (CA INDEX NAME)

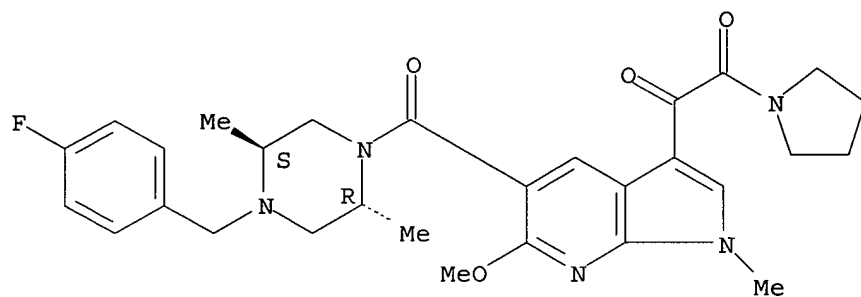
Absolute stereochemistry.



RN 872355-21-0 CAPLUS

CN Piperazine, 1-[(4-fluorophenyl)methyl]-4-[[6-methoxy-1-methyl-3-(oxo-1-pyrrolidinylacetyl)-1H-pyrrolo[2,3-b]pyridin-5-yl]carbonyl]-2,5-dimethyl-, (2S,5R)- (9CI) (CA INDEX NAME)

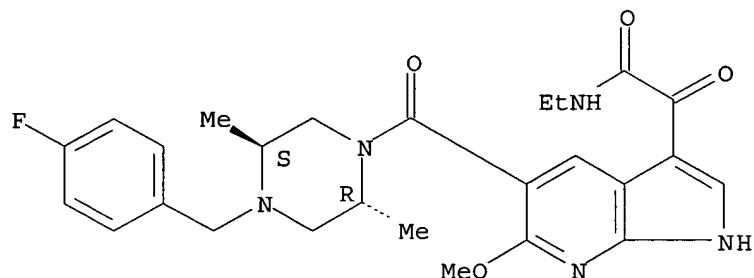
Absolute stereochemistry.



RN 872355-22-1 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-ethyl-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy- α -oxo- (9CI) (CA INDEX NAME)

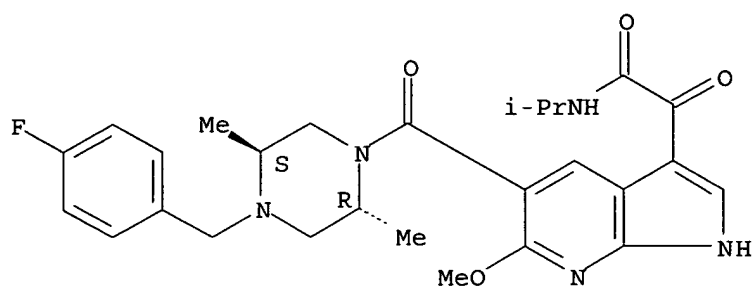
Absolute stereochemistry.



RN 872355-23-2 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N-(1-methylethyl)- α -oxo- (9CI) (CA INDEX NAME)

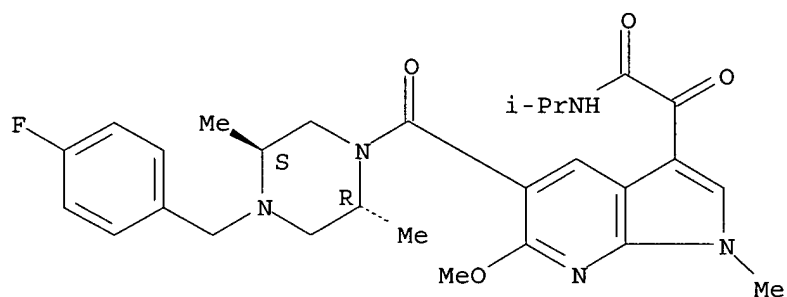
Absolute stereochemistry.



RN 872355-24-3 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1-methyl-N-(1-methylethyl)- α -oxo- (9CI) (CA INDEX NAME)

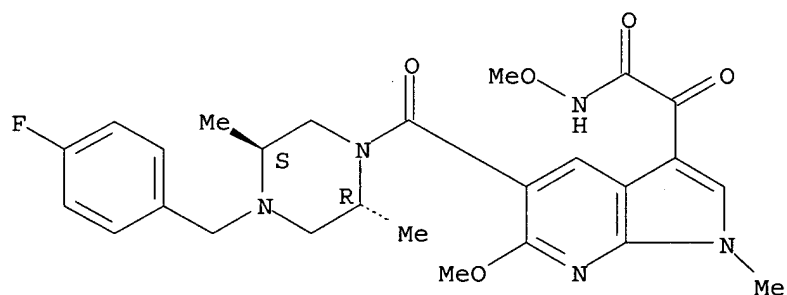
Absolute stereochemistry.



RN 872355-25-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,6-dimethoxy-1-methyl- α -oxo- (9CI) (CA INDEX NAME)

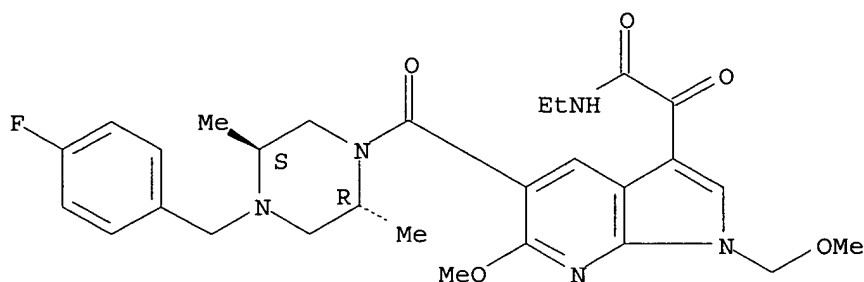
Absolute stereochemistry.



RN 872355-26-5 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-ethyl-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1-(methoxymethyl)- α -oxo- (9CI) (CA INDEX NAME)

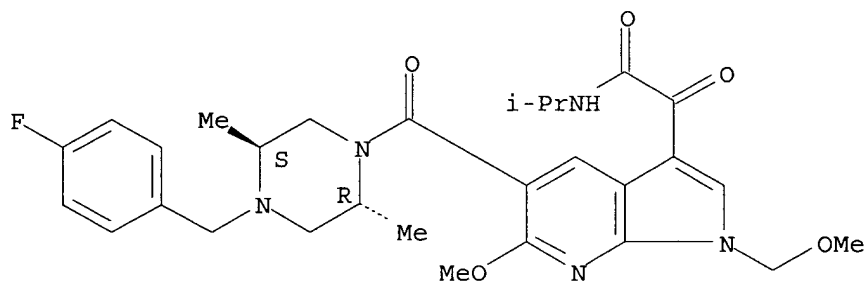
Absolute stereochemistry.



RN 872355-27-6 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1-(methoxymethyl)-N-(1-methylethyl)- α -oxo- (9CI) (CA INDEX NAME)

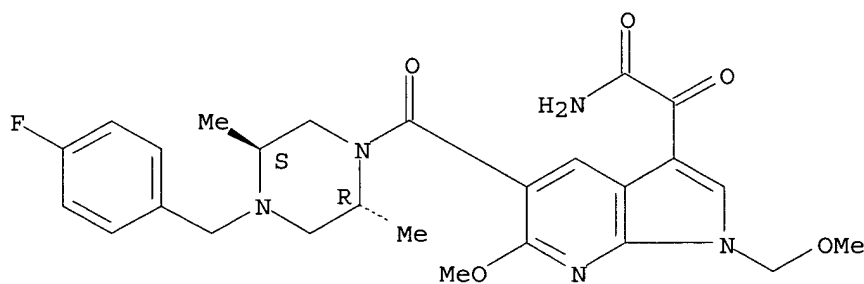
Absolute stereochemistry.



RN 872355-28-7 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1-(methoxymethyl)- α -oxo- (9CI) (CA INDEX NAME)

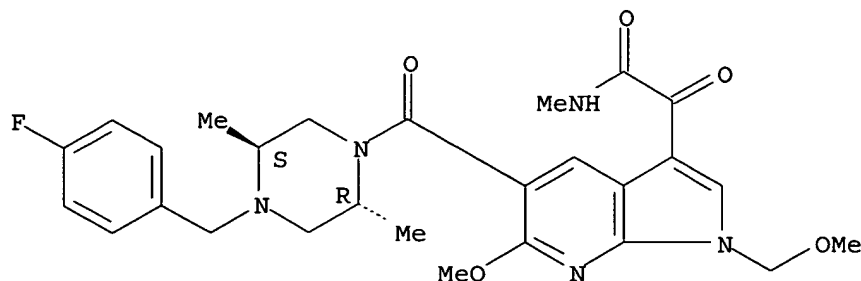
Absolute stereochemistry.



RN 872355-29-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1-(methoxymethyl)-N-methyl- α -oxo- (9CI) (CA INDEX NAME)

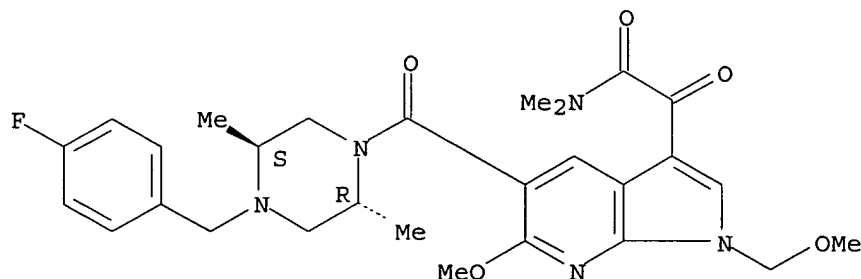
Absolute stereochemistry.



RN 872355-30-1 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1-(methoxymethyl)-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)

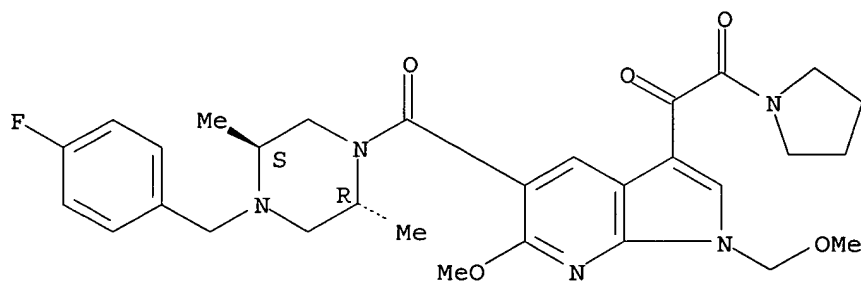
Absolute stereochemistry.



RN 872355-31-2 CAPLUS

CN Piperazine, 1-[(4-fluorophenyl)methyl]-4-[[[6-methoxy-1-(methoxymethyl)-3-(oxo-1-pyrrolidinylacetyl)-1H-pyrrolo[2,3-b]pyridin-5-yl]carbonyl]-2,5-dimethyl-, (2S,5R)- (9CI) (CA INDEX NAME)

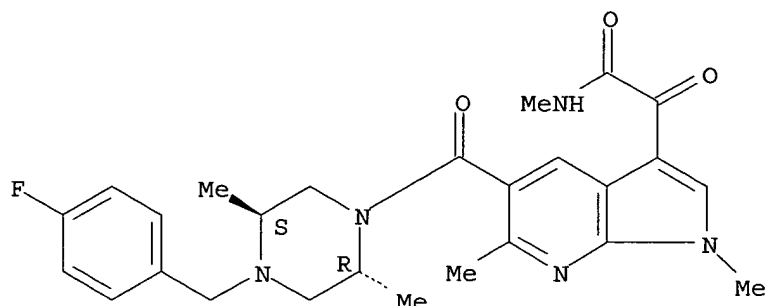
Absolute stereochemistry.



RN 872355-33-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,1,6-trimethyl- α -oxo- (9CI) (CA INDEX NAME)

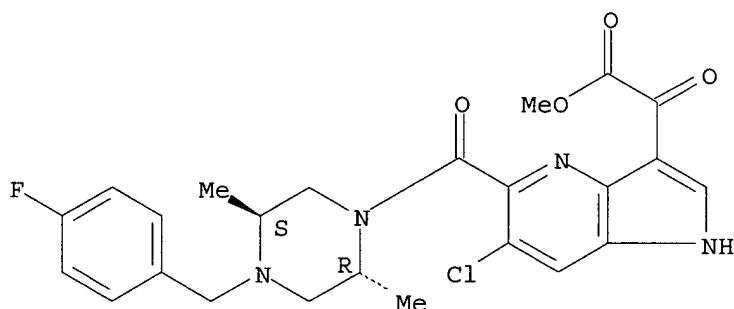
Absolute stereochemistry.



RN 872355-37-8 CAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-3-acetic acid, 6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-α-oxo-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 872355-57-2P 872355-71-0P 872355-79-8P

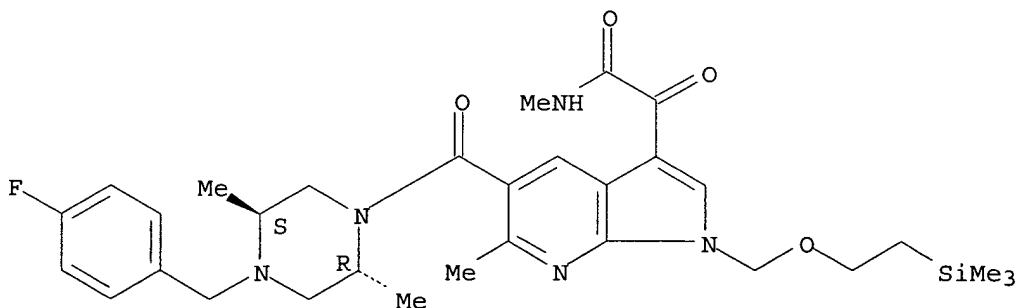
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of azaindoles as inhibitors of p38 kinase)

RN 872355-57-2 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,6-dimethyl-α-oxo-1-[[2-(trimethylsilyl)ethoxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

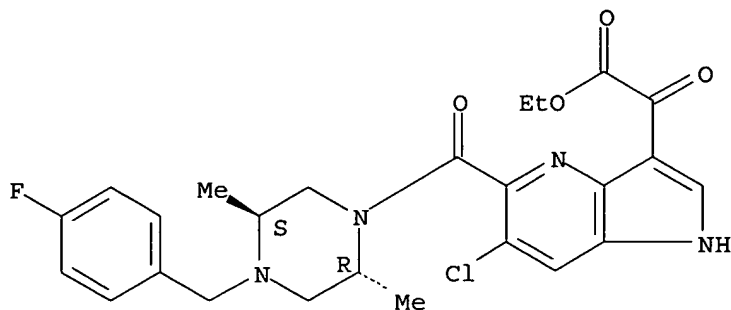


RN 872355-71-0 CAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-3-acetic acid, 6-chloro-5-[[[(2R,5S)-4-[(4-

fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]- α -oxo-,
ethyl ester (9CI) (CA INDEX NAME)

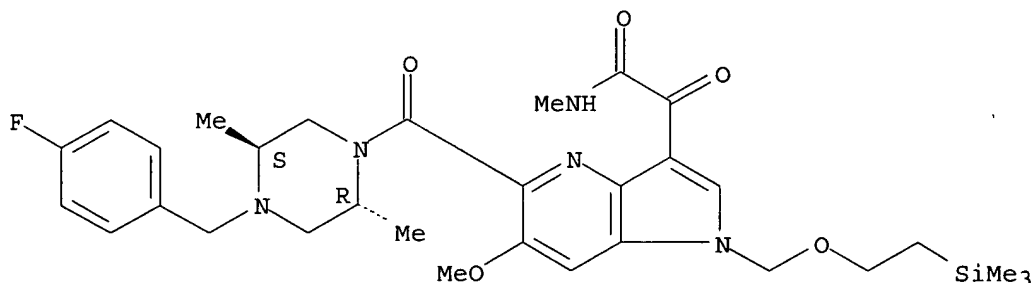
Absolute stereochemistry.



RN 872355-79-8 CAPLUS

CN 1H-Pyrrolo[3,2-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N-methyl- α -oxo-1-[[2-(trimethylsilyl)ethoxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L17 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:232421 CAPLUS

DOCUMENT NUMBER: 142:316692

TITLE: Preparation of indolylcarboxamide derivatives as inhibitors of p38 kinase

INVENTOR(S): Mavunkel, Babu J.; Chakravarty, Sarvajit; Perumattam, John J.; Dugar, Sundeeep; Lu, Qing; Liang, Xi

PATENT ASSIGNEE(S): Scios, Inc., USA

SOURCE: U.S., 65 pp., Cont.-in-part of U.S. 6,589,954.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

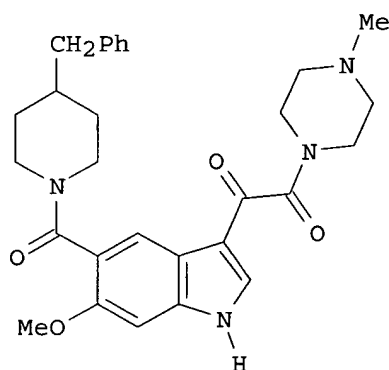
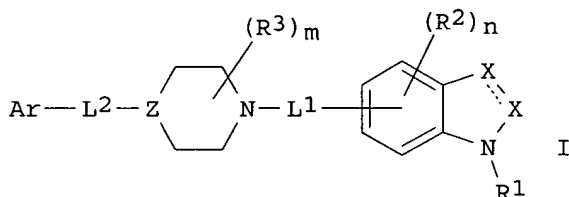
FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| US 6867209 | B1 | 20050315 | US 2000-575060 | 20000519 |
| US 6130235 | A | 20001010 | US 1998-128137 | 19980803 |
| US 6340685 | B1 | 20020122 | US 1999-275176 | 19990324 |

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|------------------------|----|----------|-----------------|-------------|
| US 6589954 | B1 | 20030708 | US 1999-316761 | 19990521 |
| US 2003158417 | A1 | 20030821 | US 2002-146703 | 20020514 |
| US 2003144520 | A1 | 20030731 | US 2002-157048 | 20020528 |
| US 6864260 | B2 | 20050308 | | |
| US 2003162970 | A1 | 20030828 | US 2002-156996 | 20020528 |
| US 2003195355 | A1 | 20031016 | US 2002-156997 | 20020528 |
| PRIORITY APPLN. INFO.: | | | US 1998-86531P | P 19980522 |
| | | | US 1998-128137 | A2 19980803 |
| | | | US 1999-275176 | A2 19990324 |
| | | | US 1999-316761 | A2 19990521 |
| | | | US 1999-154594P | P 19990917 |
| | | | US 2000-202608P | P 20000509 |
| | | | US 2000-575060 | A1 20000519 |

OTHER SOURCE(S): MARPAT 142:316692
GI



AB Title compds. I [X independently = CA, CR4A, CR5, CR52, NR6, or N; L1 = CO, SO2, or alkylene; L2 = (un)substituted-alkylene or -alkenylene; Ar = (un)substituted aryl group with substituents consisting of alkyl, alkenyl, halo, CN, etc.; Z = N or CR7 wherein R7 = H or non-interfering substituent; R1 = H, alkyl, alkenyl, alkynyl, aryl, arylalkyl, etc.; R2 independently = halo, alkyl, OH, alkoxy, etc.; R3 independently = CN, CF3, NO2, alkyl, aryl, acyl, etc.; R4 = H, halo, alkyl or alkenyl; R5 independently = H, halo, alkyl, OH, etc.; R6 = H, alkyl, alkenyl, aryl, acyl, aroyl, etc.; A = -WiCOXjY wherein Y is COR8 wherein R8 = H, (un)substituted-alkyl, -alkenyl, -alkynyl, etc.; W and X = (un)substituted-alkylene, -alkenylene, -alkynylene; Y = tetrazole, 1,2,3-triazole, 1,2,4-triazole, or imidazole and each of i and j independently = 0 or 1; m = 0-4; n = 0-3], and their pharmaceutically

acceptable salts are prepared and disclosed as useful for treatment of rheumatoid arthritis. Thus, e.g., II, was prepared by carbonylation of 6-methoxy-(4-benzylpiperidiny1)-indole-5-carboxamide with oxalyl chloride and subsequent amination using 4-methylpiperazine. ELISA assays for evaluation of inhibition of p38 kinase by I revealed that all compds. of the invention possessed IC50 values in the range of 0.1-1.5 μ M. I as inhibitors of p38 kinase should prove useful in the treatment of rheumatoid arthritis.

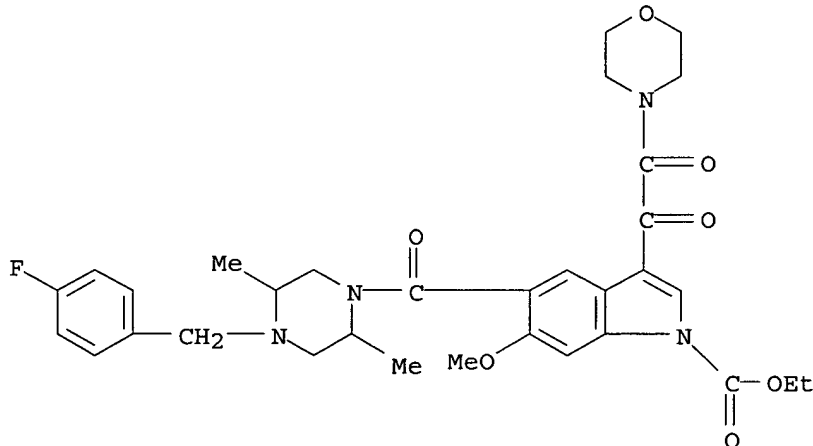
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848128-19-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indolylcarboxamide derivs. as p38 kinase inhibitors)

RN 309913-60-8 CAPLUS

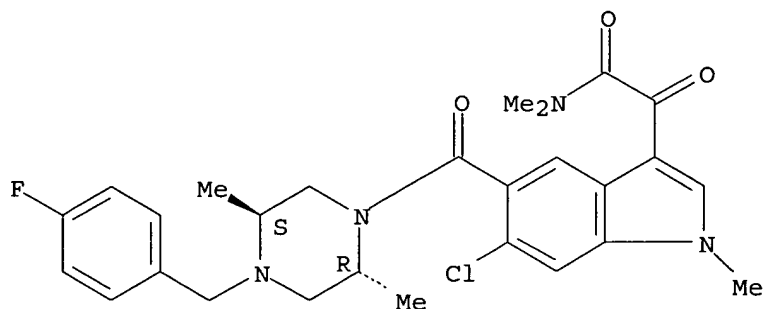
CN 1H-Indole-1-carboxylic acid, 5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-3-(4-morpholinylloxoacetyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 309913-83-5 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl- α -oxo- (9CI) (CA INDEX NAME)

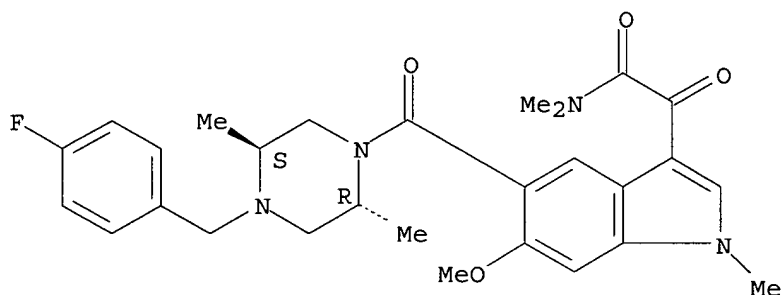
Absolute stereochemistry.



RN 309914-14-5 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,1-trimethyl- α -oxo- (9CI) (CA INDEX NAME)

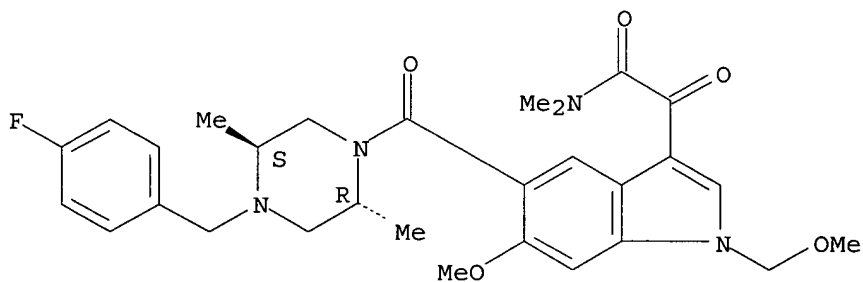
Absolute stereochemistry.



RN 309914-17-8 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1-(methoxymethyl)-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)

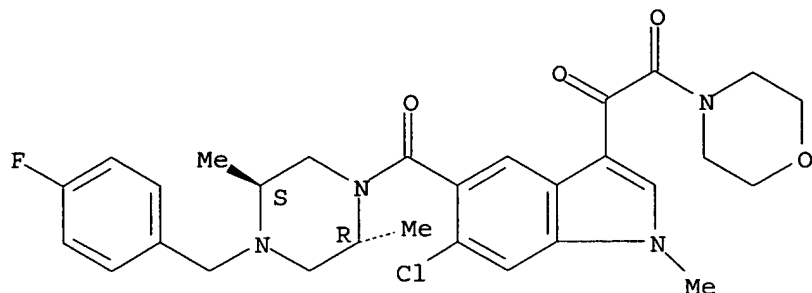
Absolute stereochemistry.



RN 309914-21-4 CAPLUS

CN Morpholine, 4-[[[6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1-methyl-1H-indol-3-yl]oxoacetyl]- (9CI) (CA INDEX NAME)

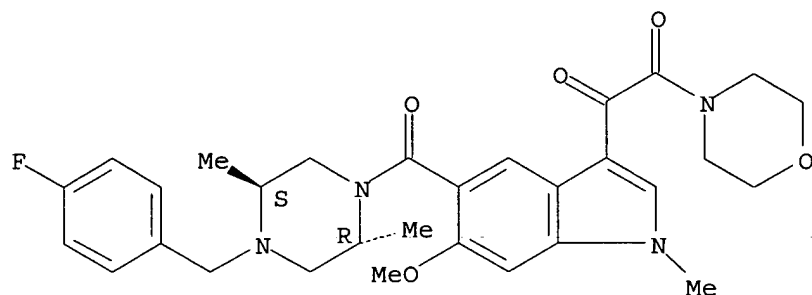
Absolute stereochemistry.



RN 309914-25-8 CAPLUS

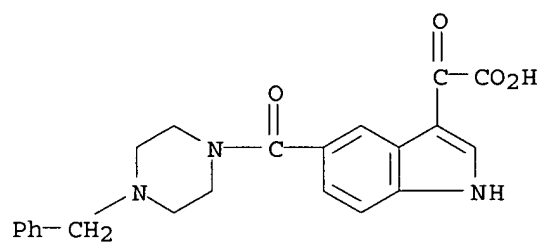
CN Morpholine, 4-[[5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1-methyl-1H-indol-3-yl]oxoacetyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



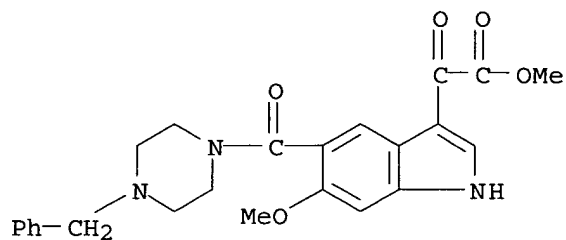
RN 309914-60-1 CAPLUS

CN 1H-Indole-3-acetic acid, α -oxo-5-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]- (9CI) (CA INDEX NAME)



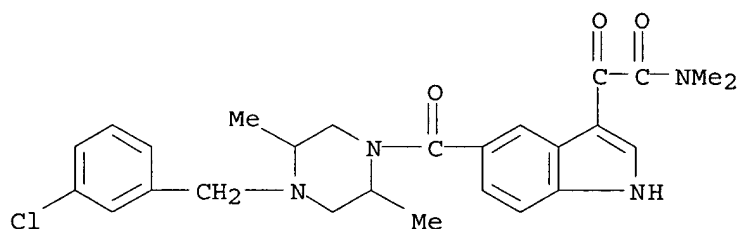
RN 309914-62-3 CAPLUS

CN 1H-Indole-3-acetic acid, 6-methoxy- α -oxo-5-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



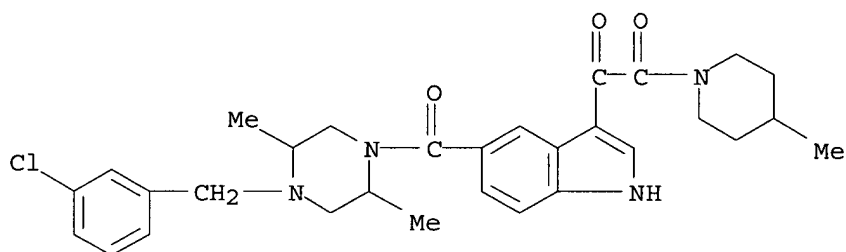
RN 309914-71-4 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[4-[(3-chlorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)



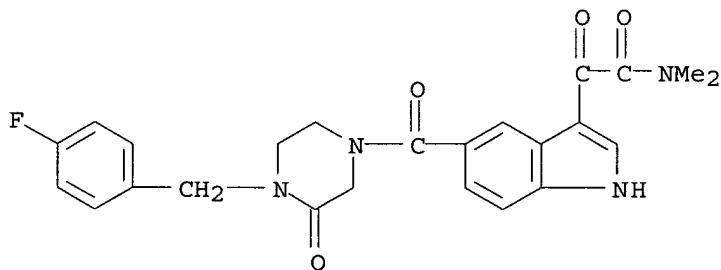
RN 309914-73-6 CAPLUS

CN Piperazine, 1-[(3-chlorophenyl)methyl]-2,5-dimethyl-4-[[3-[(4-methyl-1-piperidinyl)oxoacetyl]-1H-indol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

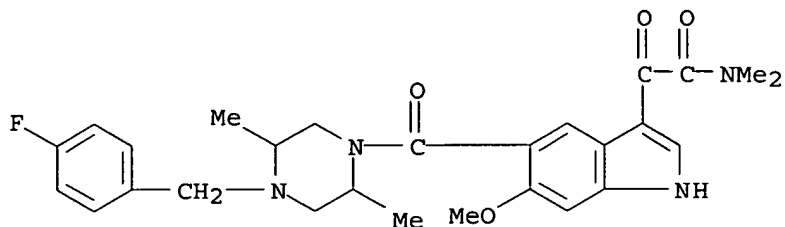


RN 309914-78-1 CAPLUS

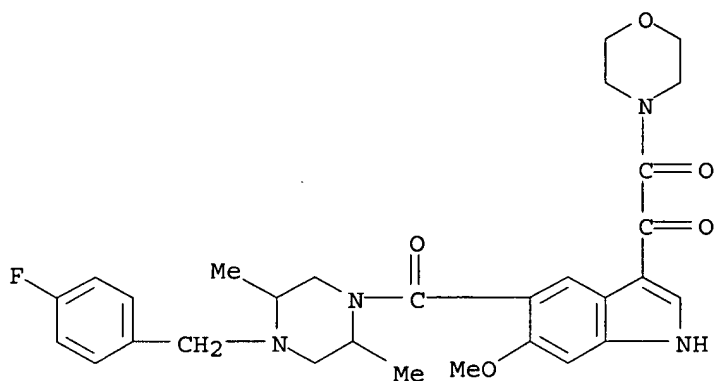
CN 1H-Indole-3-acetamide, 5-[[4-[(4-fluorophenyl)methyl]-3-oxo-1-piperazinyl]carbonyl]-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)



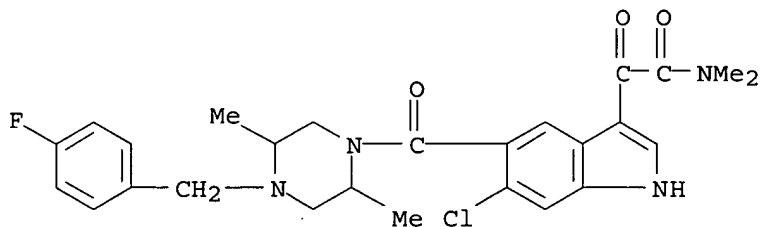
RN 309914-79-2 CAPLUS
 CN 1H-Indole-3-acetamide, 5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)



RN 309914-86-1 CAPLUS
 CN Morpholine, 4-[[5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1H-indol-3-yl]oxoacetyl]- (9CI) (CA INDEX NAME)

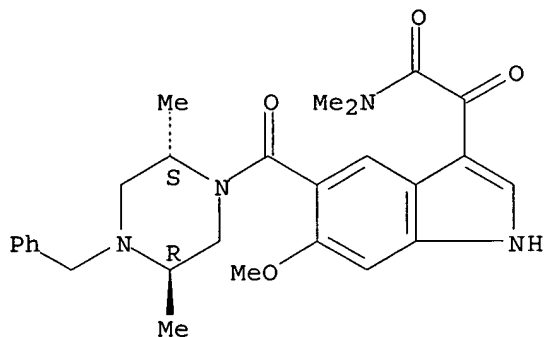


RN 309914-87-2 CAPLUS
 CN 1H-Indole-3-acetamide, 6-chloro-5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)



RN 309914-97-4 CAPLUS
 CN 1H-Indole-3-acetamide, 5-[[[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)

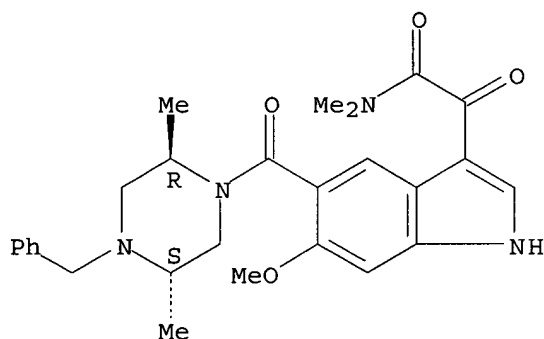
Absolute stereochemistry.



RN 309914-98-5 CAPLUS

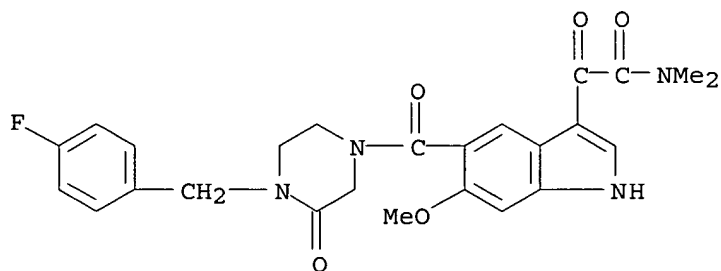
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 309915-14-8 CAPLUS

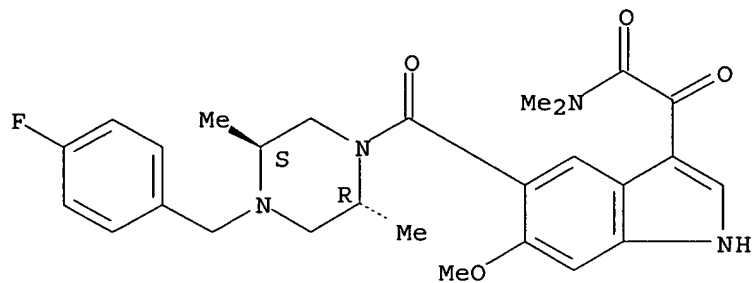
CN 1H-Indole-3-acetamide, 5-[[4-[(4-fluorophenyl)methyl]-3-oxo-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)



RN 672293-04-8 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)

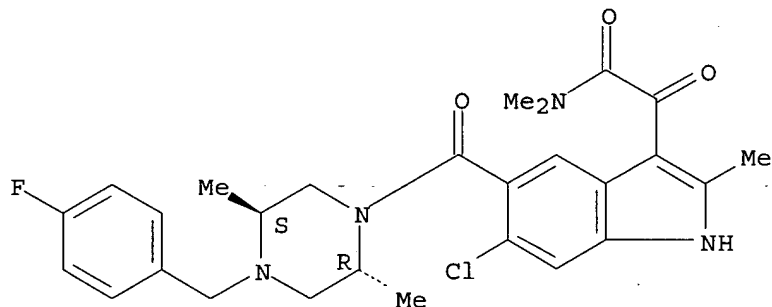
Absolute stereochemistry.



RN 672293-74-2 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,2-trimethyl- α -oxo- (9CI) (CA INDEX NAME)

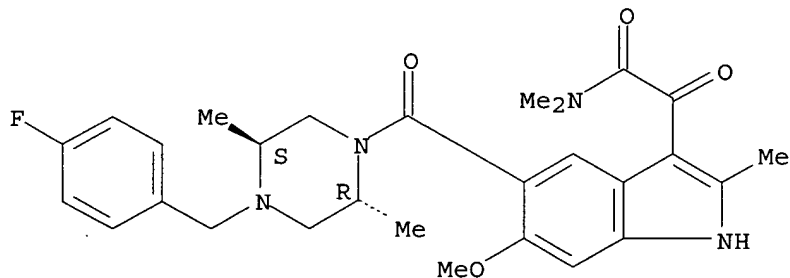
Absolute stereochemistry.



RN 672293-79-7 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,2-trimethyl- α -oxo- (9CI) (CA INDEX NAME)

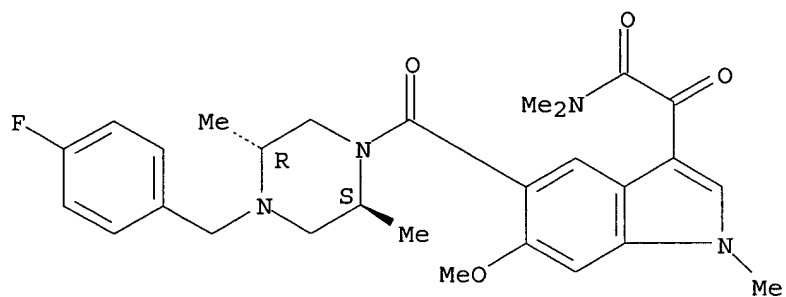
Absolute stereochemistry.



RN 848127-82-2 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[[(2S,5R)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,1-trimethyl- α -oxo- (9CI) (CA INDEX NAME)

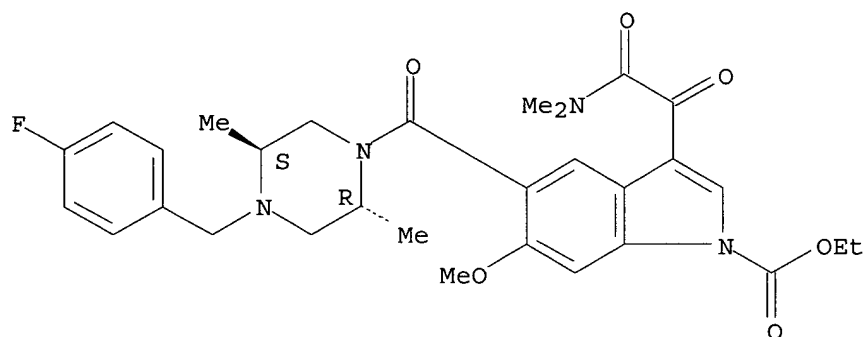
Absolute stereochemistry.



RN 848127-83-3 CAPLUS

CN 1H-Indole-1-carboxylic acid, 3-[(dimethylamino)oxoacetyl]-5-[[2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-, ethyl ester (9CI) (CA INDEX NAME)

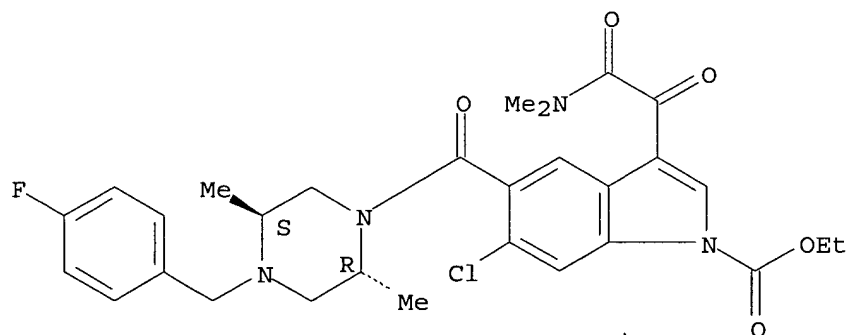
Absolute stereochemistry.



RN 848127-90-2 CAPLUS

CN 1H-Indole-1-carboxylic acid, 6-chloro-3-[(dimethylamino)oxoacetyl]-5-[[2,5-dimethyl-1-piperazinyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

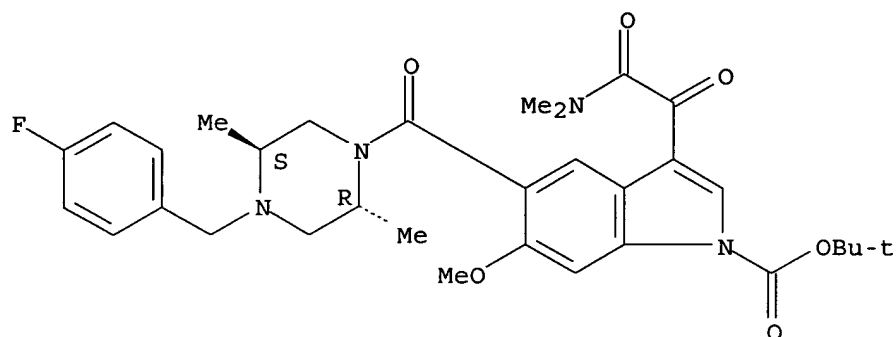


RN 848127-93-5 CAPLUS

CN 1H-Indole-1-carboxylic acid, 3-[(dimethylamino)oxoacetyl]-5-[[2,5-dimethyl-1-piperazinyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

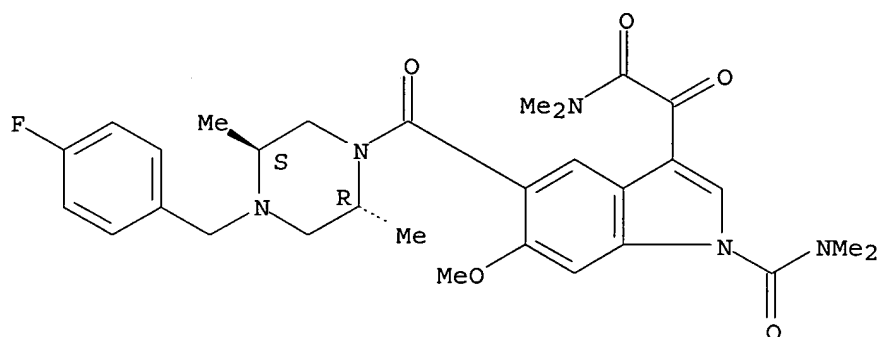
Absolute stereochemistry.



RN 848127-94-6 CAPLUS

CN 1H-Indole-3-acetamide, 1-[(dimethylamino)carbonyl]-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-α-oxo- (9CI) (CA INDEX NAME)

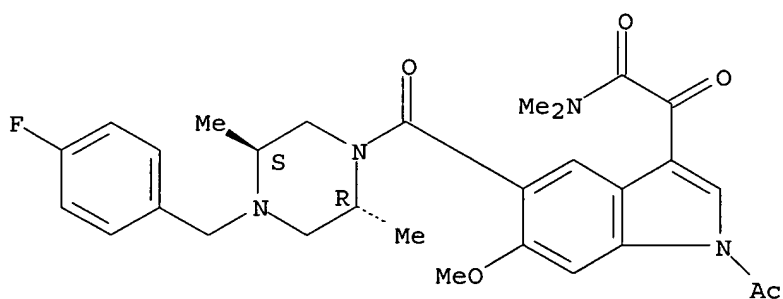
Absolute stereochemistry.



RN 848127-95-7 CAPLUS

CN 1H-Indole-3-acetamide, 1-acetyl-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-α-oxo- (9CI) (CA INDEX NAME)

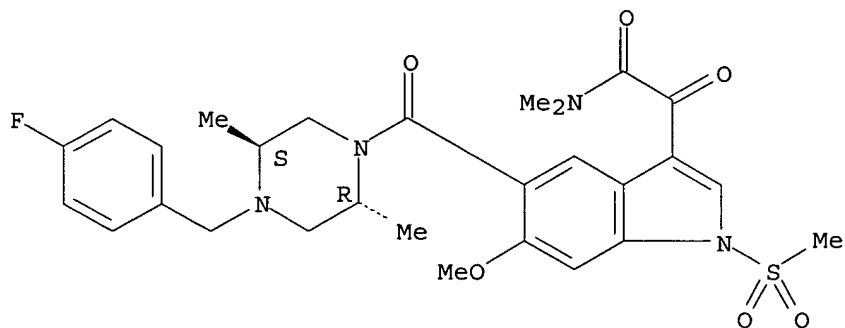
Absolute stereochemistry.



RN 848127-96-8 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-1-(methanesulfonyl)- α -oxo- (9CI) (CA INDEX NAME)

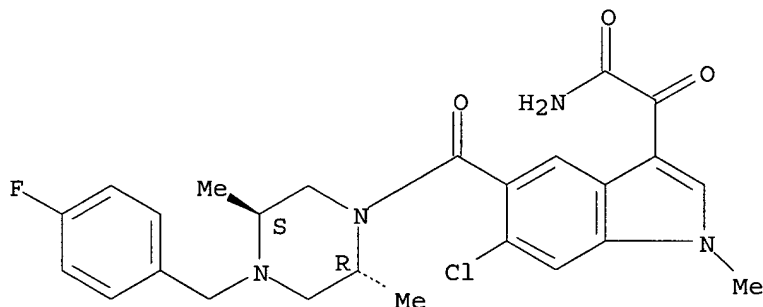
Absolute stereochemistry.



RN 848127-99-1 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1-methyl- α -oxo- (9CI) (CA INDEX NAME)

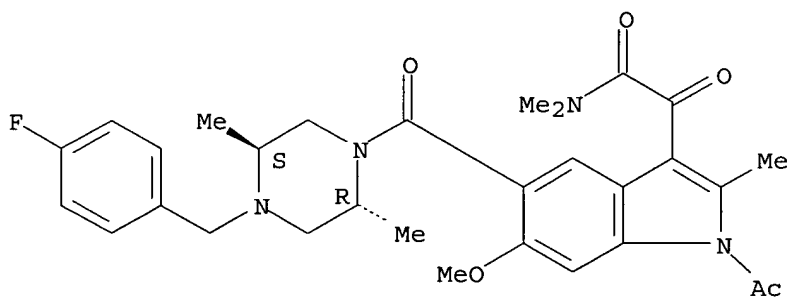
Absolute stereochemistry.



RN 848128-00-7 CAPLUS

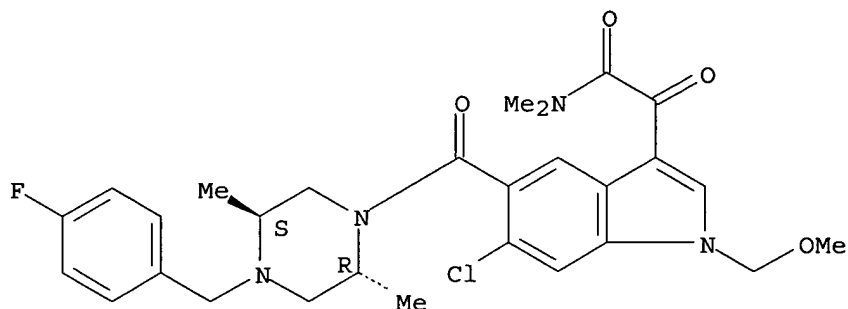
CN 1H-Indole-3-acetamide, 1-acetyl-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,2-trimethyl- α -oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



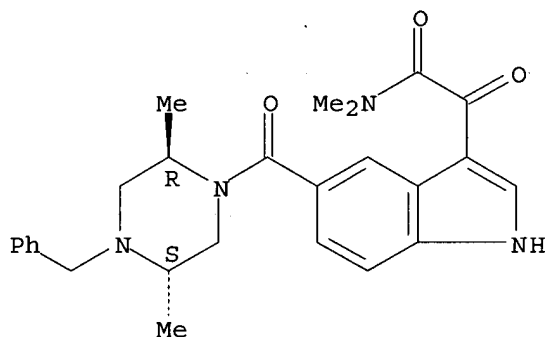
RN 848128-01-8 CAPLUS
 CN 1H-Indole-3-acetamide, 6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1-(methoxymethyl)-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



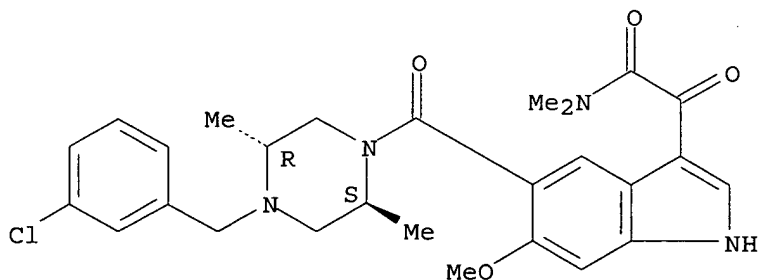
RN 848128-14-3 CAPLUS
 CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]carbonyl]-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



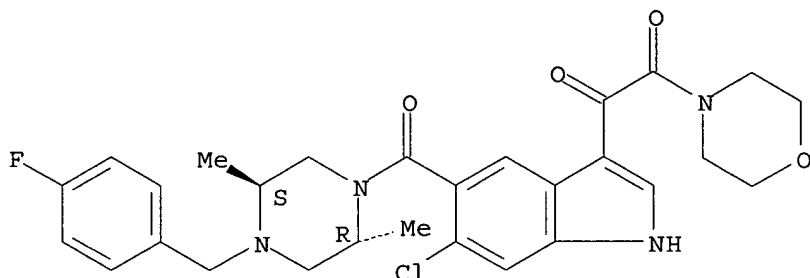
RN 848128-17-6 CAPLUS
 CN 1H-Indole-3-acetamide, 5-[[(2S,5R)-4-[(3-chlorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



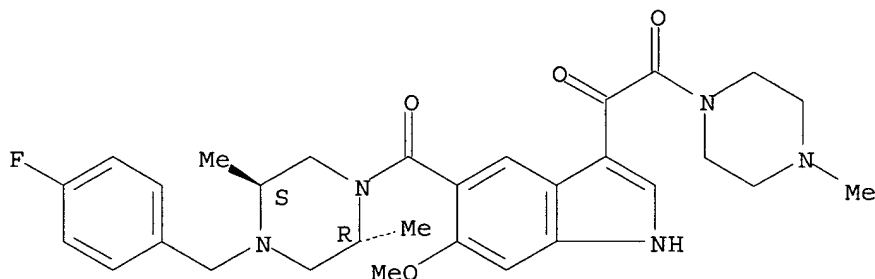
RN 848128-18-7 CAPLUS
 CN Morpholine, 4-[[6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1H-indol-3-yl]oxoacetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 848128-19-8 CAPLUS
 CN Piperazine, 1-[(4-fluorophenyl)methyl]-4-[[6-methoxy-3-[(4-methyl-1-piperazinyl)oxoacetyl]-1H-indol-5-yl]carbonyl]-2,5-dimethyl-, (2S,5R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2005:162022 CAPLUS
 DOCUMENT NUMBER: 142:254591
 TITLE: Methods of screening for compounds that selectively inhibit p38 MAP kinase α isoenzymes for use as immunomodulators
 INVENTOR(S): Kirschenbaum, Ford; Higgins, Linda S.; Schreiner, George F.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 41 pp., Cont.-in-part of U.S. Ser. No. 683,656.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
|------------|------|------|-----------------|------|

| | | | | |
|------------------------|-------|----------|-----------------|-------------|
| ----- | ----- | ----- | ----- | ----- |
| US 2005043212 | A1 | 20050224 | US 2004-830834 | 20040422 |
| US 2004176598 | A1 | 20040909 | US 2003-683656 | 20031009 |
| PRIORITY APPLN. INFO.: | | | US 2002-417599P | P 20021009 |
| | | | US 2003-683656 | A2 20031009 |

AB The invention relates to methods of screening for compds. that selectively inhibit p38 MAP kinase α isoenzymes for use as immunomodulators.

Inhibitors of p38 MAP kinase α isoenzyme include siRNA and SB203580.

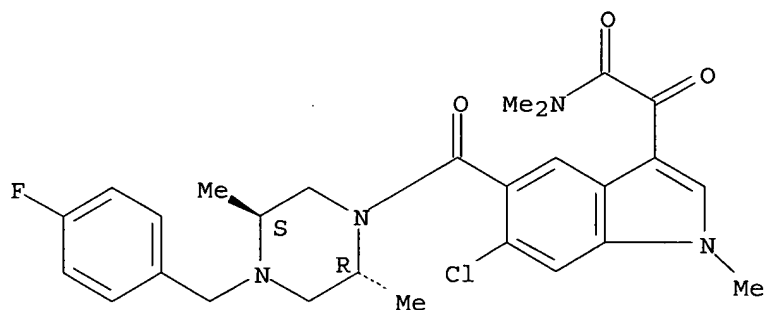
IT 309915-13-7 845537-39-5 845537-40-8
845537-41-9

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods of screening for compds. that selectively inhibit p38 MAP kinase α isoenzymes for use as immunomodulators)

RN 309915-13-7 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl- α -oxo-, rel- (9CI)
(CA INDEX NAME)

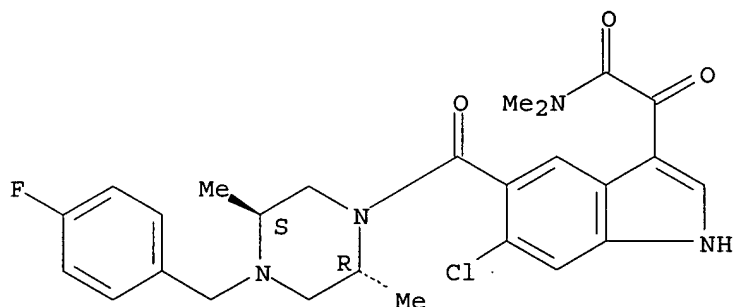
Relative stereochemistry.



RN 845537-39-5 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N-dimethyl- α -oxo-, rel- (9CI)
(CA INDEX NAME)

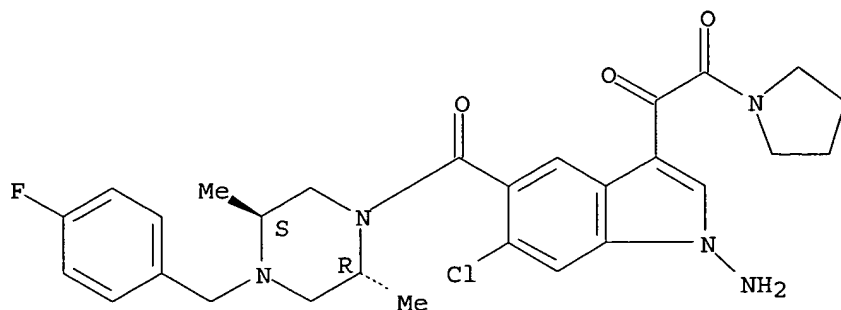
Relative stereochemistry.



RN 845537-40-8 CAPLUS

CN Piperazine, 1-[[[1-amino-6-chloro-3-(oxo-1-pyrrolidinylacetyl)-1H-indol-5-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI)
(CA INDEX NAME)

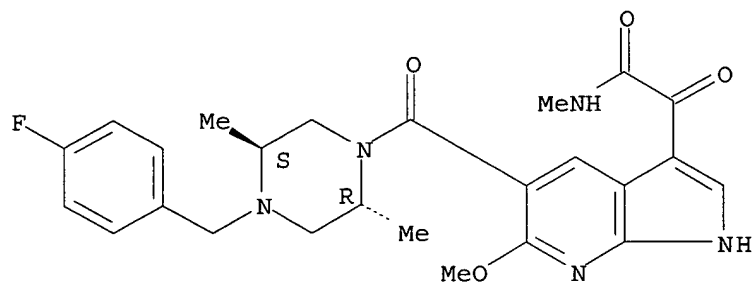
Relative stereochemistry.



RN 845537-41-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N-methyl- α -oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L17 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:515679 CAPLUS

DOCUMENT NUMBER: 141:47344

TITLE: Methods using p38 mitogen-activated protein kinase inhibitors for treating diabetes

INVENTOR(S): Medicherla, Satyanarayana; Protter, Andrew A.; Schreiner, George F.

PATENT ASSIGNEE(S): Scios Inc., USA

SOURCE: PCT Int. Appl., 104 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2004053107 | A2 | 20040624 | WO 2003-US40140 | 20031205 |
| WO 2004053107 | A3 | 20041007 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|---------------|----|----------|-----------------|----------|
| CA 2511763 | AA | 20040624 | CA 2003-2511763 | 20031205 |
| AU 2003299652 | A1 | 20040630 | AU 2003-299652 | 20031205 |
| US 2004171659 | A1 | 20040902 | US 2003-728665 | 20031205 |
| EP 1583535 | A2 | 20051012 | EP 2003-799936 | 20031205 |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

| | | | | |
|---------------|----|----------|----------------|----------|
| JP 2006510654 | T2 | 20060330 | JP 2004-558236 | 20031205 |
|---------------|----|----------|----------------|----------|

PRIORITY APPLN. INFO.:

| | | |
|-----------------|---|----------|
| US 2002-431241P | P | 20021206 |
| WO 2003-US40140 | W | 20031205 |

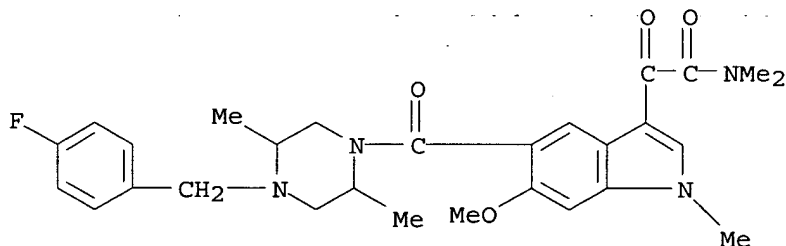
AB The invention discloses methods for treating diabetes by administering p38 mitogen-activated protein kinase inhibitors. The invention also discloses methods of decreasing blood glucose level in diabetes patients by administering p38 mitogen-activated protein kinase inhibitors.

IT 309913-41-5 309913-59-5 309914-17-8
309914-25-8 309914-79-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(p38 MAP kinase inhibitors for treatment of diabetes)

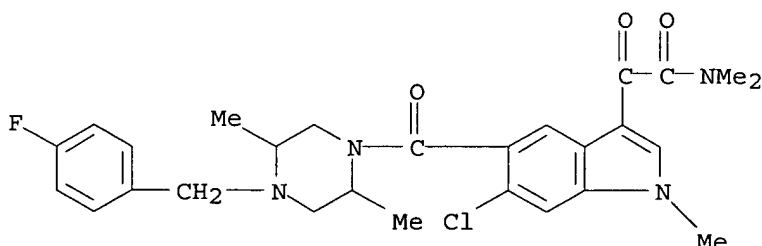
RN 309913-41-5 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,1-trimethyl- α -oxo- (9CI) (CA
INDEX NAME)



RN 309913-59-5 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl- α -oxo- (9CI) (CA
INDEX NAME)

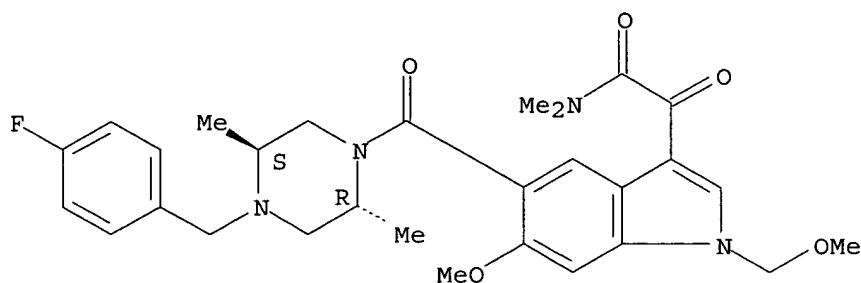


RN 309914-17-8 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1-(methoxymethyl)-N,N-dimethyl- α -

oxo- (9CI) (CA INDEX NAME)

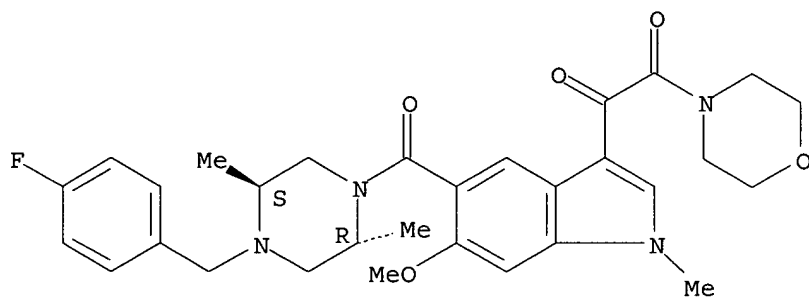
Absolute stereochemistry.



RN 309914-25-8 CAPLUS

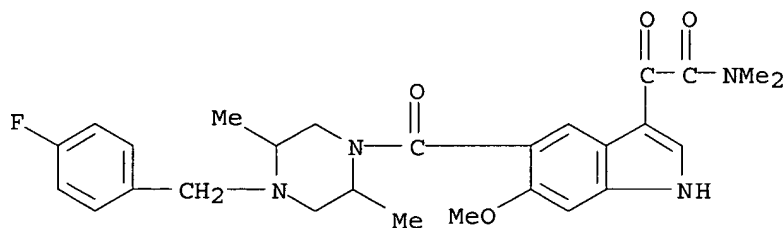
CN Morpholine, 4-[[5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1-methyl-1H-indol-3-yl]oxoacetyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 309914-79-2 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-α-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:331941 CAPLUS

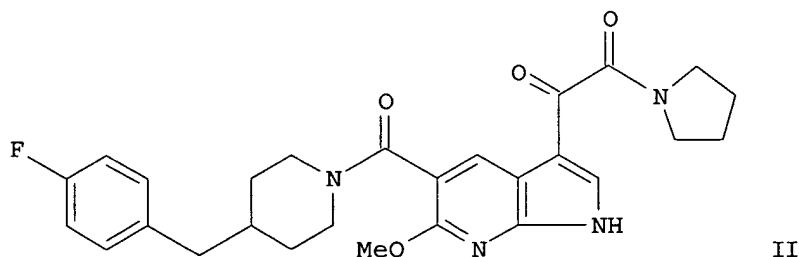
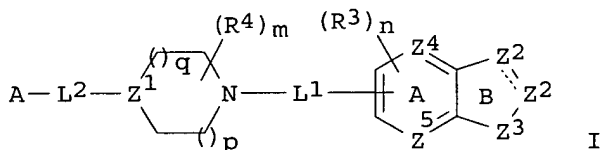
DOCUMENT NUMBER: 140:339341

TITLE: Preparation of azaindole derivatives as inhibitors of p38 kinase

INVENTOR(S): Dugar, Sundeep

PATENT ASSIGNEE(S): Scios Inc., USA
 SOURCE: PCT Int. Appl., 70 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-------------------|------------|
| WO 2004032874 | A2 | 20040422 | WO 2003-US32171 | 20031009 |
| WO 2004032874 | A3 | 20041028 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2501947 | AA | 20040422 | CA 2003-2501947 | 20031009 |
| AU 2003279230 | A1 | 20040504 | AU 2003-279230 | 20031009 |
| EP 1560582 | A2 | 20050810 | EP 2003-770722 | 20031009 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003015233 | A | 20050823 | BR 2003-15233 | 20031009 |
| JP 2006505552 | T2 | 20060216 | JP 2004-543672 | 20031009 |
| PRIORITY APPLN. INFO.: | | | US 2002-417599P | P 20021009 |
| | | | WO 2003-US32171 | W 20031009 |
| OTHER SOURCE(S): | | | MARPAT 140:339341 | |
| GI | | | | |



AB Title compds. I [Z2 = (un)substituted mono/divalent carbon; Z3 = amino, O, S; Z4-5 = N, (un)substituted carbon wherein at least one is N; R3-4 = non-interfering substituent; n = 0-3; L1-2 = linker; m = 0-4; Z1 = (un)substituted carbon, N; p, q = 0-2 wherein the sum is 0-3] are prepared For instance, N-[5-[4-(4-fluorobenzyl)piperidin-1-carbonyl]-6-methoxy-3-((trimethylsilyl)ethynyl)pyridin-2-yl]acetamide (preparation given) is treated with TBAF in THF causing it to cyclize to the pyrrolo[2,3-b]pyridine. This intermediate is acylated with oxalyl chloride (CH₂Cl₂) and acylated with pyrrolidine to give II. II exhibits IC₅₀ ≤ 1 μM towards p38 kinase. I are useful for the treatment of multiple sclerosis, rheumatoid arthritis, etc.

IT 680208-26-8P 680208-42-8P 680208-44-0P
680208-46-2P 680208-47-3P 680208-49-5P
680208-50-8P 680208-72-4P 680208-74-6P
680208-76-8P 680208-80-4P

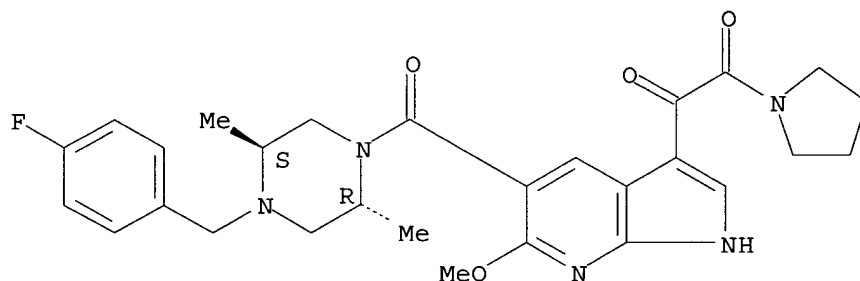
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(azaindole derivs. as inhibitors of p38 kinase)

RN 680208-26-8 CAPLUS

CN Piperazine, 1-[(4-fluorophenyl)methyl]-4-[[6-methoxy-3-(oxo-1-pyrrolidinylacetyl)-1H-pyrrolo[2,3-b]pyridin-5-yl]carbonyl]-2,5-dimethyl-, (2S,5R)-(9CI) (CA INDEX NAME)

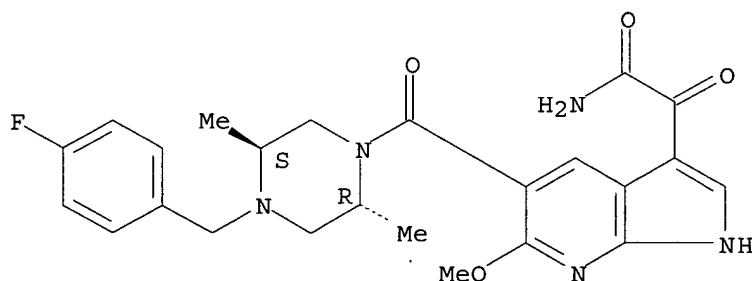
Absolute stereochemistry.



RN 680208-42-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-α-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

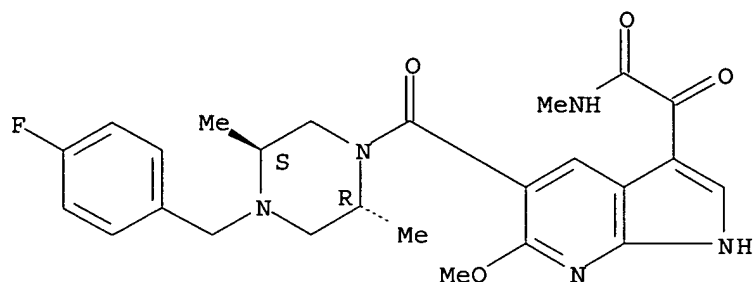


RN 680208-44-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-

fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N-methyl- α -oxo- (9CI) (CA INDEX NAME)

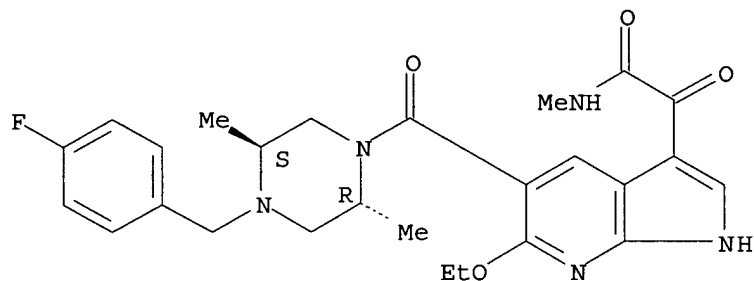
Absolute stereochemistry.



RN 680208-46-2 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 6-ethoxy-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N-methyl- α -oxo- (9CI) (CA INDEX NAME)

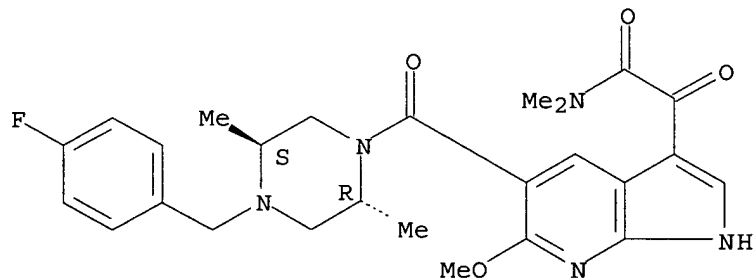
Absolute stereochemistry.



RN 680208-47-3 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)

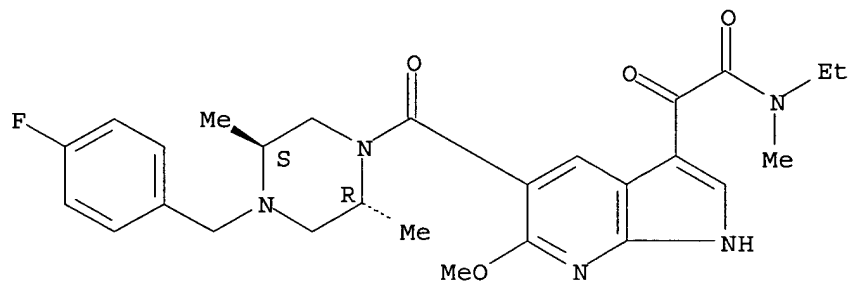
Absolute stereochemistry.



RN 680208-49-5 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-ethyl-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N-methyl- α -oxo- (9CI) (CA INDEX NAME)

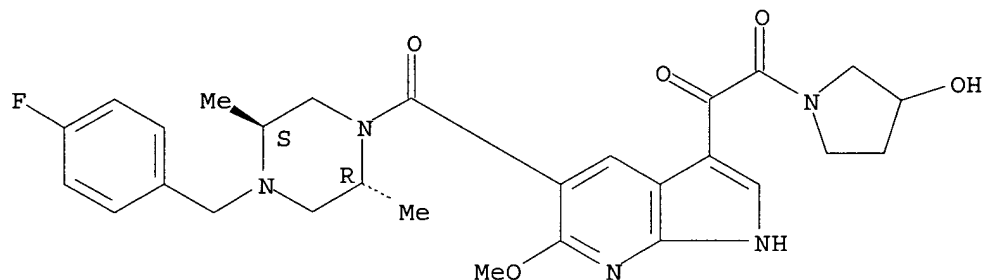
Absolute stereochemistry.



RN 680208-50-8 CAPLUS

CN Piperazine, 1-[(4-fluorophenyl)methyl]-4-[[3-[(3-hydroxy-1-pyrrolidinyl)oxoacetyl]-6-methoxy-1H-pyrrolo[2,3-b]pyridin-5-yl]carbonyl]-2,5-dimethyl-, (2S,5R)- (9CI) (CA INDEX NAME)

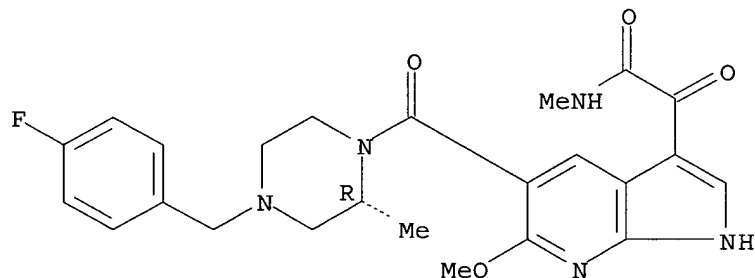
Absolute stereochemistry.



RN 680208-72-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]carbonyl]-6-methoxy-N-methyl- α -oxo- (9CI) (CA INDEX NAME)

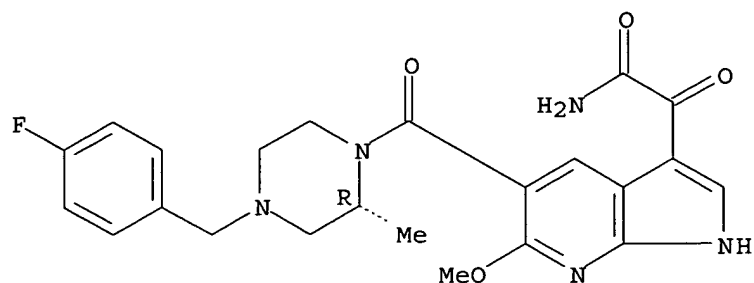
Absolute stereochemistry.



RN 680208-74-6 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]carbonyl]-6-methoxy- α -oxo- (9CI) (CA INDEX NAME)

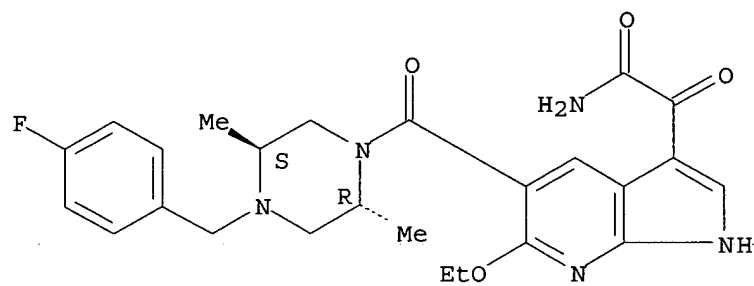
Absolute stereochemistry.



RN 680208-76-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 6-ethoxy-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]- α -oxo- (9CI) (CA INDEX NAME)

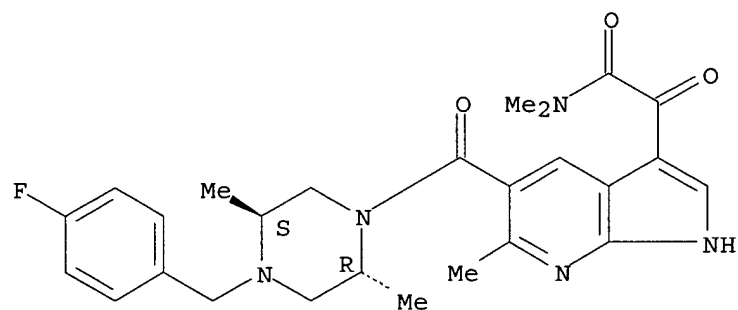
Absolute stereochemistry.



RN 680208-80-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,6-trimethyl- α -oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L17 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

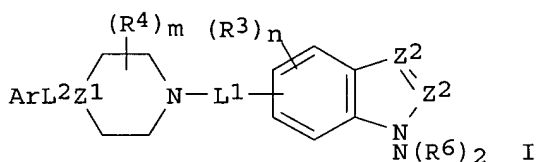
ACCESSION NUMBER: 2004:220433 CAPLUS

DOCUMENT NUMBER: 140:270879

TITLE: Preparation of piperidinylcarbonyl- and piperazinylcarbonylindolamines as p38 kinase inhibitors.

INVENTOR(S): Chakravarty, Sarvajit; Dugar, Sundeeep; Lu, Qing;
Luedtke, Gregory R.; Mavunkel, Babu J.; Perumatam,
John Joseph; Tester, Richland
PATENT ASSIGNEE(S): Scios Inc., USA
SOURCE: PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2004022712 | A2 | 20040318 | WO 2003-US27761 | 20030903 |
| WO 2004022712 | A3 | 20040429 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2497408 | AA | 20040318 | CA 2003-2497408 | 20030903 |
| AU 2003268464 | A1 | 20040329 | AU 2003-268464 | 20030903 |
| US 2004142940 | A1 | 20040722 | US 2003-654840 | 20030903 |
| EP 1545528 | A2 | 20050629 | EP 2003-749429 | 20030903 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | |
| JP 2006506346 | T2 | 20060223 | JP 2004-534595 | 20030903 |
| PRIORITY APPLN. INFO.: | | | US 2002-408493P | P 20020903 |
| | | | WO 2003-US27761 | W 20030903 |
| OTHER SOURCE(S): | MARPAT 140:270879 | | | |
| GI | | | | |



AB Title compds. [I; 1 Z₂ = CA, the other = CR₁; R₁, R₂, R₅, R₆ = H, noninterfering substituent; A = WiCOXjY; Y = COR₂; W, X = spacer of 2-6Å; i, j = 0, 1; 2 R₆ may form a 5-6 membered ring; m = 0-4; n = 0-3; L₁, L₂ = linker; R₄ = noninterfering substituent; Z₁ = N, CR₅; Ar = (substituted) (fused) Ph, thienyl], were prepared for treatment of pro-inflammation response (no data). Thus, 1-(4-fluorobenzyl)-2S,5R-dimethylpiperazine, 6-chloroindole-5-carboxylic acid (preparation given), TBTU, and Et₃N were stirred in DMF overnight to give 92% amide, which in CH₂Cl₂ at 0° was treated with (COCl)₂ followed by stirring at room temperature for 5 h. Pyrrolidine was added followed by stirring for 1 h to give 71% 1-[6-chloro-5-[4-(4-fluorobenzyl)-2R,5S-dimethylpiperazine-1-carbonyl]-1H-indol-3-yl]-2-pyrrolidin-1-ylethane-1,2-dione. This was stirred with NaH

in THF for 30 min.; O-(diphenylphosphinyl)hydroxylamine was added followed by stirring for 10 h to give 1-[1-amino-6-chloro-5-[4-(4-fluorobenzyl)-2R,5S-dimethylpiperazine-1-carbonyl]-1H-indol-3-yl]-2-pyrrolidin-1-ylethane-1,2-dione.

IT 672292-45-4P 672292-46-5P 672292-47-6P
672292-48-7P 672292-49-8P 672292-50-1P
672292-53-4P 672292-54-5P 672292-55-6P
672292-56-7P 672292-57-8P 672292-58-9P
672292-59-0P 672292-60-3P 672292-61-4P
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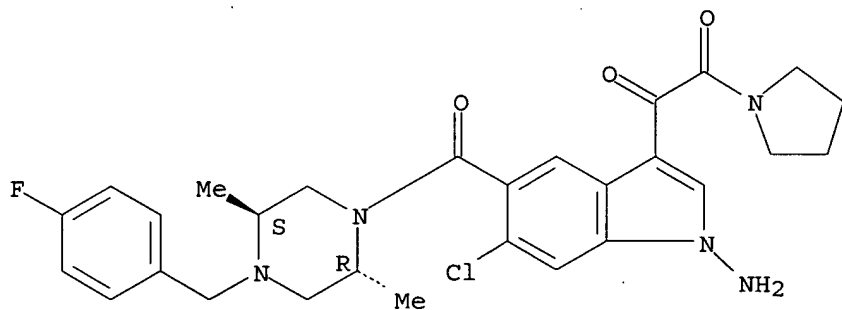
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidinylcarbonyl- and piperazinylcarbonylindolamines as p38 kinase inhibitors)

RN 672292-45-4 CAPLUS

CN Piperazine, 1-[[1-amino-6-chloro-3-(oxo-1-pyrrolidinylacetyl)-1H-indol-5-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

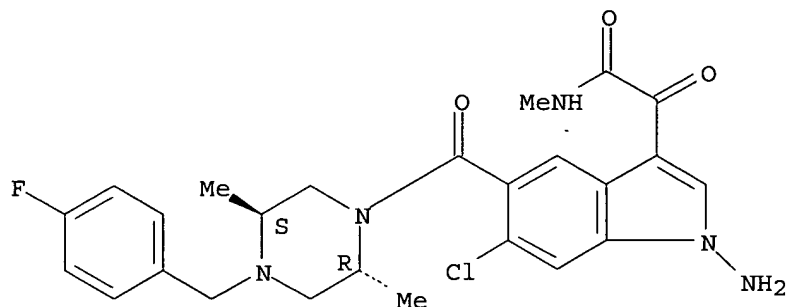
Absolute stereochemistry.



RN 672292-46-5 CAPLUS

CN 1H-Indole-3-acetamide, 1-amino-6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N-methyl- α -oxo- (9CI) (CA INDEX NAME)

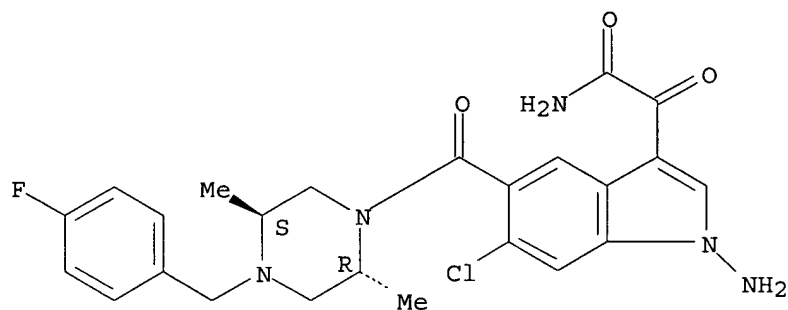
Absolute stereochemistry.



RN 672292-47-6 CAPLUS

CN 1H-Indole-3-acetamide, 1-amino-6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-α-oxo- (9CI) (CA INDEX NAME)

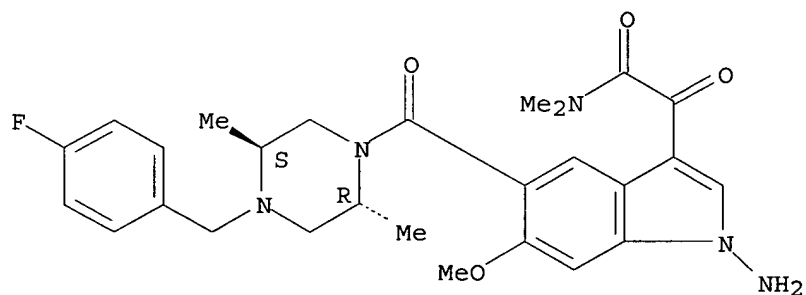
Absolute stereochemistry.



RN 672292-48-7 CAPLUS

CN 1H-Indole-3-acetamide, 1-amino-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-α-oxo- (9CI) (CA INDEX NAME)

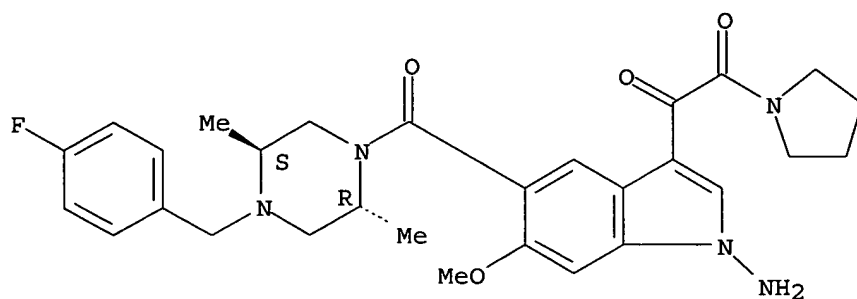
Absolute stereochemistry.



RN 672292-49-8 CAPLUS

CN Piperazine, 1-[[[1-amino-6-methoxy-3-(oxo-1-pyrrolidinylacetyl)-1H-indol-5-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

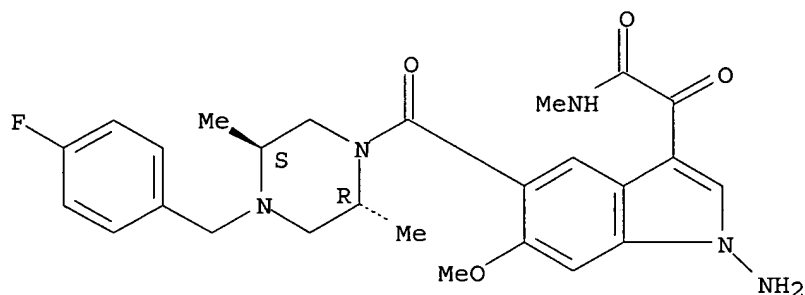
Absolute stereochemistry.



RN 672292-50-1 CAPLUS

CN 1H-Indole-3-acetamide, 1-amino-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N-methyl- α -oxo- (9CI)
(CA INDEX NAME)

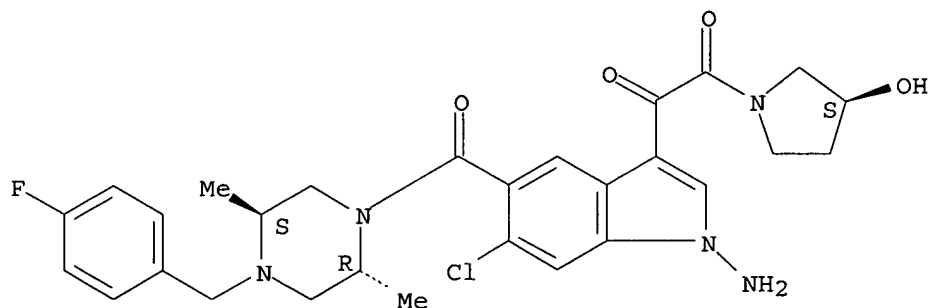
Absolute stereochemistry.



RN 672292-53-4 CAPLUS

CN Piperazine, 1-[[[1-amino-6-chloro-3-[[[(3S)-3-hydroxy-1-pyrrolidinyl]oxoacetyl]-1H-indol-5-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

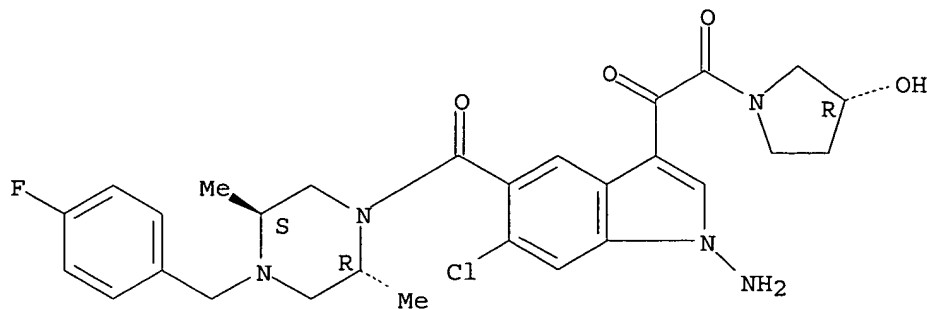
Absolute stereochemistry.



RN 672292-54-5 CAPLUS

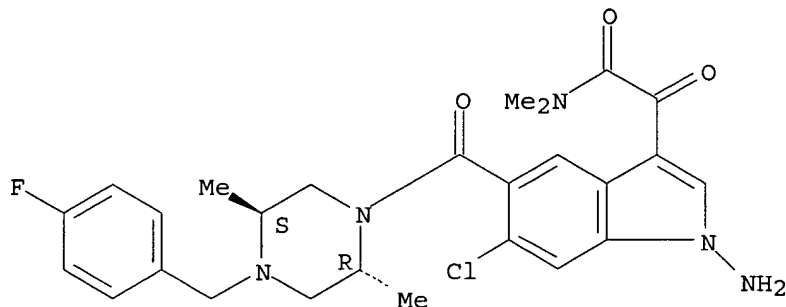
CN Piperazine, 1-[[[1-amino-6-chloro-3-[[[(3R)-3-hydroxy-1-pyrrolidinyl]oxoacetyl]-1H-indol-5-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



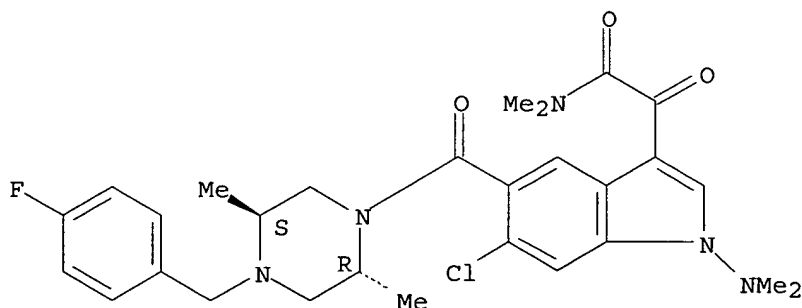
RN 672292-55-6 CAPLUS
 CN 1H-Indole-3-acetamide, 1-amino-6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



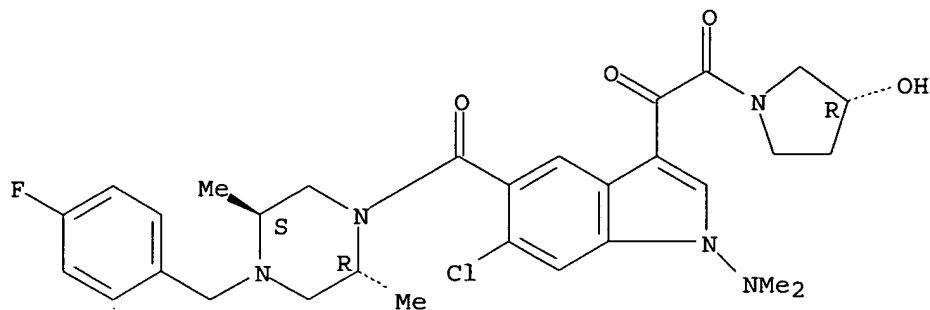
RN 672292-56-7 CAPLUS
 CN 1H-Indole-3-acetamide, 6-chloro-1-(dimethylamino)-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 672292-57-8 CAPLUS
 CN Piperazine, 1-[[6-chloro-1-(dimethylamino)-3-[[(3R)-3-hydroxy-1-pyrrolidinyl]oxoacetyl]-1H-indol-5-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

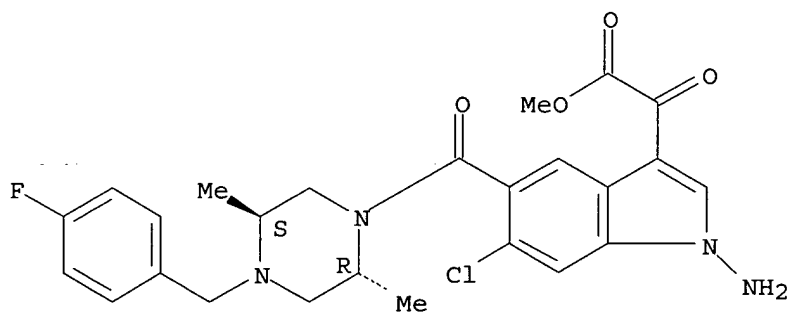
Absolute stereochemistry.



RN 672292-58-9 CAPLUS

CN 1H-Indole-3-acetic acid, 1-amino-6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]- α -oxo-, methyl ester (9CI) (CA INDEX NAME)

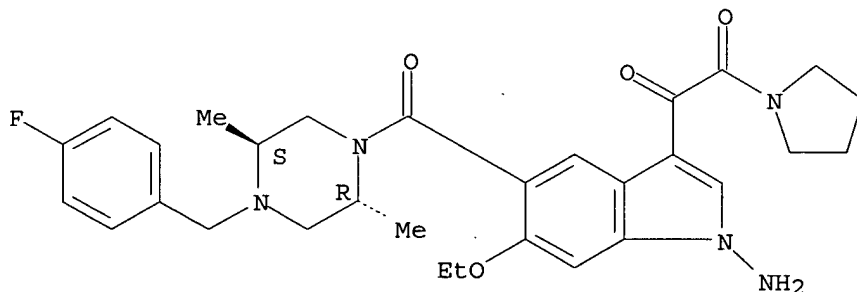
Absolute stereochemistry.



RN 672292-59-0 CAPLUS

CN Piperazine, 1-[[[1-amino-6-ethoxy-3-(oxo-1-pyrrolidinylacetyl)-1H-indol-5-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

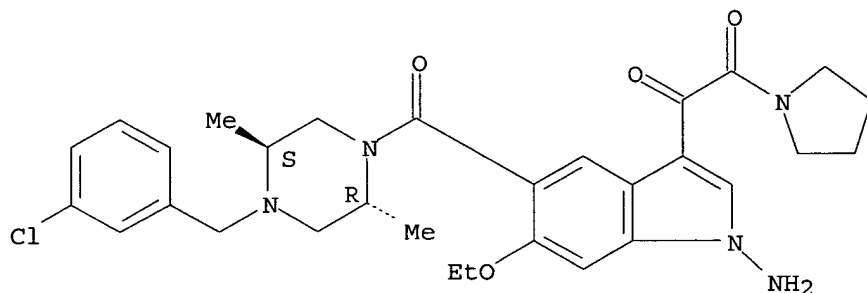


RN 672292-60-3 CAPLUS

CN Piperazine, 1-[[[1-amino-6-ethoxy-3-(oxo-1-pyrrolidinylacetyl)-1H-indol-5-yl]carbonyl]-4-[(3-chlorophenyl)methyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA

INDEX NAME)

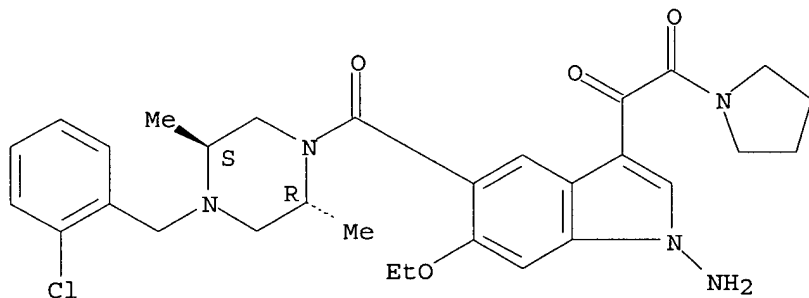
Absolute stereochemistry.



RN 672292-61-4 CAPLUS

CN Piperazine, 1-[[1-amino-6-ethoxy-3-(oxo-1-pyrrolidinylacetyl)-1H-indol-5-yl]carbonyl]-4-[(2-chlorophenyl)methyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

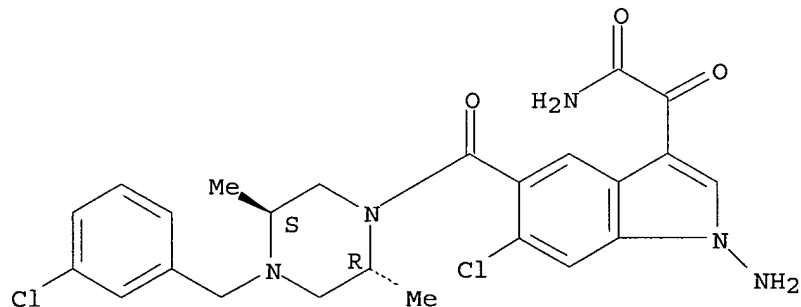
Absolute stereochemistry.



RN 672292-62-5 CAPLUS

CN 1H-Indole-3-acetamide, 1-amino-6-chloro-5-[[[(2R,5S)-4-[(3-chlorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-α-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

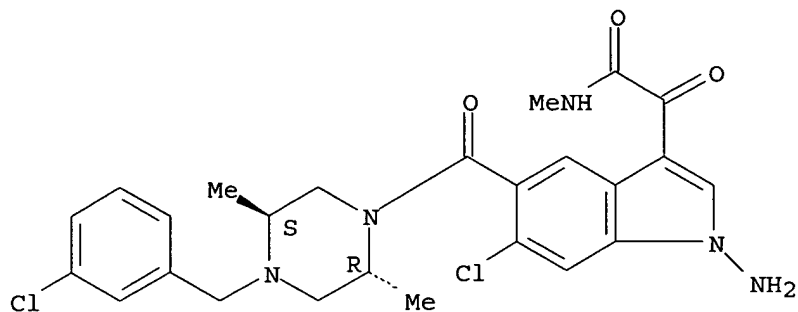


RN 672292-63-6 CAPLUS

CN 1H-Indole-3-acetamide, 1-amino-6-chloro-5-[[[(2R,5S)-4-[(3-

chlorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N-methyl- α -
oxo- (9CI) (CA INDEX NAME)

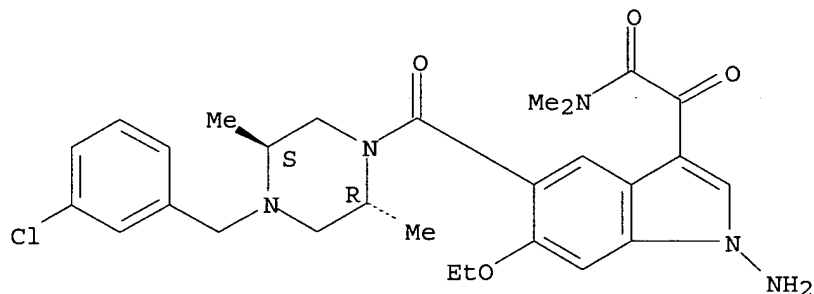
Absolute stereochemistry.



RN 672292-64-7 CAPLUS

CN 1H-Indole-3-acetamide, 1-amino-5-[[[(2R,5S)-4-[(3-chlorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-ethoxy-N,N-dimethyl- α -oxo- (9CI)
(CA INDEX NAME)

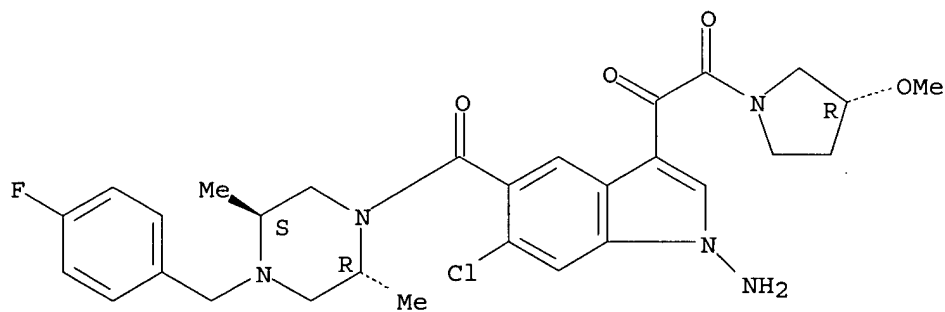
Absolute stereochemistry.



RN 672292-65-8 CAPLUS

CN Piperazine, 1-[[[1-amino-6-chloro-3-[[[(3R)-3-methoxy-1-pyrrolidinyl]oxoacetyl]-1H-indol-5-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

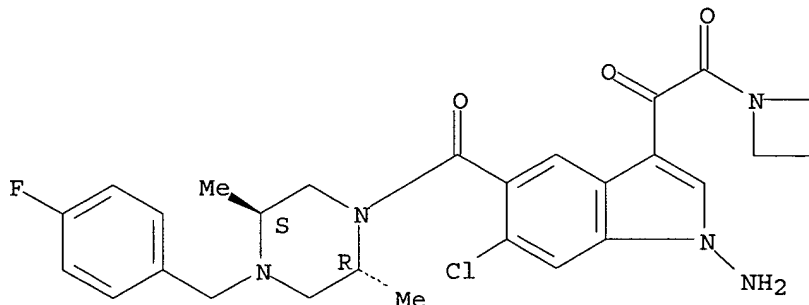
Absolute stereochemistry.



RN 672292-66-9 CAPLUS

CN Piperazine, 1-[[[1-amino-3-(1-azetidinyloxyacetyl)-6-chloro-1H-indol-5-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

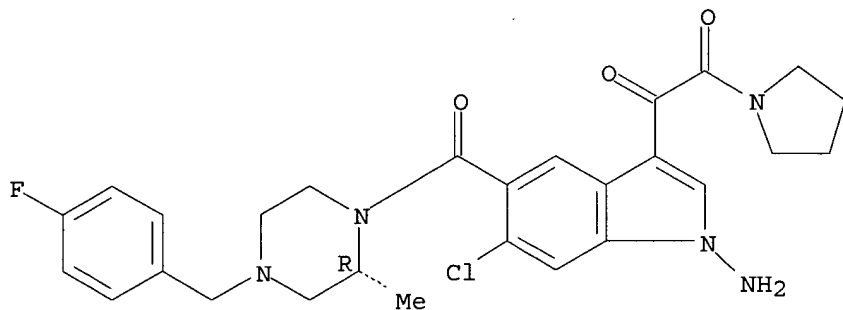
Absolute stereochemistry.



RN 672292-67-0 CAPLUS

CN Piperazine, 1-[[[1-amino-6-chloro-3-(oxo-1-pyrrolidinylacetyl)-1H-indol-5-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

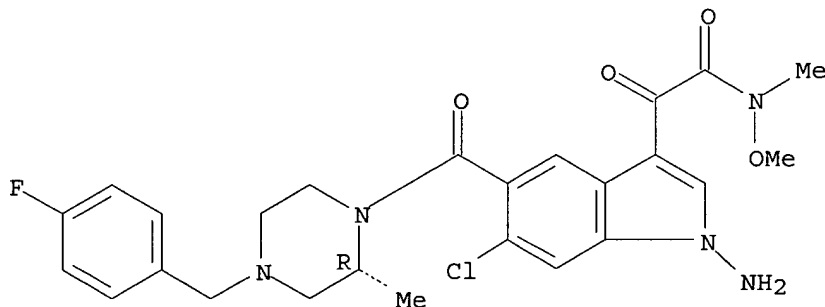
Absolute stereochemistry.



RN 672292-68-1 CAPLUS

CN 1H-Indole-3-acetamide, 1-amino-6-chloro-5-[[[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]carbonyl]-N-methoxy-N-methyl- α -oxo- (9CI) (CA INDEX NAME)

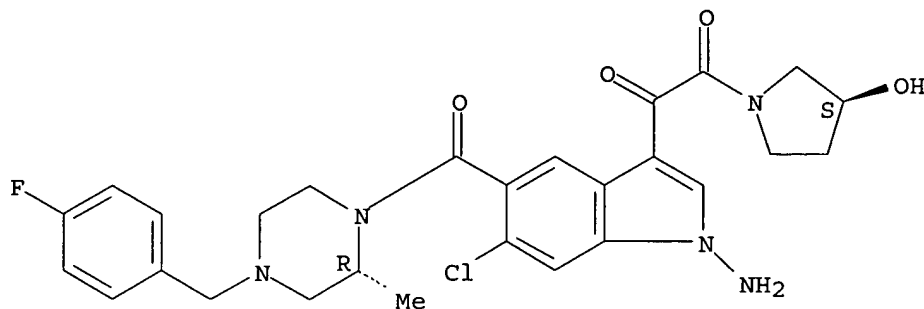
Absolute stereochemistry.



RN 672292-69-2 CAPLUS

CN Piperazine, 1-[[1-amino-6-chloro-3-[(3R)-3-hydroxy-1-pyrrolidinyl]oxoacetyl]-1H-indol-5-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

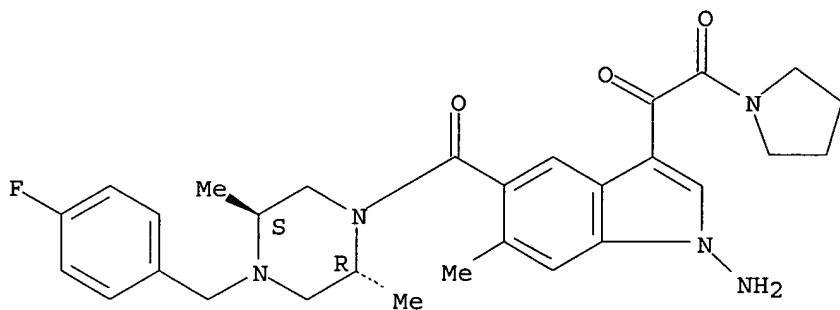
Absolute stereochemistry.



RN 672292-70-5 CAPLUS

CN Piperazine, 1-[[1-amino-6-methyl-3-(oxo-1-pyrrolidinylacetyl)-1H-indol-5-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

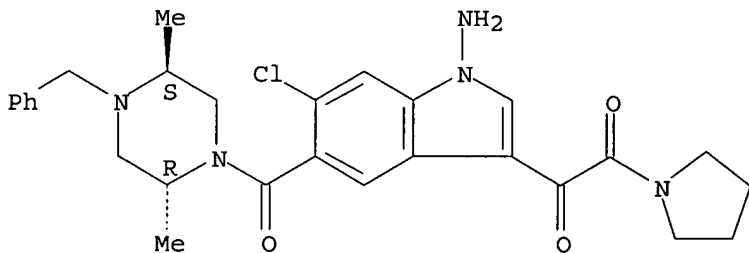
Absolute stereochemistry.



RN 672292-71-6 CAPLUS

CN Piperazine, 1-[[1-amino-6-chloro-3-(oxo-1-pyrrolidinylacetyl)-1H-indol-5-yl]carbonyl]-2,5-dimethyl-4-(phenylmethyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

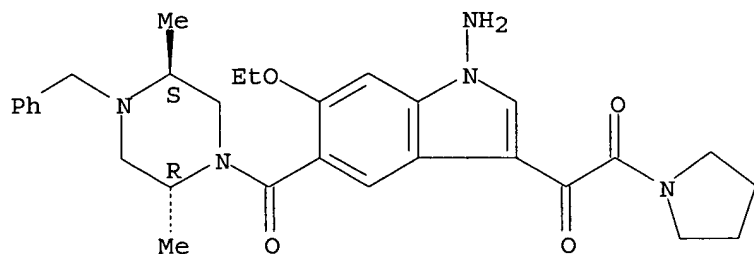
Absolute stereochemistry.



RN 672292-72-7 CAPLUS

CN Piperazine, 1-[[1-amino-6-ethoxy-3-(oxo-1-pyrrolidinylacetyl)-1H-indol-5-yl]carbonyl]-2,5-dimethyl-4-(phenylmethyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

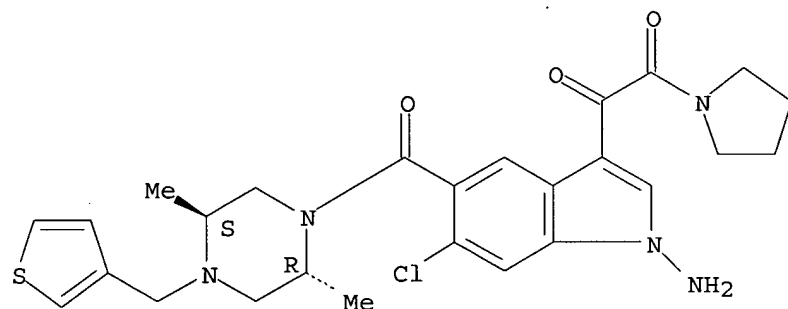
Absolute stereochemistry.



RN 672292-82-9 CAPLUS

CN Piperazine, 1-[[1-amino-6-chloro-3-(oxo-1-pyrrolidinylacetyl)-1H-indol-5-yl]carbonyl]-2,5-dimethyl-4-(3-thienylmethyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

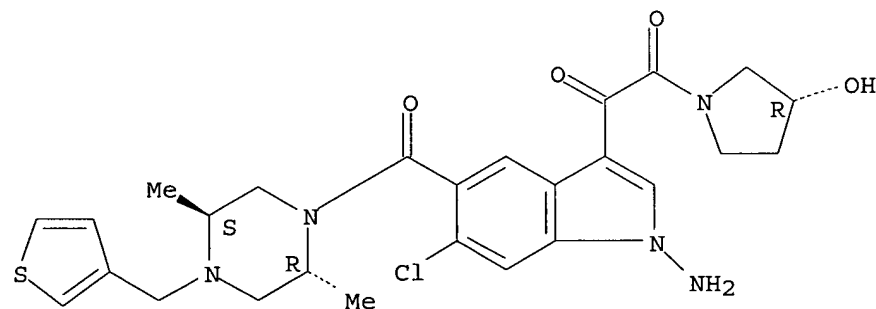
Absolute stereochemistry.



RN 672292-83-0 CAPLUS

CN Piperazine, 1-[[1-amino-6-chloro-3-[[[(3R)-3-hydroxy-1-pyrrolidinyl]oxoacetyl]-1H-indol-5-yl]carbonyl]-2,5-dimethyl-4-(3-thienylmethyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

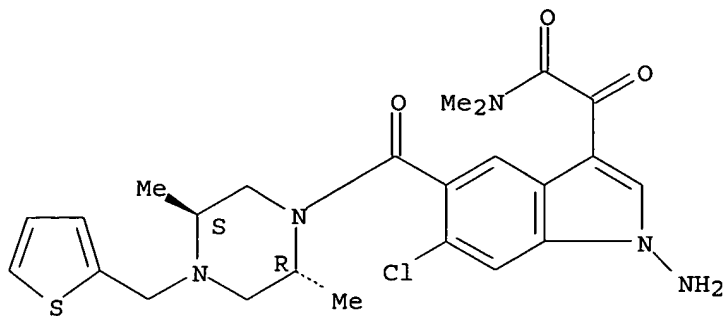


RN 672292-84-1 CAPLUS

CN 1H-Indole-3-acetamide, 1-amino-6-chloro-5-[[[(2R,5S)-2,5-dimethyl-4-(2-

thienylmethyl)-1-piperazinyl]carbonyl]-N,N-dimethyl- α -oxo- (9CI)
(CA INDEX NAME)

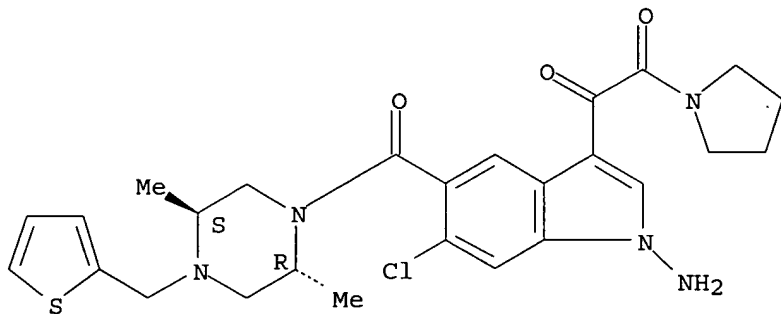
Absolute stereochemistry.



RN 672292-85-2 CAPLUS

CN Piperazine, 1-[[1-amino-6-chloro-3-(oxo-1-pyrrolidinylacetyl)-1H-indol-5-yl]carbonyl]-2,5-dimethyl-4-(2-thienylmethyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

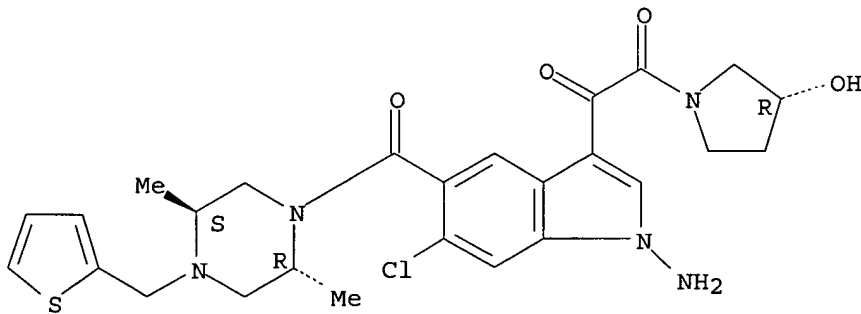
Absolute stereochemistry.



RN 672292-86-3 CAPLUS

CN Piperazine, 1-[[1-amino-6-chloro-3-[[1-(3R)-3-hydroxy-1-pyrrolidinyl]oxoacetyl]-1H-indol-5-yl]carbonyl]-2,5-dimethyl-4-(2-thienylmethyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

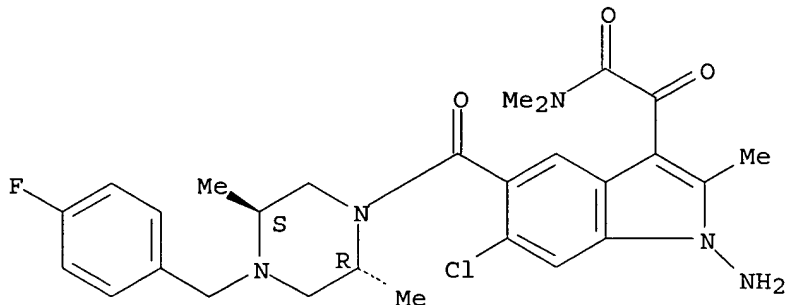
Absolute stereochemistry.



RN 672292-87-4 CAPLUS

CN 1H-Indole-3-acetamide, 1-amino-6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,2-trimethyl- α -oxo- (9CI) (CA INDEX NAME)

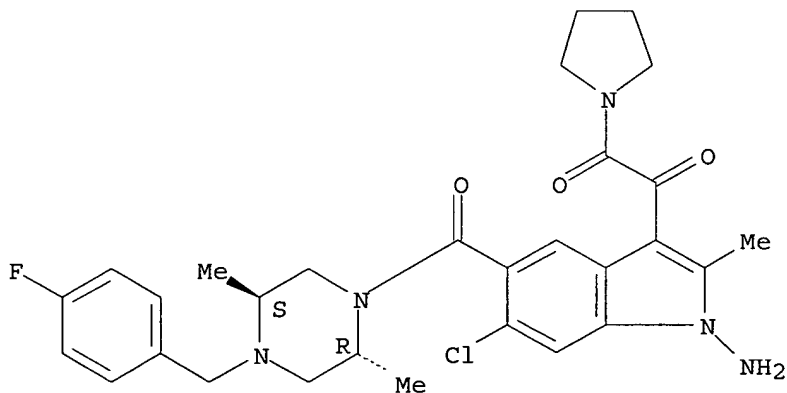
Absolute stereochemistry.



RN 672292-88-5 CAPLUS

CN Piperazine, 1-[[[1-amino-6-chloro-2-methyl-3-(oxo-1-pyrrolidinylacetyl)-1H-indol-5-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

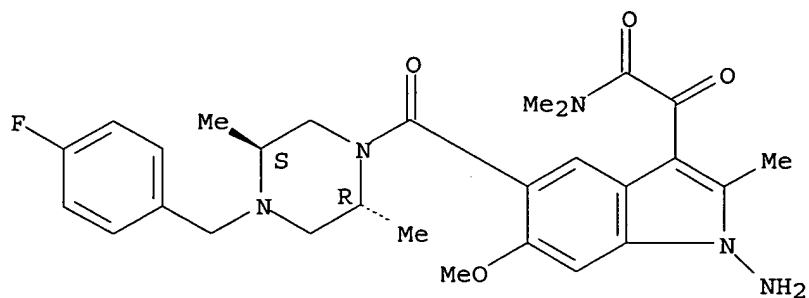
Absolute stereochemistry.



RN 672292-89-6 CAPLUS

CN 1H-Indole-3-acetamide, 1-amino-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,2-trimethyl- α -oxo- (9CI) (CA INDEX NAME)

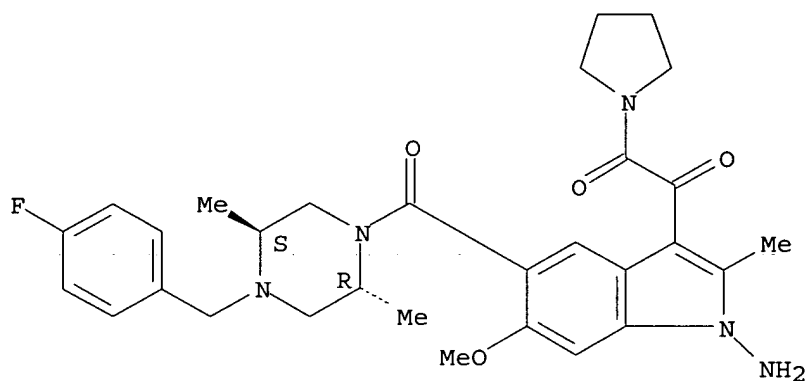
Absolute stereochemistry.



RN 672292-90-9 CAPLUS

CN Piperazine, 1-[[1-amino-6-methoxy-2-methyl-3-(oxo-1-pyrrolidinylacetyl)-1H-indol-5-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-, (2R,5S)-(9CI) (CA INDEX NAME)

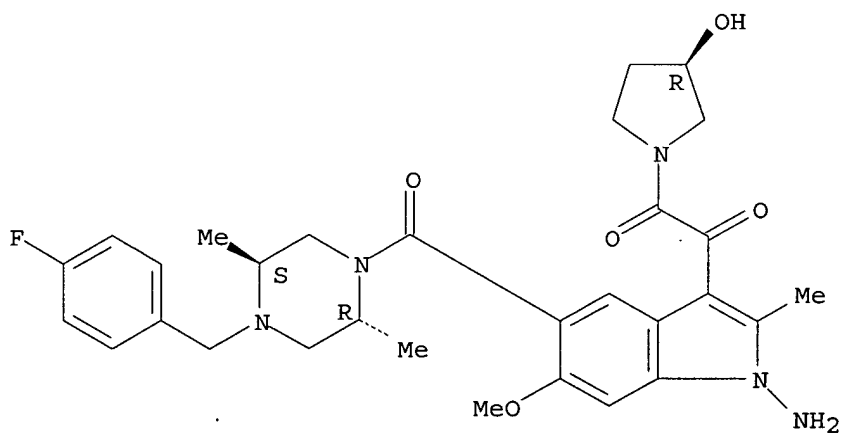
Absolute stereochemistry.



RN 672292-91-0 CAPLUS

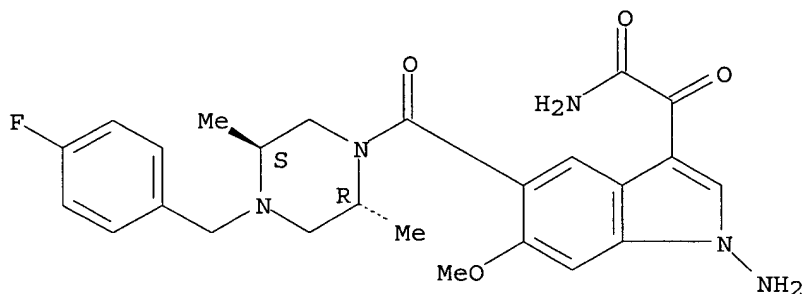
CN Piperazine, 1-[[1-amino-3-[[[(3R)-3-hydroxy-1-pyrrolidinyl]oxoacetyl]-6-methoxy-2-methyl-1H-indol-5-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-, (2R,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



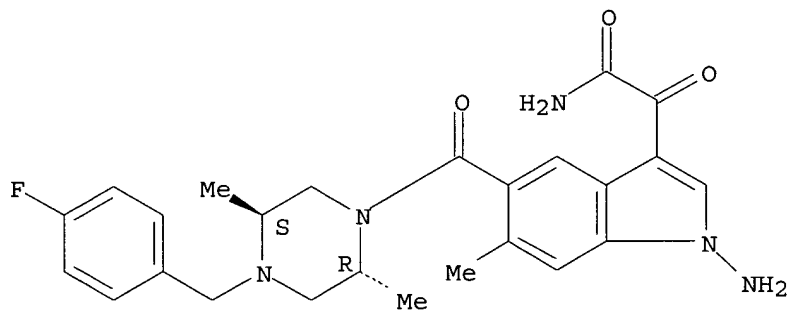
RN 672292-94-3 CAPLUS
 CN 1H-Indole-3-acetamide, 1-amino-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy- α -oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



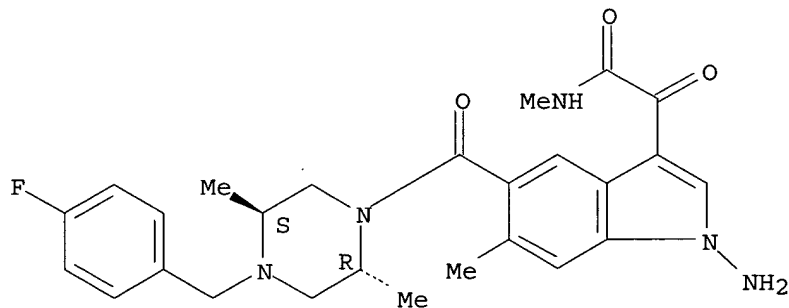
RN 672292-95-4 CAPLUS
 CN 1H-Indole-3-acetamide, 1-amino-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methyl- α -oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 672292-96-5 CAPLUS
 CN 1H-Indole-3-acetamide, 1-amino-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,6-dimethyl- α -oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 672293-00-4P 672293-01-5P 672293-02-6P
 672293-04-8P 672293-05-9P 672293-08-2P
 672293-09-3P 672293-10-6P 672293-13-9P
 672293-20-8P 672293-22-0P 672293-23-1P
 672293-24-2P 672293-25-3P 672293-27-5P
 672293-28-6P 672293-30-0P 672293-31-1P
 672293-32-2P 672293-41-3P 672293-43-5P
 672293-65-1P 672293-66-2P 672293-70-8P
 672293-71-9P 672293-72-0P 672293-74-2P
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 672293-87-7P

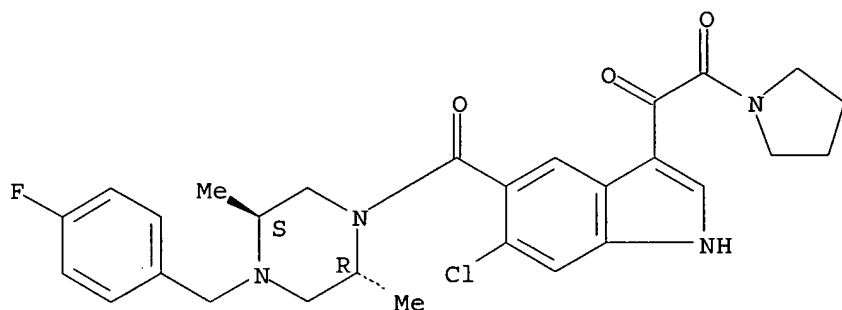
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of piperidinylcarbonyl- and piperazinylcarbonylindolamines as p38 kinase inhibitors)

RN 672293-00-4 CAPLUS

CN Piperazine, 1-[[6-chloro-3-(oxo-1-pyrrolidinylacetyl)-1H-indol-5-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

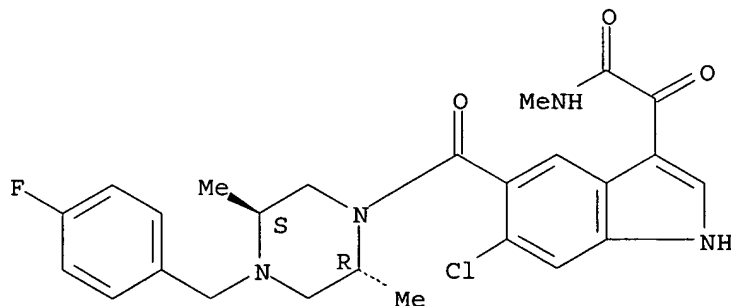
Absolute stereochemistry.



RN 672293-01-5 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N-methyl- α -oxo- (9CI) (CA INDEX NAME)

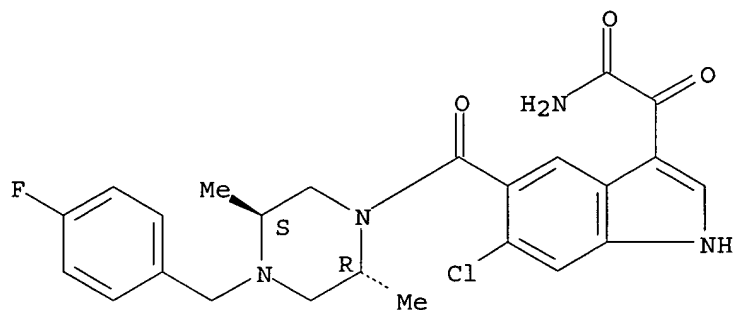
Absolute stereochemistry.



RN 672293-02-6 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]- α -oxo- (9CI) (CA INDEX NAME)

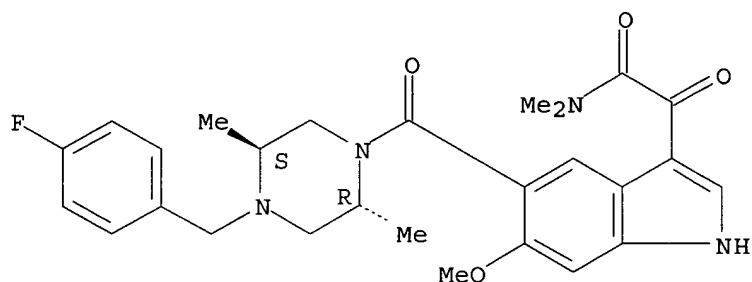
Absolute stereochemistry.



RN 672293-04-8 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)

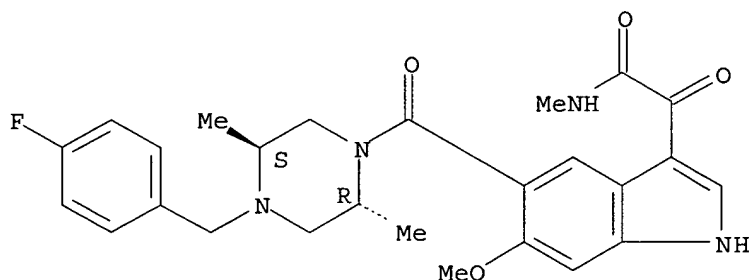
Absolute stereochemistry.



RN 672293-05-9 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N-methyl- α -oxo- (9CI) (CA INDEX NAME)

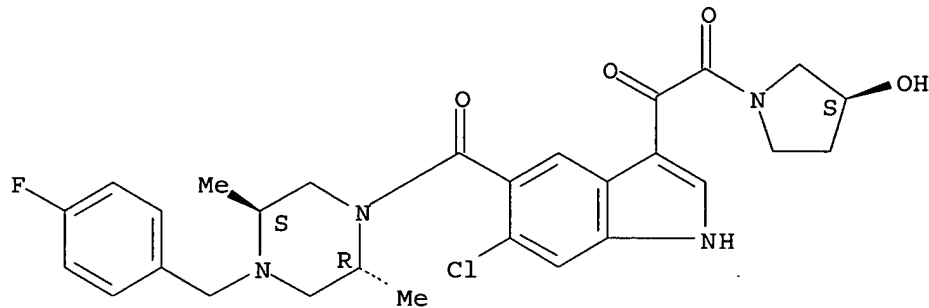
Absolute stereochemistry.



RN 672293-08-2 CAPLUS

CN Piperazine, 1-[[[6-chloro-3-[[[(3S)-3-hydroxy-1-pyrrolidinyl]oxoacetyl]-1H-indol-5-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

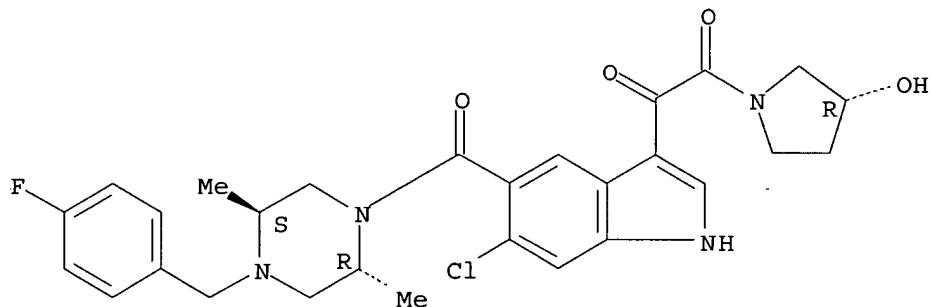
Absolute stereochemistry.



RN 672293-09-3 CAPLUS

CN Piperazine, 1-[[6-chloro-3-[[[(3R)-3-hydroxy-1-pyrrolidinyl]oxoacetyl]-1H-indol-5-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-, (2R,5S)-(9CI) (CA INDEX NAME)

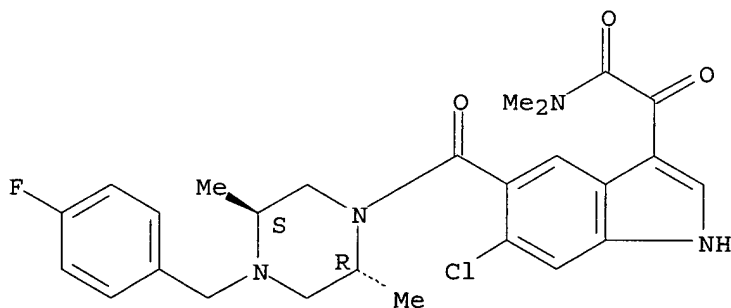
Absolute stereochemistry.



RN 672293-10-6 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)

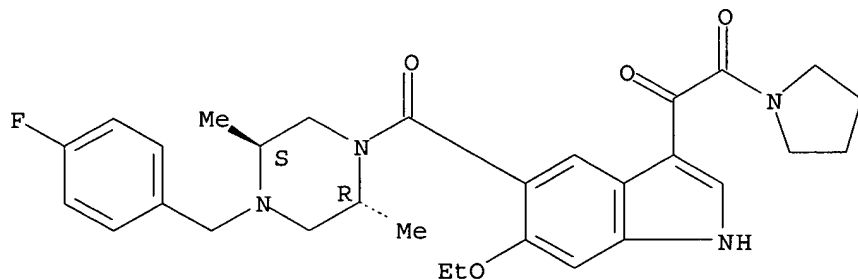
Absolute stereochemistry.



RN 672293-13-9 CAPLUS

CN Piperazine, 1-[[[6-ethoxy-3-(oxo-1-pyrrolidinylacetyl)-1H-indol-5-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-, (2R,5S)-(9CI) (CA INDEX NAME)

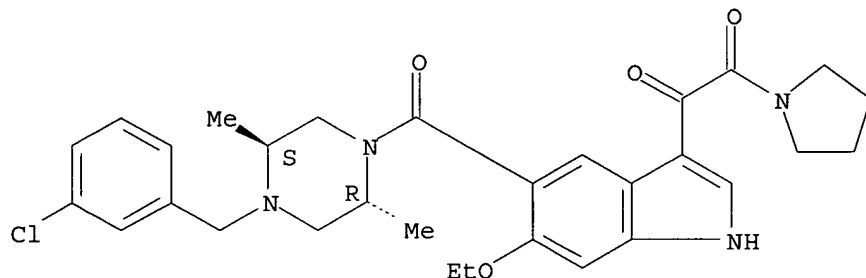
Absolute stereochemistry.



RN 672293-20-8 CAPLUS

CN Piperazine, 1-[(3-chlorophenyl)methyl]-4-[[6-ethoxy-3-(oxo-1-pyrrolidinylacetyl)-1H-indol-5-yl]carbonyl]-2,5-dimethyl-, (2S,5R)-(9CI)
(CA INDEX NAME)

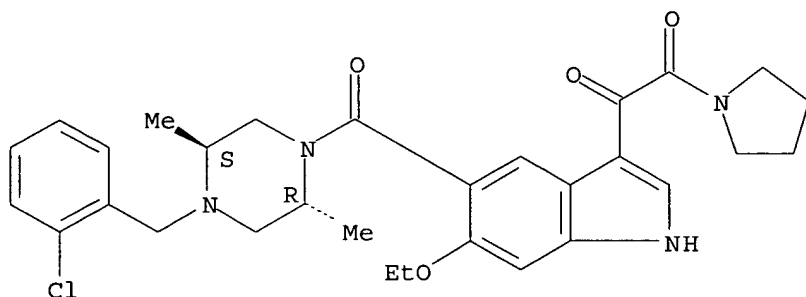
Absolute stereochemistry.



RN 672293-22-0 CAPLUS

CN Piperazine, 1-[(2-chlorophenyl)methyl]-4-[[6-ethoxy-3-(oxo-1-pyrrolidinylacetyl)-1H-indol-5-yl]carbonyl]-2,5-dimethyl-, (2S,5R)-(9CI)
(CA INDEX NAME)

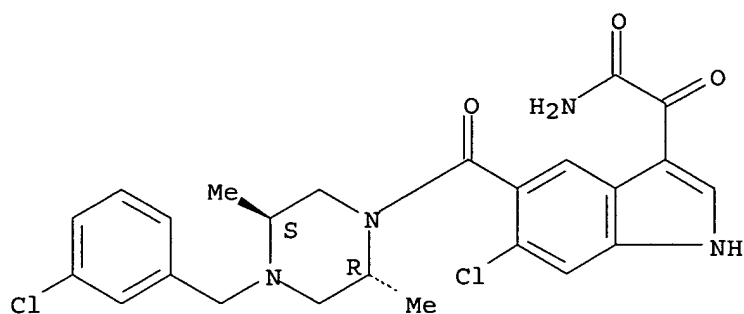
Absolute stereochemistry.



RN 672293-23-1 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[[(2R,5S)-4-[(3-chlorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-α-oxo-(9CI) (CA INDEX NAME)

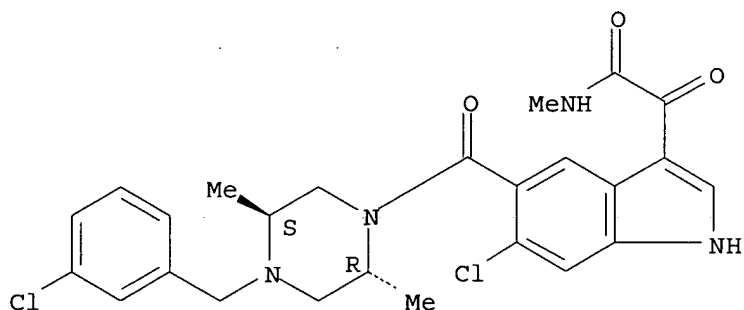
Absolute stereochemistry.



RN 672293-24-2 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[[(2R,5S)-4-[(3-chlorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N-methyl-α-oxo- (9CI) (CA INDEX NAME)

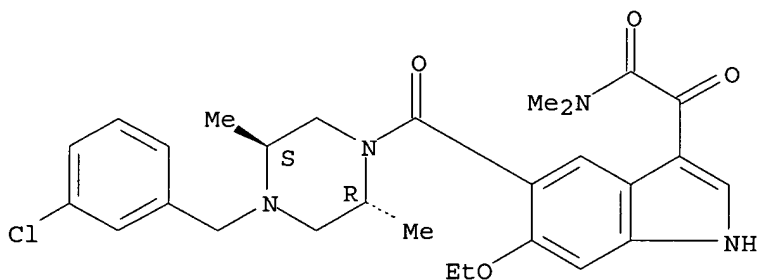
Absolute stereochemistry.



RN 672293-25-3 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[[(2R,5S)-4-[(3-chlorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-ethoxy-N,N-dimethyl-α-oxo- (9CI) (CA INDEX NAME)

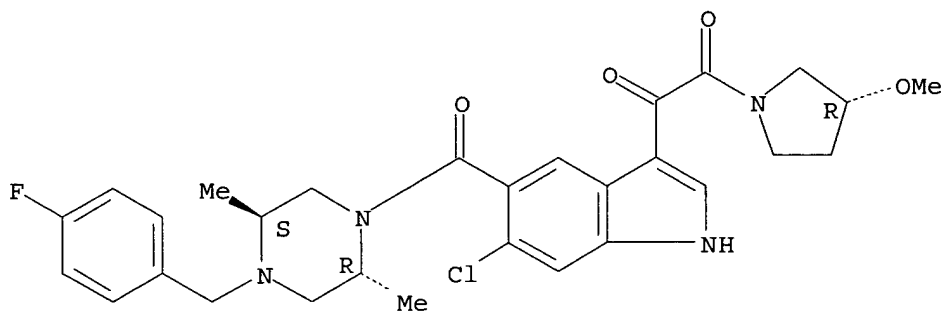
Absolute stereochemistry.



RN 672293-27-5 CAPLUS

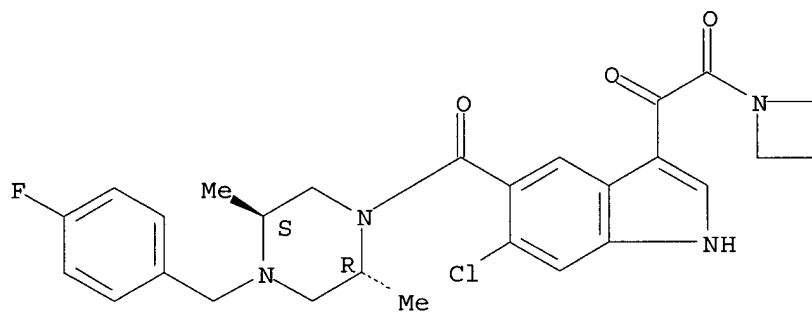
CN Piperazine, 1-[[[6-chloro-3-[[[(3R)-3-methoxy-1-pyrrolidinyl]oxoacetyl]-1H-indol-5-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



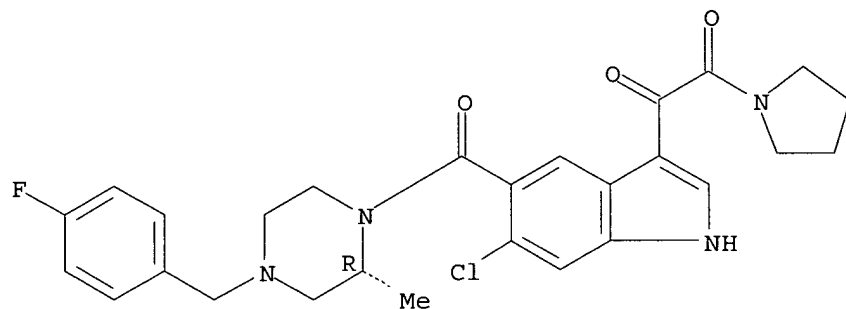
RN 672293-28-6 CAPLUS
 CN Piperazine, 1-[[3-(1-azetidinyloxoacetyl)-6-chloro-1H-indol-5-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



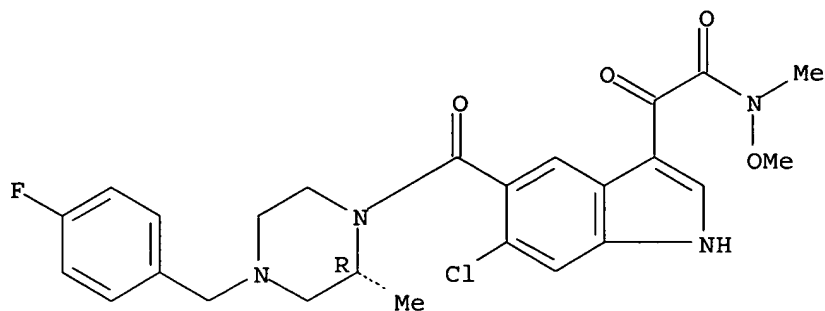
RN 672293-30-0 CAPLUS
 CN Piperazine, 1-[[6-chloro-3-(oxo-1-pyrrolidinylacetyl)-1H-indol-5-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 672293-31-1 CAPLUS
 CN 1H-Indole-3-acetamide, 6-chloro-5-[[[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]carbonyl]-N-methoxy-N-methyl- α -oxo- (9CI) (CA INDEX NAME)

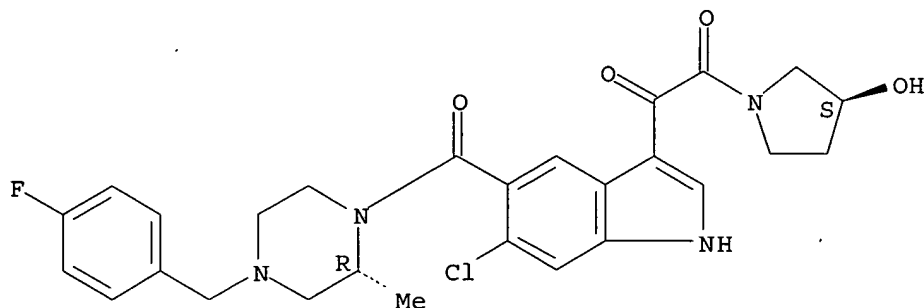
Absolute stereochemistry.



RN 672293-32-2 CAPLUS

CN Piperazine, 1-[[6-chloro-3-[[[(3S)-3-hydroxy-1-pyrrolidinyl]oxoacetyl]-1H-indol-5-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2-methyl-, (2R)- (9CI)
(CA INDEX NAME)

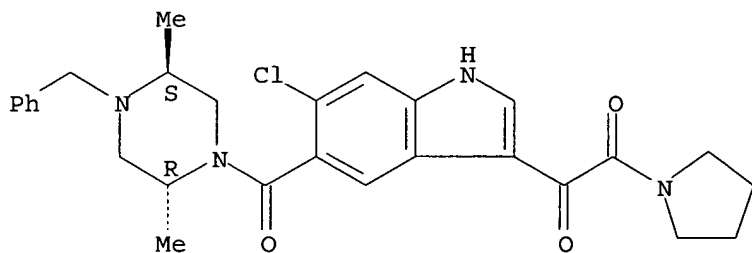
Absolute stereochemistry.



RN 672293-41-3 CAPLUS

CN Piperazine, 1-[[6-chloro-3-(oxo-1-pyrrolidinylacetyl)-1H-indol-5-yl]carbonyl]-2,5-dimethyl-4-(phenylmethyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

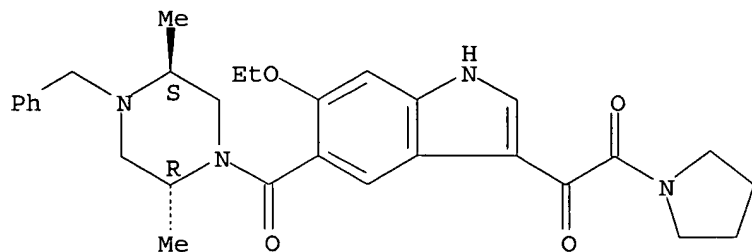
Absolute stereochemistry.



RN 672293-43-5 CAPLUS

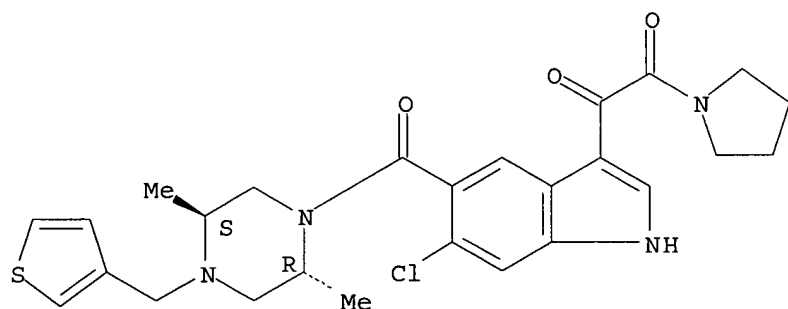
CN Piperazine, 1-[[6-ethoxy-3-(oxo-1-pyrrolidinylacetyl)-1H-indol-5-yl]carbonyl]-2,5-dimethyl-4-(phenylmethyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



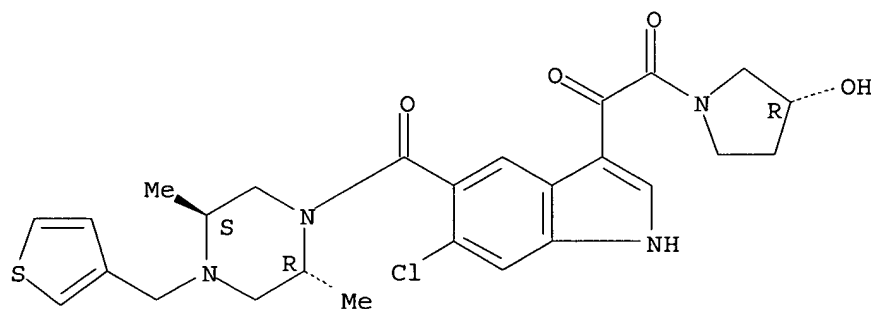
RN 672293-65-1 CAPLUS
 CN Piperazine, 1-[[6-chloro-3-(oxo-1-pyrrolidinylacetyl)-1H-indol-5-yl]carbonyl]-2,5-dimethyl-4-(3-thienylmethyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



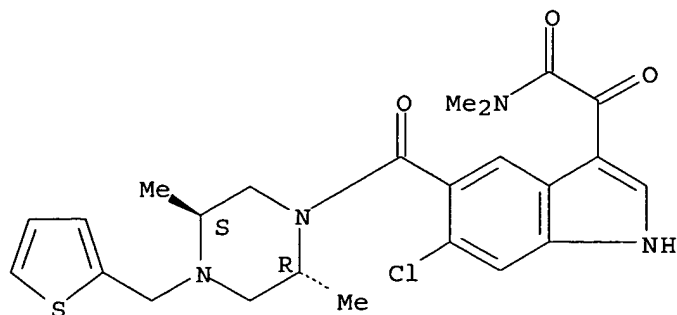
RN 672293-66-2 CAPLUS
 CN Piperazine, 1-[[6-chloro-3-[[[(3R)-3-hydroxy-1-pyrrolidinyl]oxoacetyl]-1H-indol-5-yl]carbonyl]-2,5-dimethyl-4-(3-thienylmethyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 672293-70-8 CAPLUS
 CN 1H-Indole-3-acetamide, 6-chloro-5-[[[(2R,5S)-2,5-dimethyl-4-(2-thienylmethyl)-1-piperazinyl]carbonyl]-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)

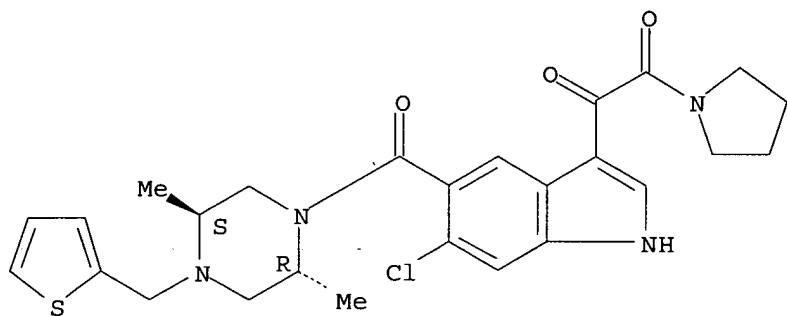
Absolute stereochemistry.



RN 672293-71-9 CAPLUS

CN Piperazine, 1-[[6-chloro-3-(oxo-1-pyrrolidinylacetyl)-1H-indol-5-yl]carbonyl]-2,5-dimethyl-4-(2-thienylmethyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

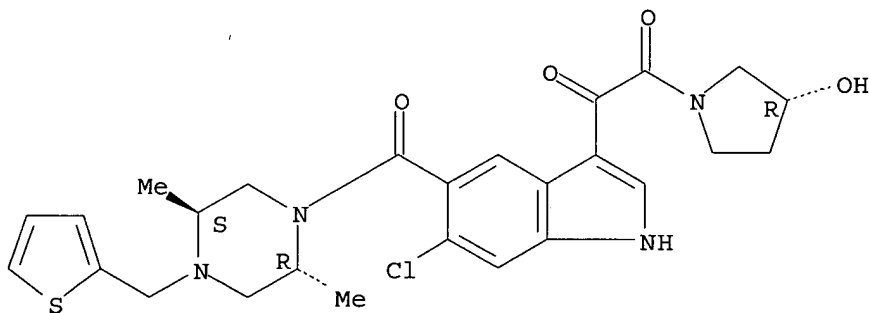
Absolute stereochemistry.



RN 672293-72-0 CAPLUS

CN Piperazine, 1-[[6-chloro-3-[[[(3R)-3-hydroxy-1-pyrrolidinyl]oxoacetyl]-1H-indol-5-yl]carbonyl]-2,5-dimethyl-4-(2-thienylmethyl)-, (2R,5S)- (9CI) (CA INDEX NAME)

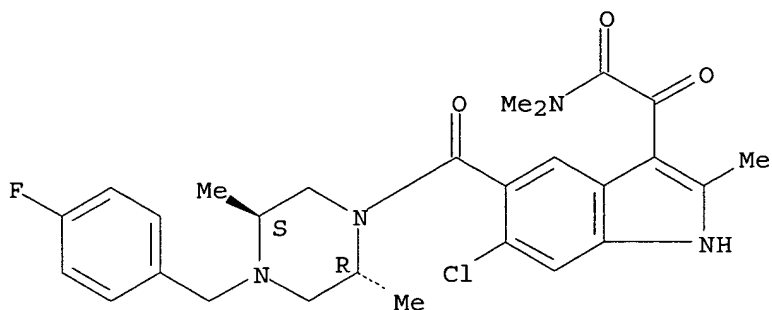
Absolute stereochemistry.



RN 672293-74-2 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,2-trimethyl-α-oxo- (9CI) (CA INDEX NAME)

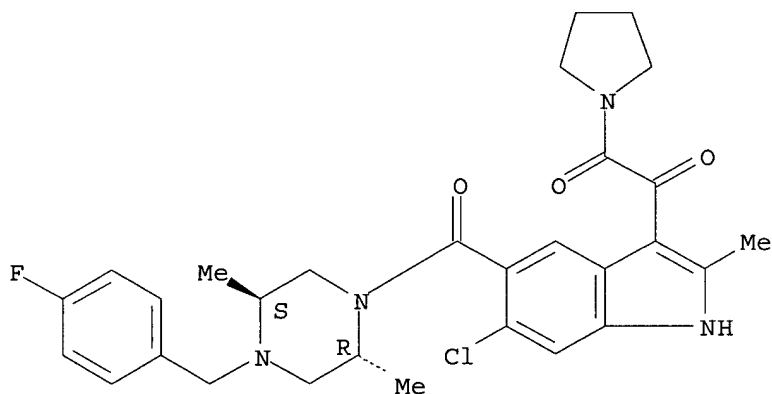
Absolute stereochemistry.



RN 672293-75-3 CAPLUS

CN Piperazine, 1-[[6-chloro-2-methyl-3-(oxo-1-pyrrolidinylacetyl)-1H-indol-5-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-, (2R,5S)- (9CI) (CA INDEX NAME)

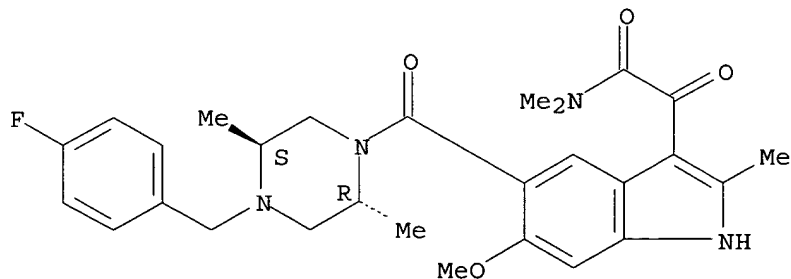
Absolute stereochemistry.



RN 672293-79-7 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,2-trimethyl- α -oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

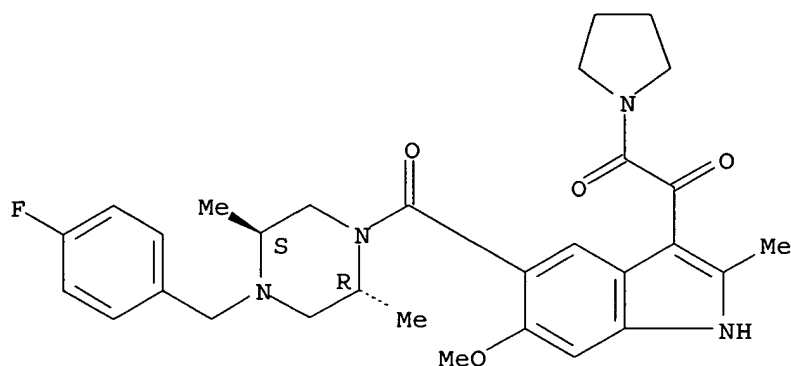


RN 672293-80-0 CAPLUS

CN Piperazine, 1-[(4-fluorophenyl)methyl]-4-[[[6-methoxy-2-methyl-3-(oxo-1-pyrrolidinylacetyl)-1H-indol-5-yl]carbonyl]-2,5-dimethyl-, (2S,5R)- (9CI)

(CA INDEX NAME)

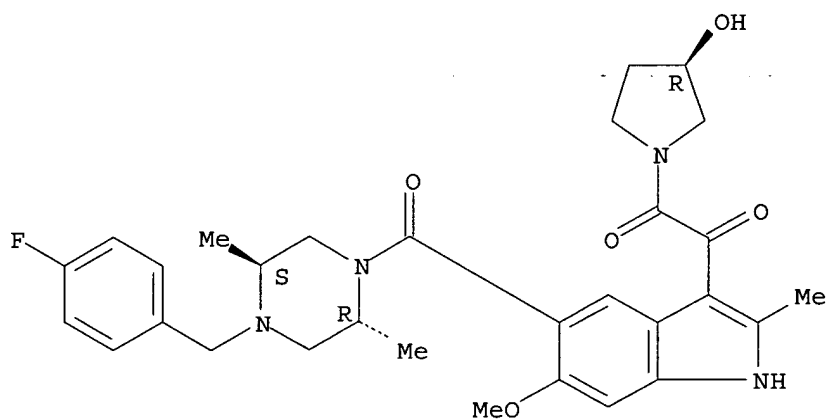
Absolute stereochemistry.



RN 672293-82-2 CAPLUS

CN Piperazine, 1-[(4-fluorophenyl)methyl]-4-[[3-[[[(3R)-3-hydroxy-1-pyrrolidinyl]oxoacetyl]-6-methoxy-2-methyl-1H-indol-5-yl]carbonyl]-2,5-dimethyl-, (2S,5R)- (9CI) (CA INDEX NAME)

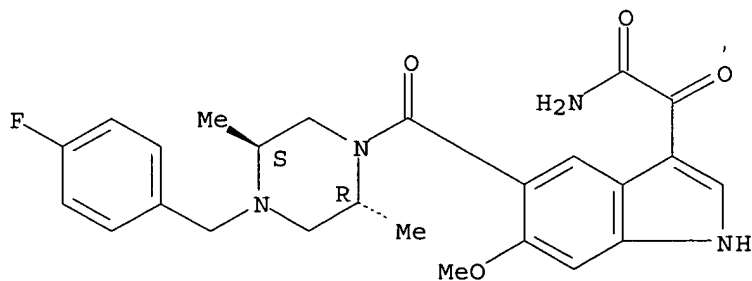
Absolute stereochemistry.



RN 672293-85-5 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy- α -oxo- (9CI) (CA INDEX NAME)

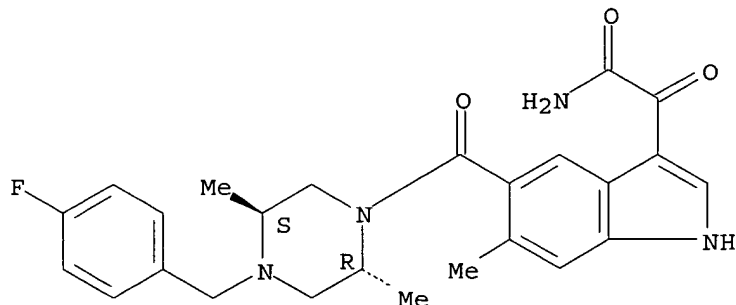
Absolute stereochemistry.



RN 672293-86-6 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methyl- α -oxo- (9CI) (CA INDEX NAME)

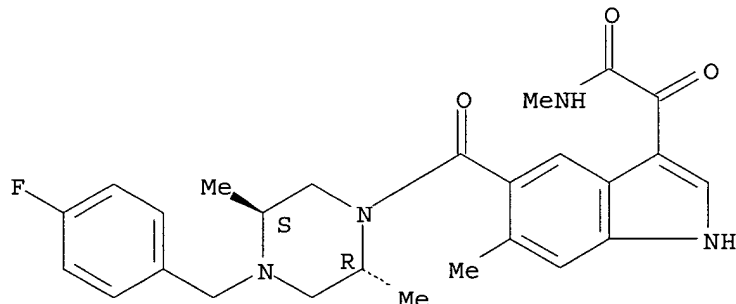
Absolute stereochemistry.



RN 672293-87-7 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,6-dimethyl- α -oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L17 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:220154 CAPLUS

DOCUMENT NUMBER: 140:247088

TITLE: Treatment of pain by inhibition of p38 MAP kinase

INVENTOR(S): Protter, Andrew Asher; Svensson, Camilla; Yaksh, Tony; Cordell, Barbara; Dugar, Sundeep

PATENT ASSIGNEE(S): Scios Inc., USA

SOURCE: PCT Int. Appl., 100 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

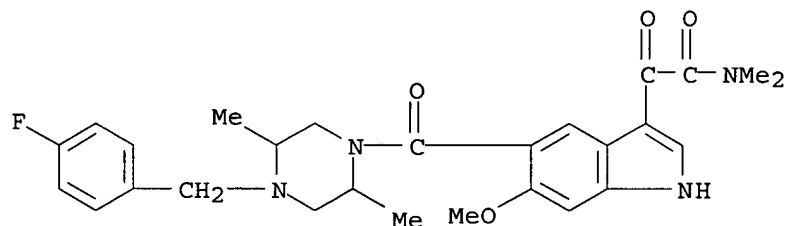
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2004021988 | A2 | 20040318 | WO 2003-US27631 | 20030905 |
| WO 2004021988 | A3 | 20040826 | | |

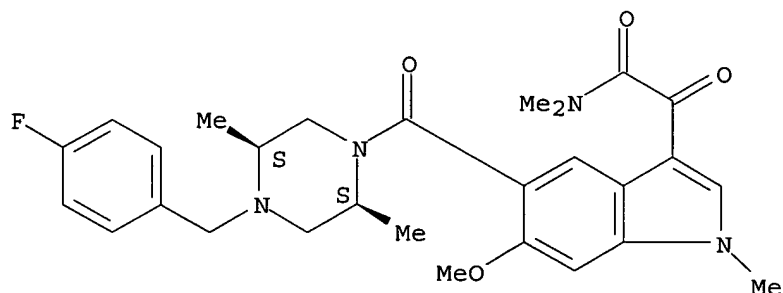
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GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
 PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
 TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 CA 2497951 AA 20040318 CA 2003-2497951 20030905
 AU 2003268424 A1 20040329 AU 2003-268424 20030905
 EP 1545535 A2 20050629 EP 2003-749389 20030905
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 JP 2006503025 T2 20060126 JP 2004-534535 20030905
 PRIORITY APPLN. INFO.: US 2002-408610P P 20020905
 WO 2003-US27631 W 20030905
 OTHER SOURCE(S): MARPAT 140:247088
 AB The invention provides methods for the prevention or treatment of pain by
 the inhibition of p38 MAP kinase.
 IT 309914-79-2 669695-33-4 669695-34-5
 669695-35-6 669695-36-7
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (p38 MAP kinase inhibitors for treatment of pain)
 RN 309914-79-2 CAPLUS
 CN 1H-Indole-3-acetamide, 5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-
 piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl- α -oxo- (9CI) (CA INDEX
 NAME)



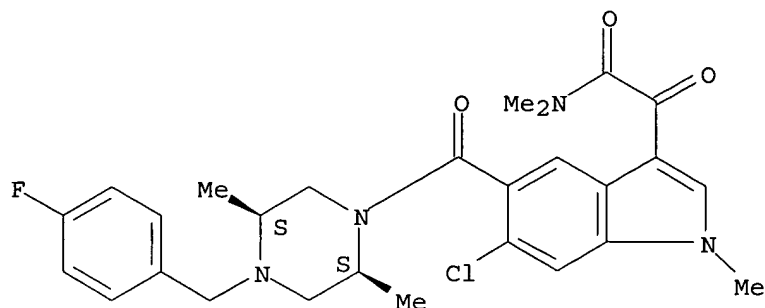
RN 669695-33-4 CAPLUS
 CN 1H-Indole-3-acetamide, 5-[[[(2S,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-
 1-piperazinyl]carbonyl]-6-methoxy-N,N,1-trimethyl- α -oxo- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.



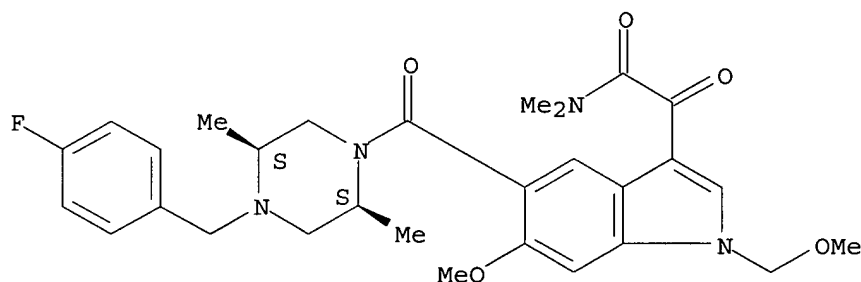
RN 669695-34-5 CAPLUS
 CN 1H-Indole-3-acetamide, 6-chloro-5-[[[(2R,5R)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl- α -oxo-, rel- (9CI)
 (CA INDEX NAME)

Relative stereochemistry.



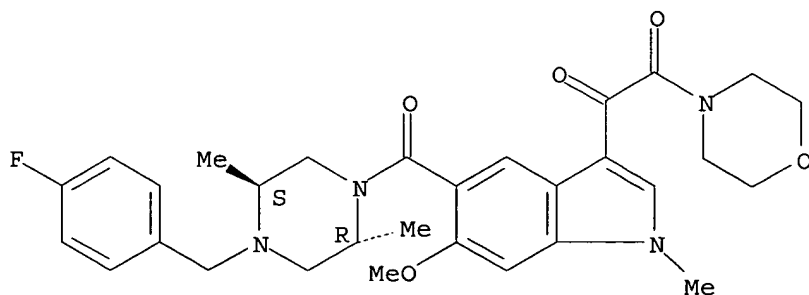
RN 669695-35-6 CAPLUS
 CN 1H-Indole-3-acetamide, 5-[[[(2R,5R)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1-(methoxymethyl)-N,N-dimethyl- α -oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 669695-36-7 CAPLUS
 CN Morpholine, 4-[[[5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1-methyl-1H-indol-3-yl]oxoacetyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L17 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:203623 CAPLUS
 DOCUMENT NUMBER: 140:247108
 TITLE: Bone healing and promoting osteogenesis by
 administration of a p38 MAP kinase inhibitor
 INVENTOR(S): Protter, Andrew Asher; Liu, David Y.
 PATENT ASSIGNEE(S): Scios Inc., USA
 SOURCE: PCT Int. Appl., 127 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2004019873 | A2 | 20040311 | WO 2003-US26839 | 20030829 |
| WO 2004019873 | C2 | 20040624 | | |
| WO 2004019873 | A3 | 20041007 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2497240 | AA | 20040311 | CA 2003-2497240 | 20030829 |
| AU 2003262911 | A1 | 20040319 | AU 2003-262911 | 20030829 |
| US 2004162289 | A1 | 20040819 | US 2003-651934 | 20030829 |
| EP 1539121 | A2 | 20050615 | EP 2003-791848 | 20030829 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| JP 2006508051 | T2 | 20060309 | JP 2004-531559 | 20030829 |
| PRIORITY APPLN. INFO.: | | | US 2002-406664P | P 20020829 |
| | | | WO 2003-US26839 | W 20030829 |

OTHER SOURCE(S): MARPAT 140:247108

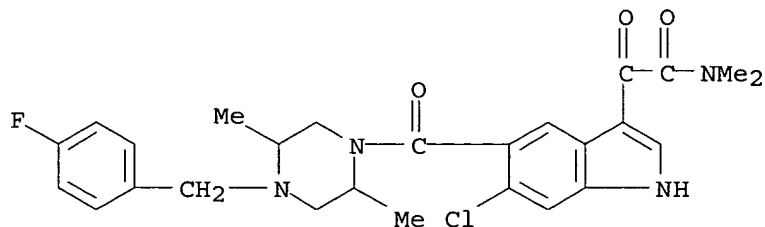
AB The invention discloses methods of bone healing by administering a p38 MAP kinase inhibitor. Specifically, the invention provides methods of treating bone fractures, bone diseases, bone grafting, especially enhancing bone healing following facial reconstruction, maxillary reconstruction, mandibular reconstruction or tooth extraction, enhancing long bone extension, enhancing prosthetic ingrowth, and increasing bone synostosis by administering a p38 MAP kinase inhibitor.

IT 309914-87-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (bone healing and promoting osteogenesis by administration of a p38 MAP kinase inhibitor)

RN 309914-87-2 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2003:396662 CAPLUS
 DOCUMENT NUMBER: 138:379271
 TITLE: Method using imidazole derivatives to treat cystic fibrosis
 INVENTOR(S): Higgins, Linda S.; Liu, David Y.; Protter, Andrew A.
 PATENT ASSIGNEE(S): Scios Inc., USA
 SOURCE: PCT Int. Appl., 42 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-------------------|------------|
| WO 2003041644 | A2 | 20030522 | WO 2002-US35939 | 20021108 |
| WO 2003041644 | A3 | 20031113 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2466665 | AA | 20030522 | CA 2002-2466665 | 20021108 |
| US 2004009990 | A1 | 20040115 | US 2002-291243 | 20021108 |
| EP 1453515 | A2 | 20040908 | EP 2002-778799 | 20021108 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| BR 2002014020 | A | 20041013 | BR 2002-14020 | 20021108 |
| TR 200401028 | T2 | 20041122 | TR 2004-200401028 | 20021108 |
| JP 2005511616 | T2 | 20050428 | JP 2003-543531 | 20021108 |
| PRIORITY APPLN. INFO.: | | | US 2001-338209P | P 20011109 |
| | | | WO 2002-US35939 | W 20021108 |

OTHER SOURCE(S): MARPAT 138:379271

AB The invention is directed to methods to treat cystic fibrosis by administering certain imidazole derivs.

IT 309913-59-5P 309913-60-8P 309913-64-2P
 309913-71-1P 309913-72-2P 309913-73-3P
 309913-74-4P 309913-82-4P 309913-83-5P
 309913-85-7P 309913-88-0P 309914-02-1P
 309914-14-5P 309914-17-8P 309914-21-4P
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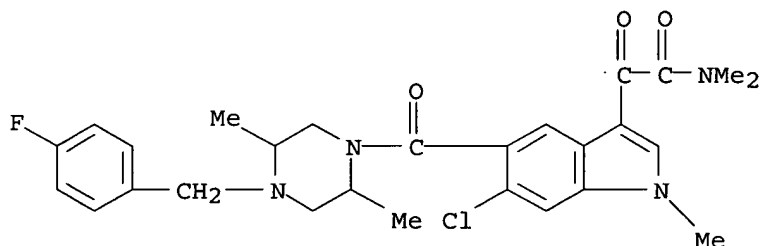
309914-78-1P 309914-79-2P 309914-80-5P
 309914-86-1P 309914-87-2P 309914-89-4P
 309914-95-2P 309914-96-3P 309915-01-3P
 309915-02-4P 309915-04-6P 527698-34-6P
 527698-35-7P 527698-36-8P 527698-38-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(imidazole derivs. for treatment of cystic fibrosis)

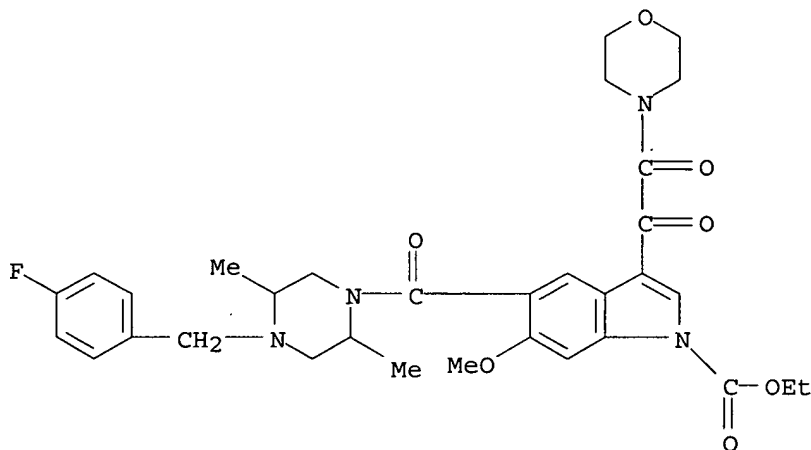
RN 309913-59-5 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl- α -oxo- (9CI) (CA INDEX NAME)



RN 309913-60-8 CAPLUS

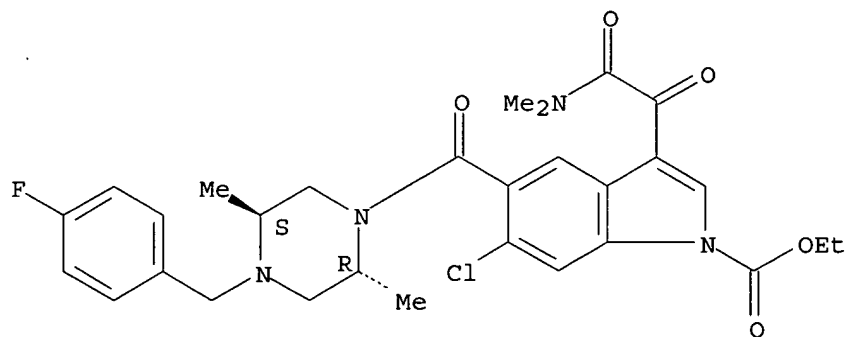
CN 1H-Indole-1-carboxylic acid, 5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-3-(4-morpholinoxyacetyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 309913-64-2 CAPLUS

CN 1H-Indole-1-carboxylic acid, 6-chloro-3-[(dimethylamino)oxoacetyl]-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-, ethyl ester, rel- (9CI) (CA INDEX NAME)

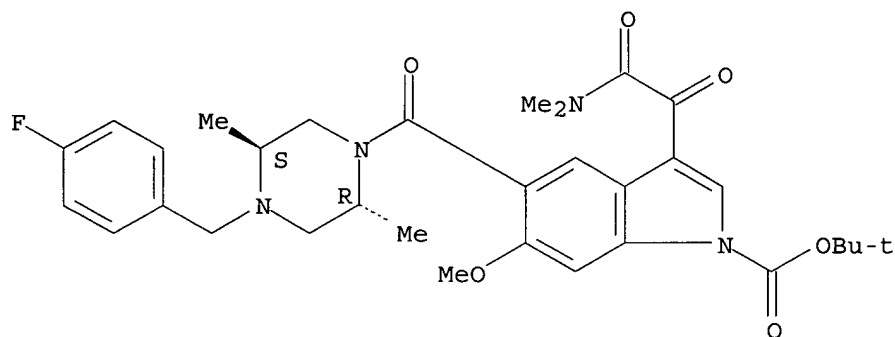
Relative stereochemistry.



RN 309913-71-1 CAPLUS

CN 1H-Indole-1-carboxylic acid, 3-[(dimethylamino)oxoacetyl]-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

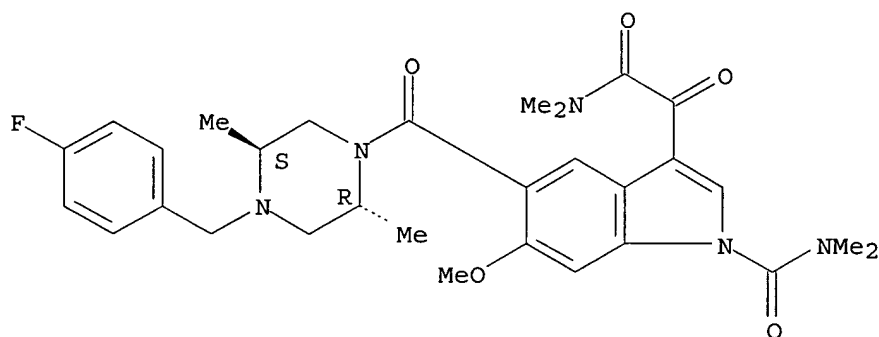
Relative stereochemistry.



RN 309913-72-2 CAPLUS

CN 1H-Indole-3-acetamide, 1-[(dimethylamino)carbonyl]-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-α-oxo-, rel- (9CI) (CA INDEX NAME)

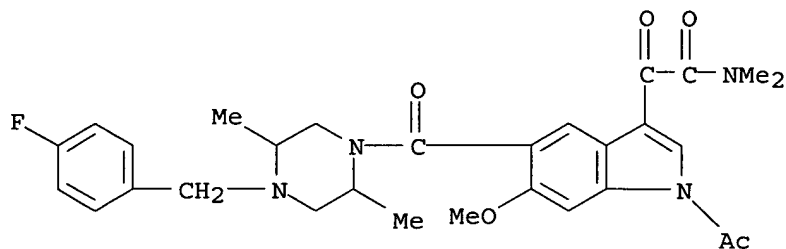
Relative stereochemistry.



RN 309913-73-3 CAPLUS

CN 1H-Indole-3-acetamide, 1-acetyl-5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-α-oxo- (9CI)

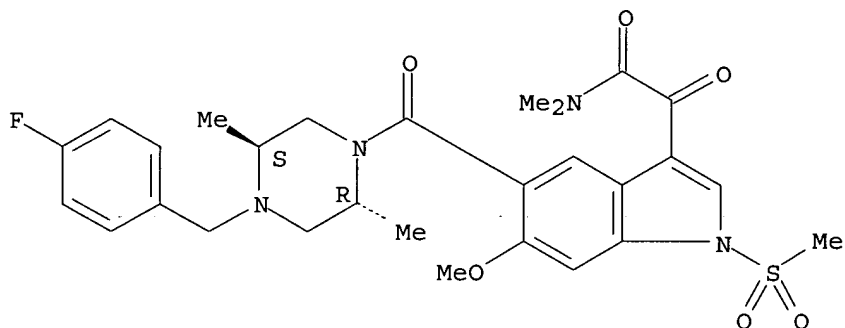
(CA INDEX NAME)



RN 309913-74-4 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-1-(methylsulfonyl)- α -oxo-, rel- (9CI) (CA INDEX NAME)

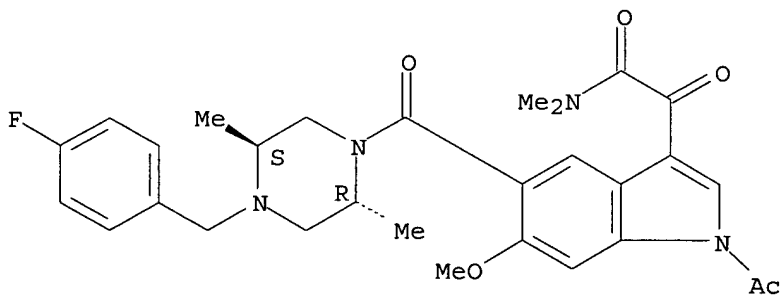
Relative stereochemistry.



RN 309913-82-4 CAPLUS

CN 1H-Indole-3-acetamide, 1-acetyl-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl- α -oxo-, rel- (9CI) (CA INDEX NAME)

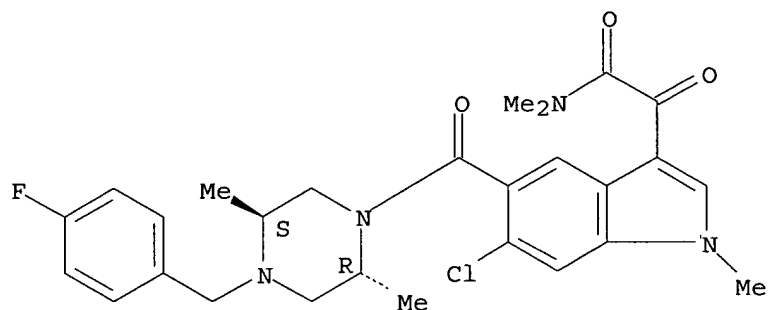
Relative stereochemistry.



RN 309913-83-5 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl- α -oxo- (9CI) (CA INDEX NAME)

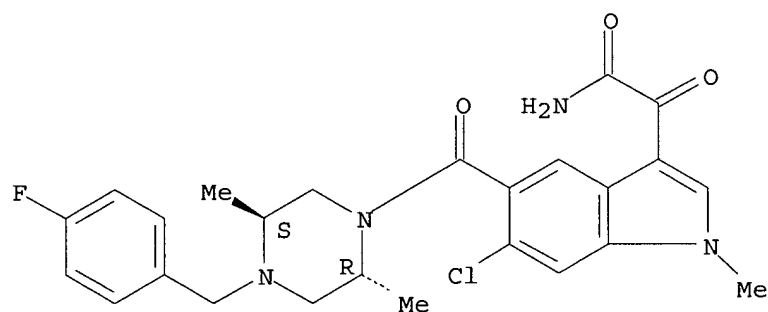
Absolute stereochemistry.



RN 309913-85-7 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[2,5-dimethyl-1-piperazinyl]carbonyl]-1-methyl- α -oxo-, rel- (9CI) (CA INDEX NAME)

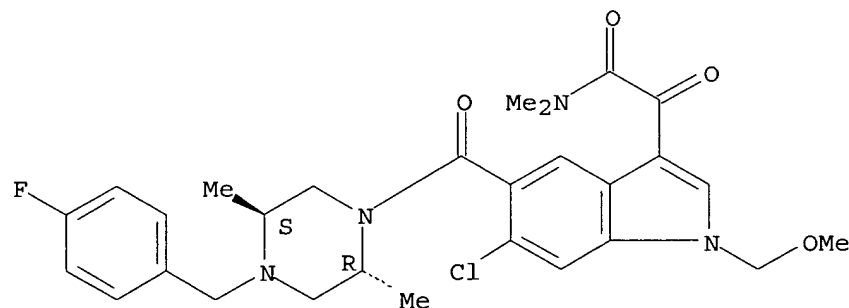
Relative stereochemistry.



RN 309913-88-0 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[2,5-dimethyl-1-piperazinyl]carbonyl]-1-(methoxymethyl)-N,N-dimethyl- α -oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

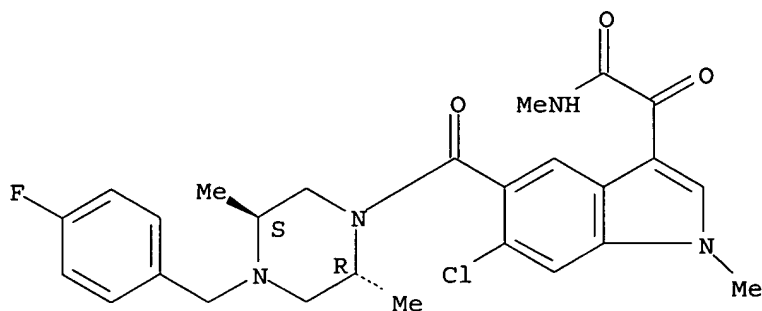


RN 309914-02-1 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[2,5-dimethyl-1-piperazinyl]carbonyl]-N,1-dimethyl- α -oxo-, rel- (9CI)

(CA INDEX NAME)

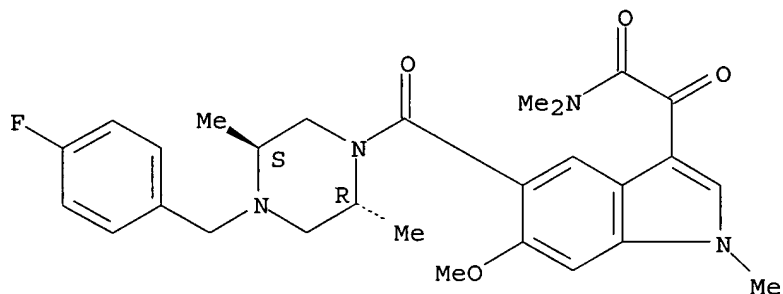
Relative stereochemistry.



RN 309914-14-5 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,1-trimethyl- α -oxo- (9CI) (CA INDEX NAME)

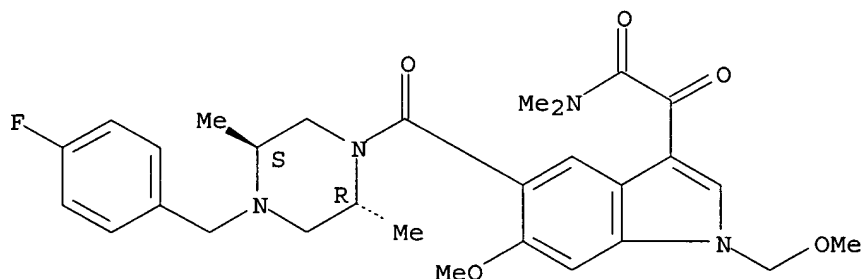
Absolute stereochemistry.



RN 309914-17-8 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1-(methoxymethyl)-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

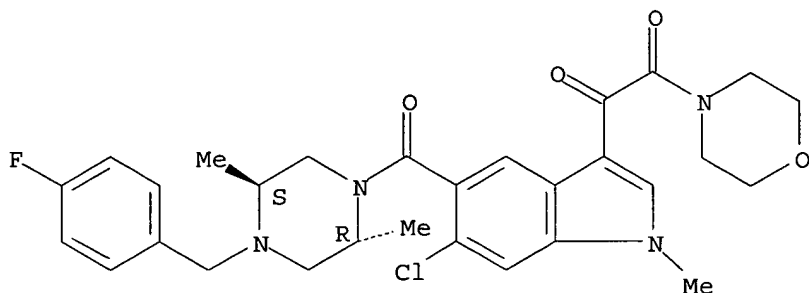


RN 309914-21-4 CAPLUS

CN Morpholine, 4-[[6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1-methyl-1H-indol-3-yl]oxoacetyl]- (9CI)

(CA INDEX NAME)

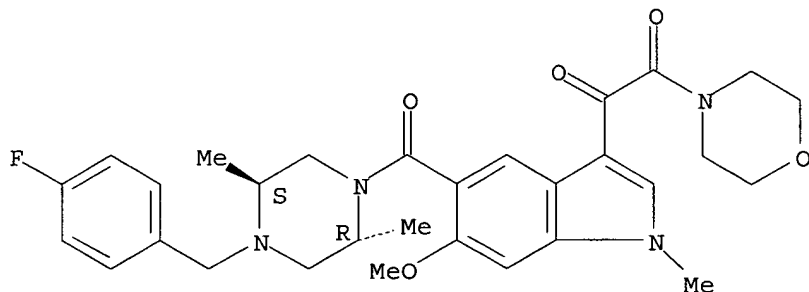
Absolute stereochemistry.



RN 309914-25-8 CAPLUS

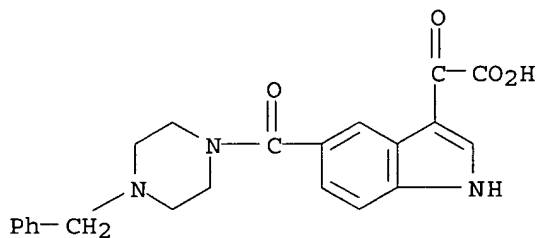
CN Morpholine, 4-[[5-[[[(2R,5S)-4-[[4-(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1-methyl-1H-indol-3-yl]oxoacetyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



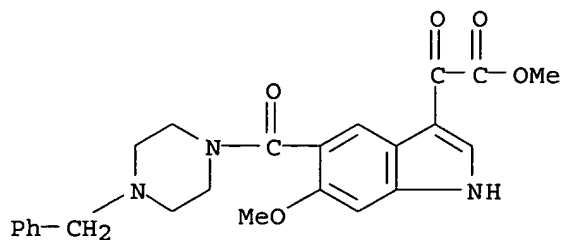
RN 309914-60-1 CAPLUS

CN 1H-Indole-3-acetic acid, α -oxo-5-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]- (9CI) (CA INDEX NAME)



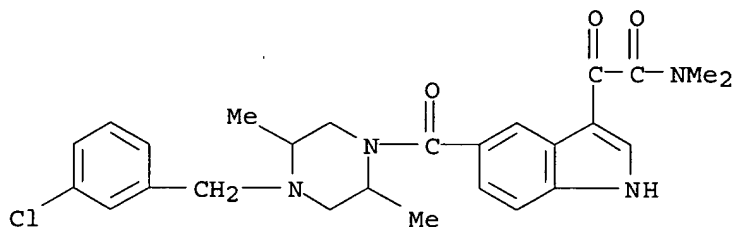
RN 309914-62-3 CAPLUS

CN 1H-Indole-3-acetic acid, 6-methoxy- α -oxo-5-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



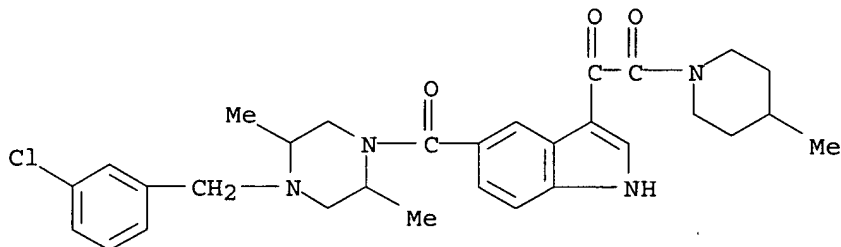
RN 309914-71-4 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[4-[(3-chlorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)



RN 309914-73-6 CAPLUS

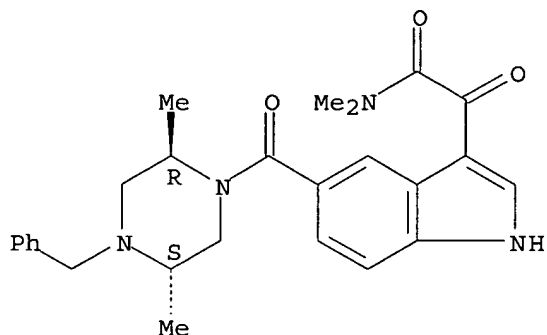
CN Piperazine, 1-[(3-chlorophenyl)methyl]-2,5-dimethyl-4-[[3-[(4-methyl-1-piperidinyloxy)acetyl]-1H-indol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)



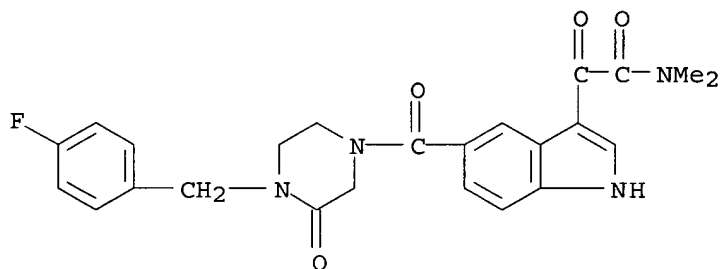
RN 309914-77-0 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[[(2R,5S)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]carbonyl]-N,N-dimethyl- α -oxo-, rel- (9CI) (CA INDEX NAME)

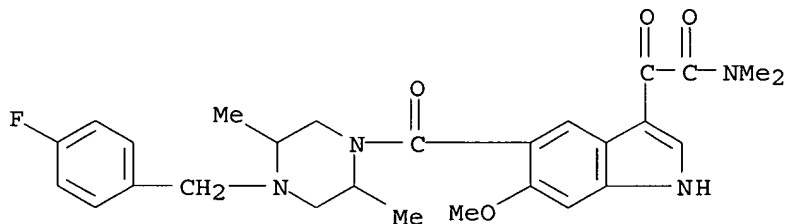
Relative stereochemistry.



RN 309914-78-1 CAPLUS
 CN 1H-Indole-3-acetamide, 5-[[4-[(4-fluorophenyl)methyl]-3-oxo-1-piperazinyl]carbonyl]-N,N-dimethyl-α-oxo- (9CI) (CA INDEX NAME)

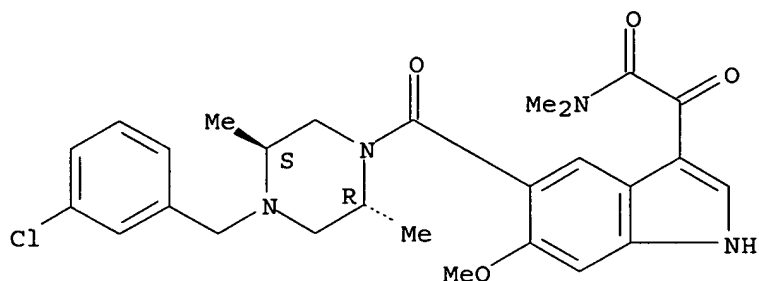


RN 309914-79-2 CAPLUS
 CN 1H-Indole-3-acetamide, 5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N-dimethyl-α-oxo- (9CI) (CA INDEX NAME)

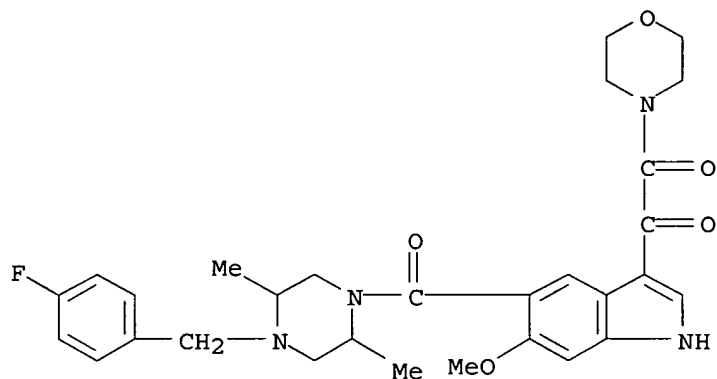


RN 309914-80-5 CAPLUS
 CN 1H-Indole-3-acetamide, 5-[[[(2R,5S)-4-[(3-chlorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-α-oxo-, rel- (9CI) (CA INDEX NAME)

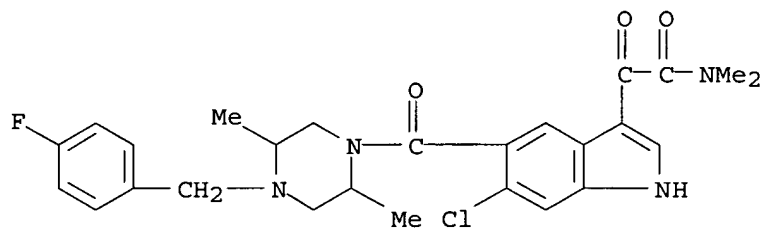
Relative stereochemistry.



RN 309914-86-1 CAPLUS
 CN Morpholine, 4-[[5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1H-indol-3-yl]oxoacetyl]- (9CI) (CA INDEX NAME)

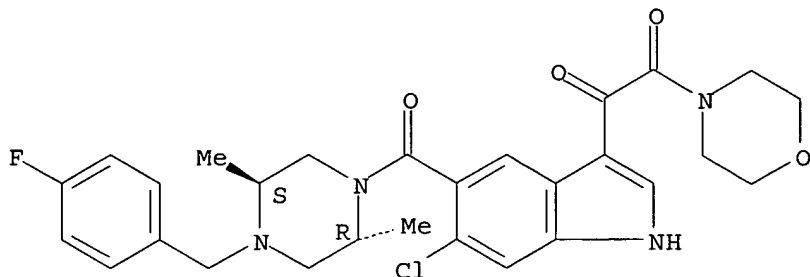


RN 309914-87-2 CAPLUS
 CN 1H-Indole-3-acetamide, 6-chloro-5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)



RN 309914-89-4 CAPLUS
 CN Morpholine, 4-[[6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1H-indol-3-yl]oxoacetyl]-, rel- (9CI) (CA INDEX NAME)

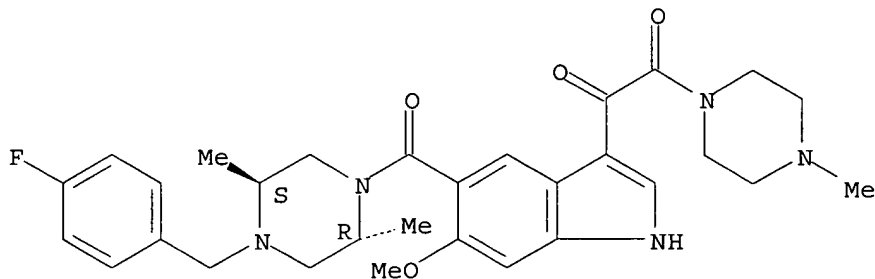
Relative stereochemistry.



RN 309914-95-2 CAPLUS

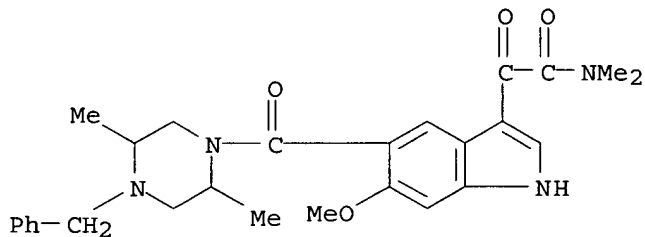
CN Piperazine, 1-[(4-fluorophenyl)methyl]-4-[[6-methoxy-3-[(4-methyl-1-piperazinyl)oxoacetyl]-1H-indol-5-yl]carbonyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 309914-96-3 CAPLUS

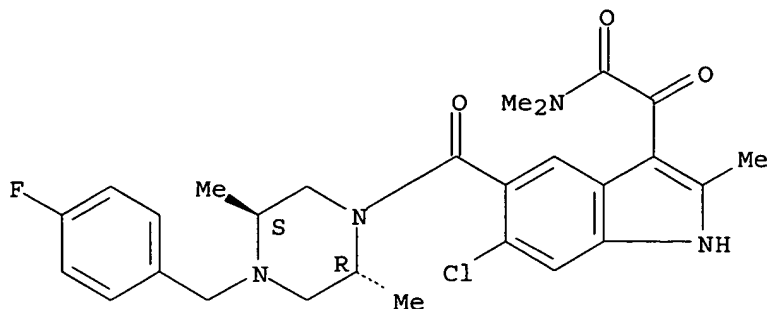
CN 1H-Indole-3-acetamide, 5-[[2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)



RN 309915-01-3 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,2-trimethyl- α -oxo-, rel- (9CI) (CA INDEX NAME)

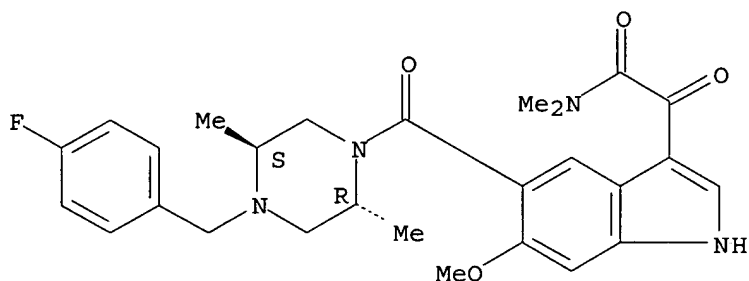
Relative stereochemistry.



RN 309915-02-4 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl- α -oxo-, rel- (9CI)
(CA INDEX NAME)

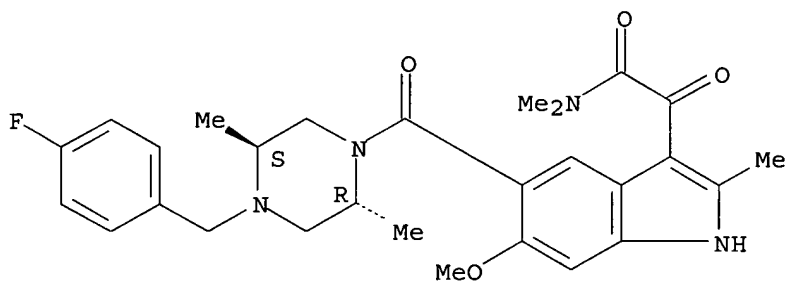
Relative stereochemistry.



RN 309915-04-6 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,2-trimethyl- α -oxo-, rel- (9CI)
(CA INDEX NAME)

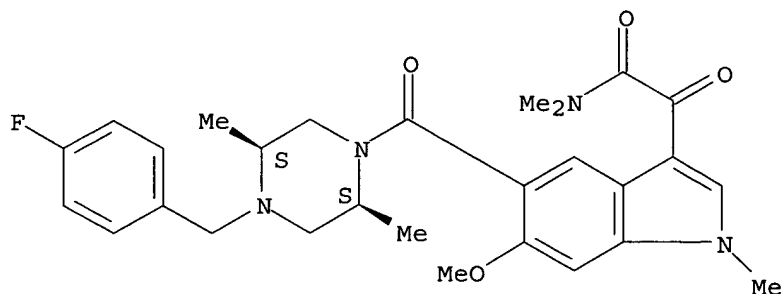
Relative stereochemistry.



RN 527698-34-6 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[[(2R,5R)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,1-trimethyl- α -oxo-, rel- (9CI)
(CA INDEX NAME)

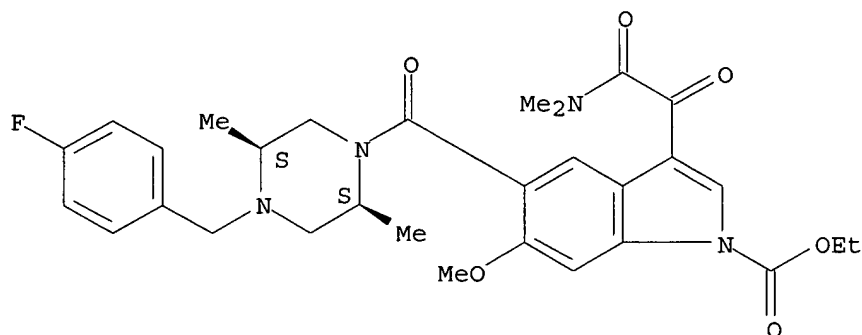
Relative stereochemistry.



RN 527698-35-7 CAPLUS

CN 1H-Indole-1-carboxylic acid, 3-[(dimethylamino)oxoacetyl]-5-[[2,5-dimethyl-4-(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-, ethyl ester, rel- (9CI) (CA INDEX NAME)

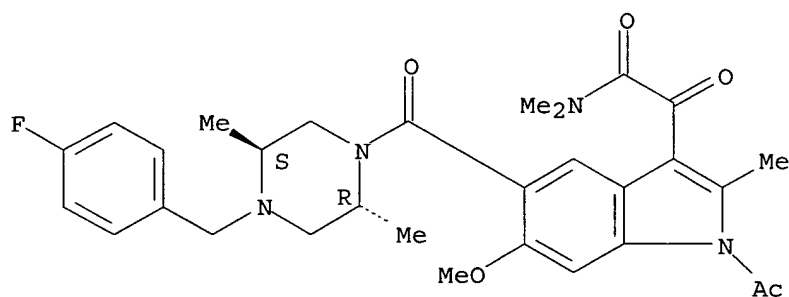
Relative stereochemistry.



RN 527698-36-8 CAPLUS

CN 1H-Indole-3-acetamide, 1-acetyl-5-[[2,5-dimethyl-4-(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,2-trimethyl-α-oxo-, rel- (9CI) (CA INDEX NAME)

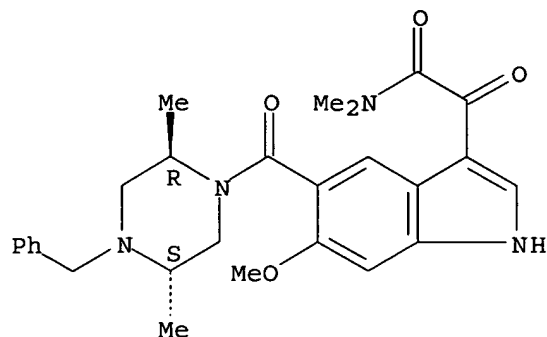
Relative stereochemistry.



RN 527698-38-0 CAPLUS

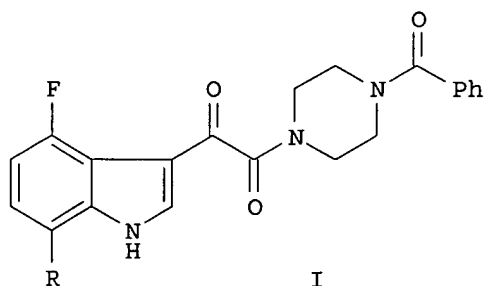
CN 1H-Indole-3-acetamide, 5-[[2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-α-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L17 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2003:282118 CAPLUS
 DOCUMENT NUMBER: 138:304300
 TITLE: Preparation and antiviral activity of substituted piperazinyloxoacetylindole derivatives
 INVENTOR(S): Wallace, Owen B.; Wang, Tao; Yeung, Kap-Sun; Pearce, Bradley C.; Meanwell, Nicholas A.; Qiu, Zhilei; Fang, Haiquan; Xue, Qiufen May; Yin, Zhiwei
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 182 pp., Cont.-in-part of U.S. Ser. No. 888,686.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|-------------------|-----------------|-------------|
| US 2003069245 | A1 | 20030410 | US 2001-27612 | 20011219 |
| US 6573262 | B2 | 20030603 | | |
| PRIORITY APPLN. INFO.: | | | US 2000-217444P | P 20000710 |
| | | | US 2001-265978P | P 20010202 |
| | | | US 2001-888686 | A2 20010625 |
| OTHER SOURCE(S): | | MARPAT 138:304300 | | |
| GI | | | | |



AB Piperazinyloxoacetylindole derivs., e.g. I (R = Ph), were prepared and

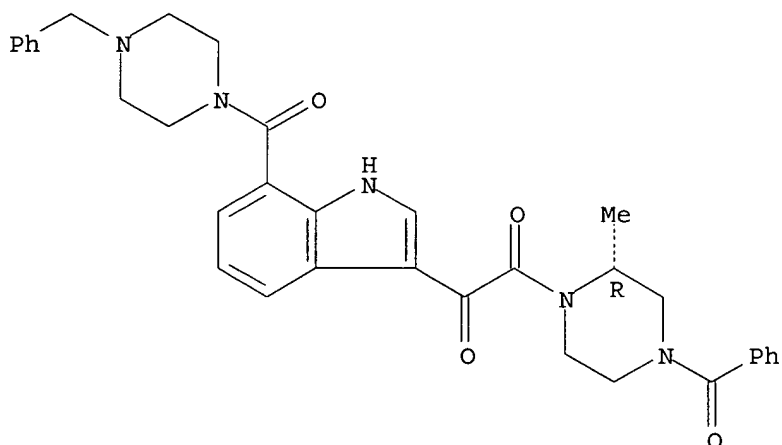
tested as human antiviral agents, specifically to be used for treating HIV and AIDS. Thus, bromoindole I (R = Br) (II) reacted with tri-n-butylphenyltin to give I (R = Ph). Furthermore, II was prepared by reacting 2-bromo-5-fluoronitrobenzene with vinylmagnesium bromide, which gave 4-fluoro-7-bromoindole. The latter compound was then added to Et chlorooxoacetate to give the acylated adduct which was hydrolyzed to the acid and aminated with N-benzoylpiperazine. Testing of these compds. indicated that they possess unique antiviral activity; and they are proposed to be used alone or in combination with other antivirals, antiinfectives, immunomodulators or HIV entry inhibitors.

IT **389629-30-5P**, 1-(4-Benzoyl-2-(R)-methylpiperazin-1-yl)-2-[7-(4-benzylpiperazine-1-carbonyl)-1H-indol-3-yl]ethane-1,2-dione
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of piperazinyloxoacetylindole derivs. and their use as human antiviral, antiinfective, anti-HIV, anti-AIDS, and immunomodulator agents)

RN 389629-30-5 CAPLUS

CN Piperazine, 4-benzoyl-2-methyl-1-[oxo[7-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1H-indol-3-yl]acetyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L17 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:449650 CAPLUS

DOCUMENT NUMBER: 137:33320

TITLE: Preparation of aroylpiperidines and -piperazines as inhibitors of p38 kinase.

INVENTOR(S): Dugar, Sundeep; Perumattam, John; Tester, Richland; Lu, Qing

PATENT ASSIGNEE(S): Scios Inc., USA

SOURCE: PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

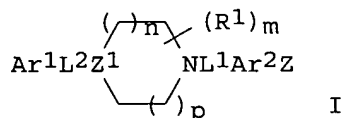
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
|------------|------|------|-----------------|------|

| | | | | |
|---|----|----------|-----------------|--------------|
| WO 2002046158 | A2 | 20020613 | WO 2001-US43824 | 20011120 |
| WO 2002046158 | C2 | 20030501 | | |
| WO 2002046158 | A3 | 20030821 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2429258 | AA | 20020613 | CA 2001-2429258 | 20011120 |
| AU 2002043230 | A5 | 20020618 | AU 2002-43230 | 20011120 |
| US 2002198214 | A1 | 20021226 | US 2001-990184 | 20011120 |
| US 6696443 | B2 | 20040224 | | |
| EP 1353905 | A2 | 20031022 | EP 2001-989111 | 20011120 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004533989 | T2 | 20041111 | JP 2002-547897 | 20011120 |
| US 2004176382 | A1 | 20040909 | US 2004-757023 | 20040113 <-- |
| PRIORITY APPLN. INFO.: | | | US 2000-252196P | P 20001120 |
| | | | US 2001-990184 | A3 20011120 |
| | | | WO 2001-US43824 | W 20011120 |
| OTHER SOURCE(S): MARPAT 137:33320 | | | | |
| GI | | | | |



AB Title compds. [I; Ar1 = substituted aryl; L1, L2 = linker; R1 = noninterfering substituent; Z1 = CR2, N; R2 = H, noninterfering substituent; m = 0-4; n, p = 0-2; n+p = 0-3; Ar2 = substantially planar, mono- or polycyclic (substituted) (hetero)aryl; Z = WCOXY; Y = COR3, isostere thereof; R3 = noninterfering substituent; W, X = spacer of 2-6 Å; i, j = 0, 1; wherein the smallest number of covalent bonds in the compound separating the atom of Ar1 bonded to L2 to the atom of Ar2 bonded to

L1

≥6, where each of said bonds has a bond length of 1.2-2.0 Å; and/or wherein the distance in space between the atom of Ar1 bonded to L2 and the atom of Ar2 bonded to L1 = 4.5-24 Å; with a proviso], were prepared as p38-α kinase inhibitors (no data). Thus, 2,5-dimethyl-1H-pyrrole-3-carboxylic acid and 1-(4-fluorobenzyl)trans-2,5-dimethylpiperazine in CH2Cl2 were treated with EDCI and catalytic DMAP followed by stirring for 12 h to give (2,5-dimethyl-1H-pyrrol-3-yl) [4-(4-fluorobenzyl)trans-2,5-dimethylpiperazine-1-yl]methanone. The latter in CH2Cl2 at 0° was treated with (COCl)2 and then with Me2NH to give 2-[4-[4-(4-Fluorobenzyl)-2,5-trans-dimethylpiperazine-1-carbonyl]-2,5-dimethyl-1H-pyrrol-3-yl]-N,N-dimethyl-2-oxoacetamide.

IT

436848-18-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

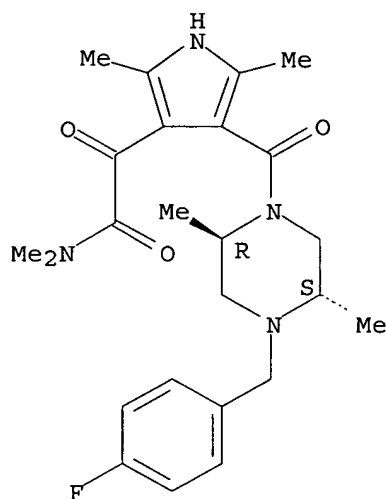
(Uses)

(preparation of aroylpiperidines and -piperazines as inhibitors of p38 kinase)

RN 436848-18-9 CAPLUS

CN 1H-Pyrrole-3-acetamide, 4-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,2,5-tetramethyl- α -oxo-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.



L17 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:408665 CAPLUS

DOCUMENT NUMBER: 136:401784

TITLE: Preparation of piperidinylcarbonyl- and piperazinylcarbonylindolylglyoxylates and -amides as inhibitors of p38- α kinase

INVENTOR(S): Dugar, Sundeep; Luedtke, Gregory; Tan, Xuefei

PATENT ASSIGNEE(S): Scios Inc., USA

SOURCE: PCT Int. Appl., 97 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

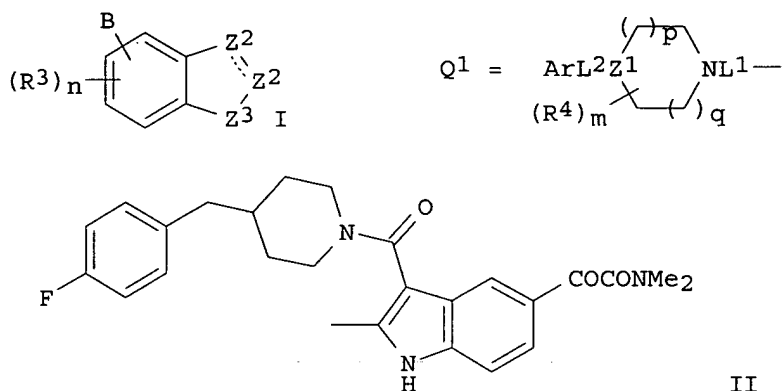
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2002042292 | A2 | 20020530 | WO 2001-US43441 | 20011120 |
| WO 2002042292 | A3 | 20021017 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
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| | | | |
|---|-------------------|-----------------|-------------|
| CA 2429605 | AA 20020530 | CA 2001-2429605 | 20011120 |
| AU 2002026911 | A5 20020603 | AU 2002-26911 | 20011120 |
| US 2003092717 | A1 20030515 | US 2001-990187 | 20011120 |
| US 6821966 | B2 20041123 | | |
| EP 1341782 | A2 20030910 | EP 2001-995861 | 20011120 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | |
| JP 2004529859 | T2 20040930 | JP 2002-544426 | 20011120 |
| US 2005130965 | A1 20050616 | US 2004-992968 | 20041118 |
| PRIORITY APPLN. INFO.: | | US 2000-252197P | P 20001120 |
| | | US 2001-990187 | A3 20011120 |
| | | WO 2001-US43441 | W 20011120 |
| OTHER SOURCE(S): | MARPAT 136:401784 | | |
| GI | | | |



AB [Title compds. I; dotted line = optional double bond; B = WiCOXjY; Y = COR2, isostere thereof; R2 = H, noninterfering substituent; W, X = spacer of 2-6 Å; i, j = 0, 1; R3 = noninterfering substituent; n = 0-3; Z3 = NR7, O; R7 = H, noninterfering substituent; 1 Z2 = C, CR8A, the other = CR1, C(R1)2, NR6, N; R1, R6, R8 = H, noninterfering substituent; A = Q1; Z1 = CR5, N; R5 = H, noninterfering substituent; p, q = 0-2; p+q = 0-3; Ar = aryl group substituted with 0-5 noninterfering substituents, wherein two noninterfering substituents can form a fused ring; R4 = noninterfering substituent; m is 0-4; L1, L2 = linker; the distance between the atom of Ar linked to L2 and the center of the Z2-containing ring = 4.5-24Å], were prepared as inhibitors of p38-α kinase (no data). Thus, title compound (II) was prepared in several steps starting from 4-nitrophenylglyoxylic acid.

IT 309915-13-7P 431061-37-9P 431061-38-0P
431061-39-1P 431061-40-4P 431061-41-5P
431061-42-6P 431061-43-7P 431061-44-8P
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 431061-99-3P

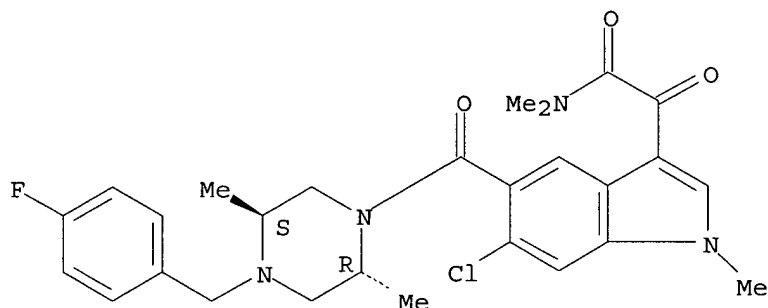
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidinylcarbonyl- and piperazinylcarbonylindolylglyoxylates and -amides as inhibitors of p38- α kinase)

RN 309915-13-7 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl- α -oxo-, rel- (9CI) (CA INDEX NAME)

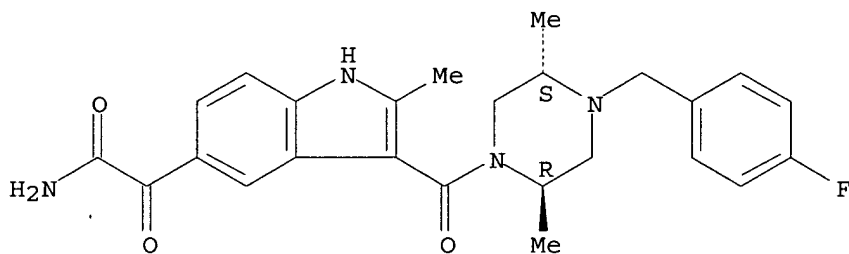
Relative stereochemistry.



RN 431061-37-9 CAPLUS

CN 1H-Indole-5-acetamide, 3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-2-methyl- α -oxo-, rel- (9CI) (CA INDEX NAME)

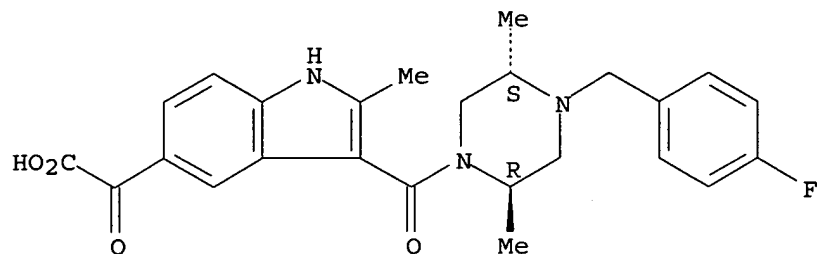
Relative stereochemistry.



RN 431061-38-0 CAPLUS

CN 1H-Indole-5-acetic acid, 3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-2-methyl- α -oxo-, rel- (9CI) (CA INDEX NAME)

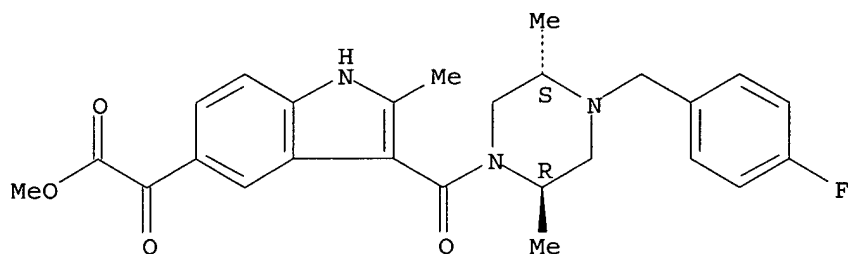
Relative stereochemistry.



RN 431061-39-1 CAPLUS

CN 1H-Indole-5-acetic acid, 3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-2-methyl-α-oxo-, methyl ester, rel-(9CI) (CA INDEX NAME)

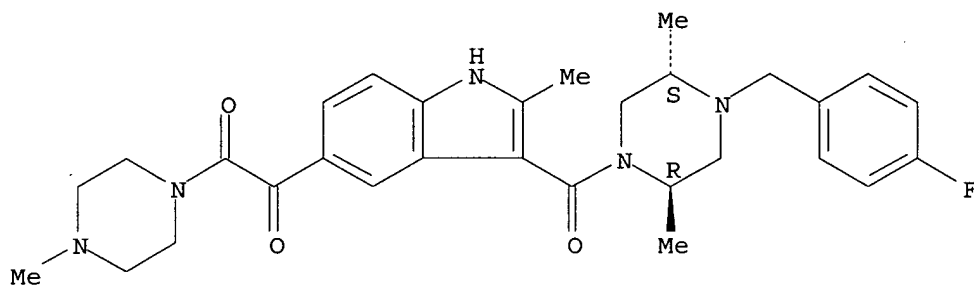
Relative stereochemistry.



RN 431061-40-4 CAPLUS

CN Piperazine, 1-[(4-fluorophenyl)methyl]-2,5-dimethyl-4-[[2-methyl-5-[(4-methyl-1-piperazinyl)oxoacetyl]-1H-indol-3-yl]carbonyl]-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

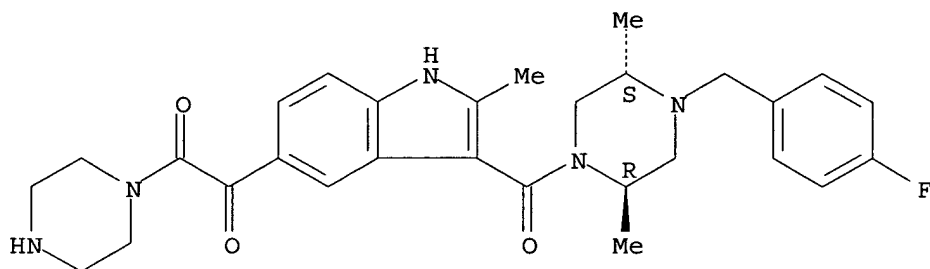
Relative stereochemistry.



RN 431061-41-5 CAPLUS

CN Piperazine, 1-[(4-fluorophenyl)methyl]-2,5-dimethyl-4-[[2-methyl-5-(oxo-1-piperazinylacetyl)-1H-indol-3-yl]carbonyl]-, (2R,5S)-rel-(9CI) (CA INDEX NAME)

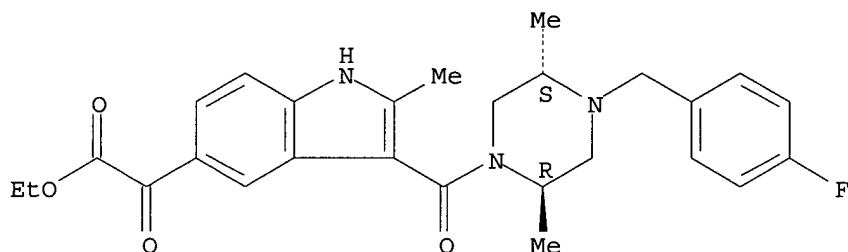
Relative stereochemistry.



RN 431061-42-6 CAPLUS

CN 1H-Indole-5-acetic acid, 3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-2-methyl-α-oxo-, ethyl ester, rel- (9CI) (CA INDEX NAME)

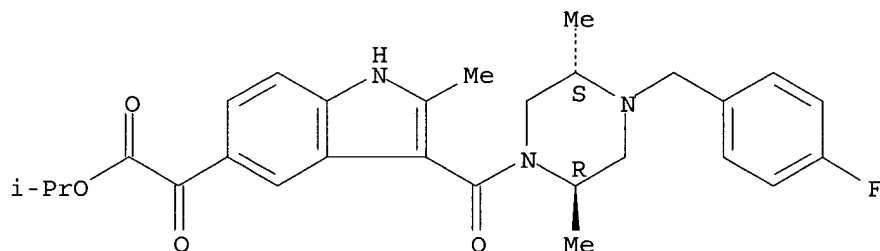
Relative stereochemistry.



RN 431061-43-7 CAPLUS

CN 1H-Indole-5-acetic acid, 3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-2-methyl-α-oxo-, 1-methylethyl ester, rel- (9CI) (CA INDEX NAME)

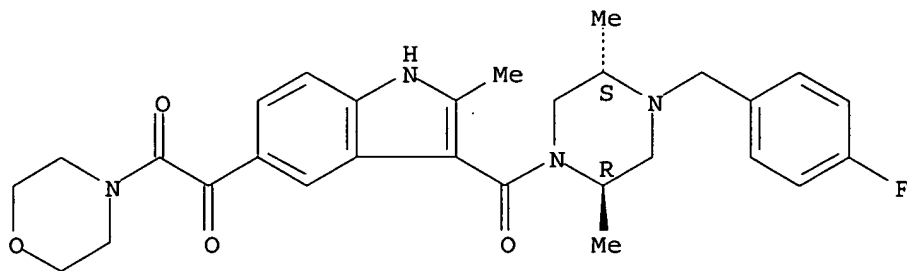
Relative stereochemistry.



RN 431061-44-8 CAPLUS

CN Morpholine, 4-[[[3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-2-methyl-1H-indol-5-yl]oxoacetyl]-, rel- (9CI) (CA INDEX NAME)

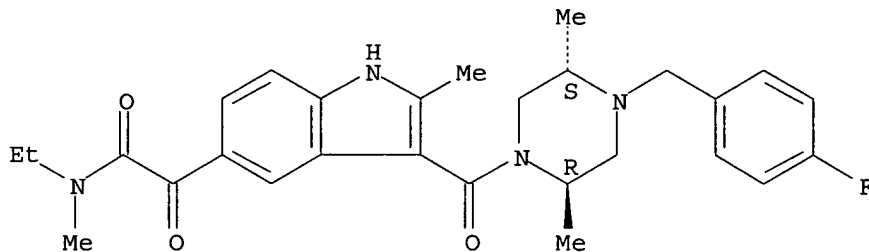
Relative stereochemistry.



RN 431061-45-9 CAPLUS

CN 1H-Indole-5-acetamide, N-ethyl-3-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,2-dimethyl- α -oxo-, rel- (9CI)
(CA INDEX NAME)

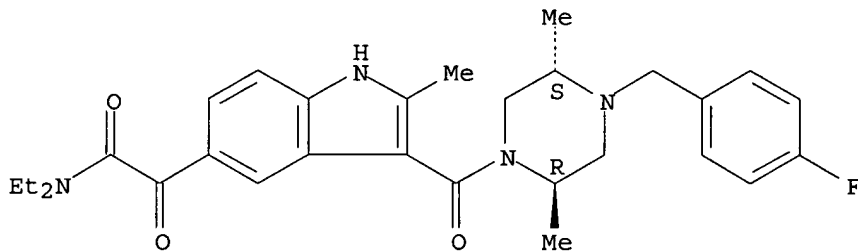
Relative stereochemistry.



RN 431061-46-0 CAPLUS

CN 1H-Indole-5-acetamide, N,N-diethyl-3-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-2-methyl- α -oxo-, rel- (9CI)
(CA INDEX NAME)

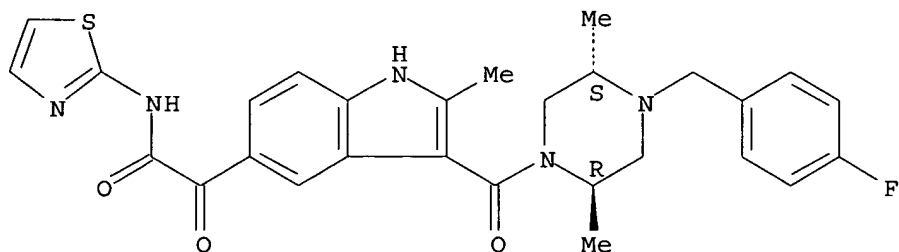
Relative stereochemistry.



RN 431061-47-1 CAPLUS

CN 1H-Indole-5-acetamide, 3-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-2-methyl- α -oxo-N-2-thiazolyl-, rel- (9CI)
(CA INDEX NAME)

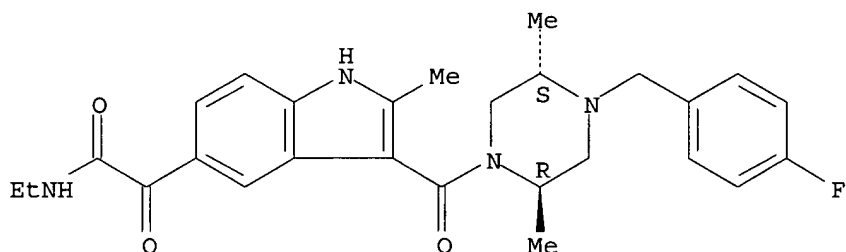
Relative stereochemistry.



RN 431061-48-2 CAPLUS

CN 1H-Indole-5-acetamide, N-ethyl-3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-2-methyl- α -oxo-, rel- (9CI) (CA INDEX NAME)

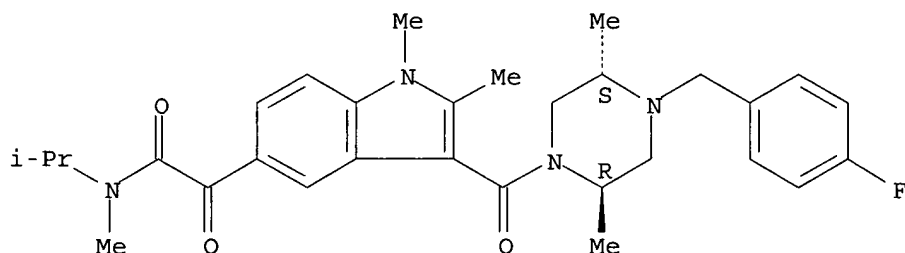
Relative stereochemistry.



RN 431061-49-3 CAPLUS

CN 1H-Indole-5-acetamide, 3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,1,2-trimethyl-N-(1-methylethyl)- α -oxo-, rel- (9CI) (CA INDEX NAME)

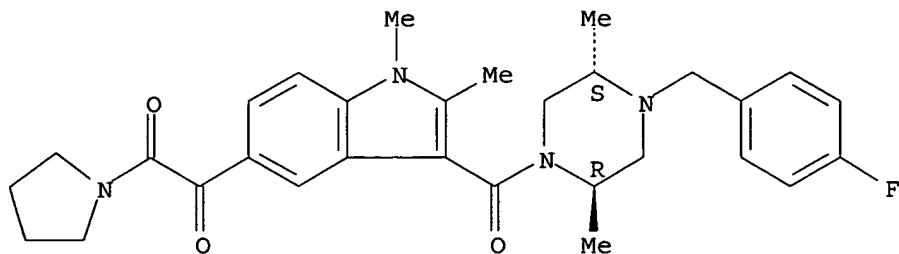
Relative stereochemistry.



RN 431061-50-6 CAPLUS

CN Piperazine, 1-[[[1,2-dimethyl-5-(oxo-1-pyrrolidinylacetyl)-1H-indol-3-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

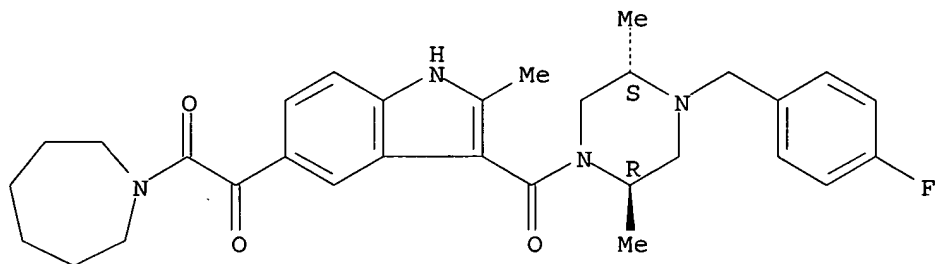
Relative stereochemistry.



RN 431061-51-7 CAPLUS

CN 1H-Azepine, 1-[[3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-2-methyl-1H-indol-5-yl]oxoacetyl]hexahydro-, rel- (9CI) (CA INDEX NAME)

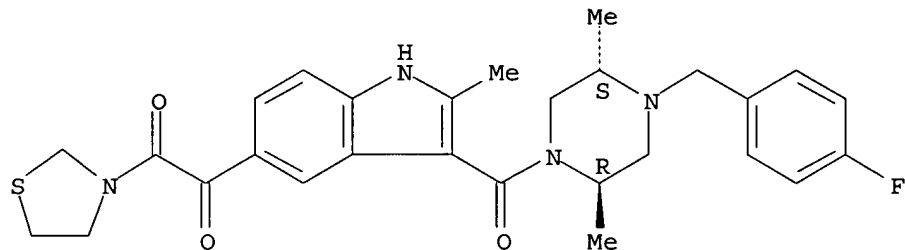
Relative stereochemistry.



RN 431061-52-8 CAPLUS

CN Piperazine, 1-[(4-fluorophenyl)methyl]-2,5-dimethyl-4-[[2-methyl-5-(oxo-3-thiazolidinylacetyl)-1H-indol-3-yl]carbonyl]-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

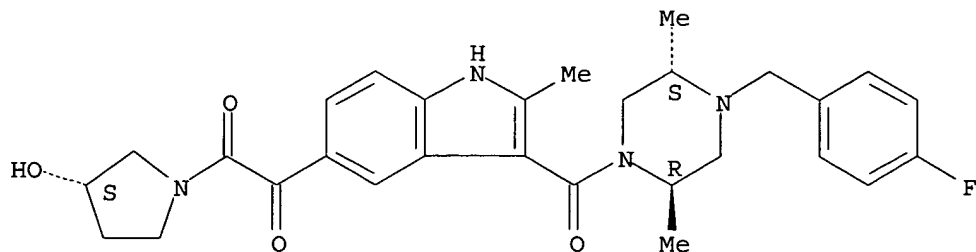
Relative stereochemistry.



RN 431061-53-9 CAPLUS

CN Piperazine, 1-[(4-fluorophenyl)methyl]-4-[[5-[[[(3S)-3-hydroxy-1-pyrrolidinyl]oxoacetyl]-2-methyl-1H-indol-3-yl]carbonyl]-2,5-dimethyl-, (2S,5R)- (9CI) (CA INDEX NAME)

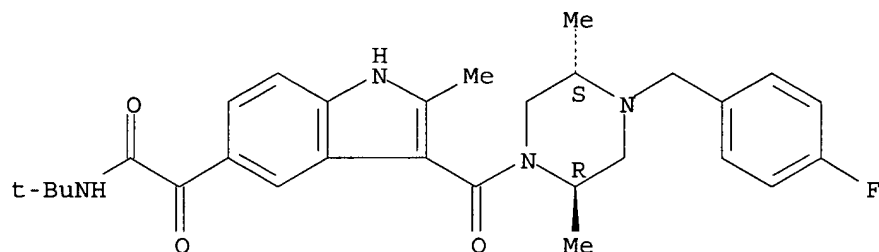
Absolute stereochemistry.



RN 431061-54-0 CAPLUS

CN 1H-Indole-5-acetamide, N-(1,1-dimethylethyl)-3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-2-methyl- α -oxo-, rel- (9CI) (CA INDEX NAME)

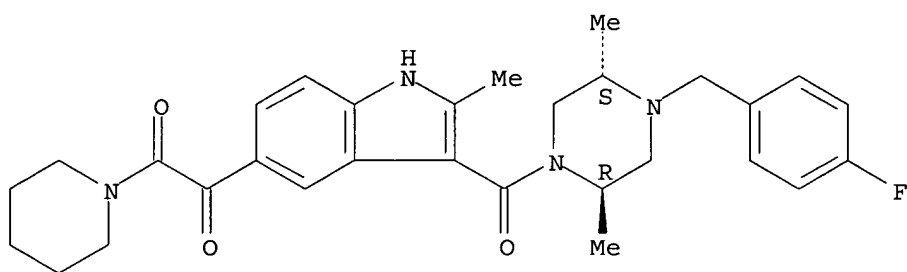
Relative stereochemistry.



RN 431061-55-1 CAPLUS

CN Piperazine, 1-[(4-fluorophenyl)methyl]-2,5-dimethyl-4-[[2-methyl-5-(oxo-1-piperidinylacetyl)-1H-indol-3-yl]carbonyl]-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

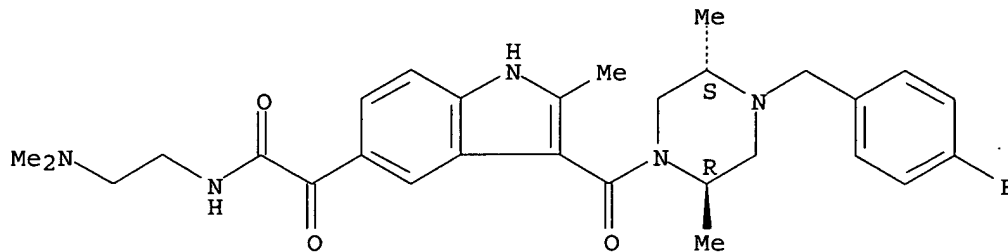
Relative stereochemistry.



RN 431061-56-2 CAPLUS

CN 1H-Indole-5-acetamide, N-[2-(dimethylamino)ethyl]-3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-2-methyl- α -oxo-, rel- (9CI) (CA INDEX NAME)

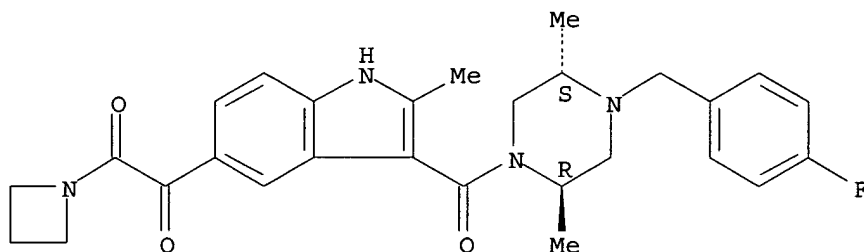
Relative stereochemistry.



RN 431061-57-3 CAPLUS

CN Piperazine, 1-[[5-(1-azetidinyloxyacetyl)-2-methyl-1H-indol-3-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

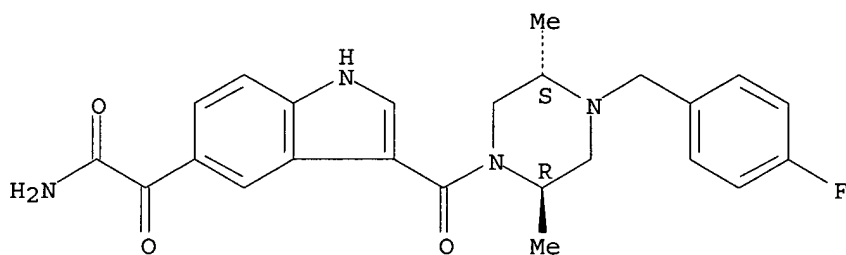
Relative stereochemistry.



RN 431061-58-4 CAPLUS

CN 1H-Indole-5-acetamide, 3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-α-oxo-, rel- (9CI) (CA INDEX NAME)

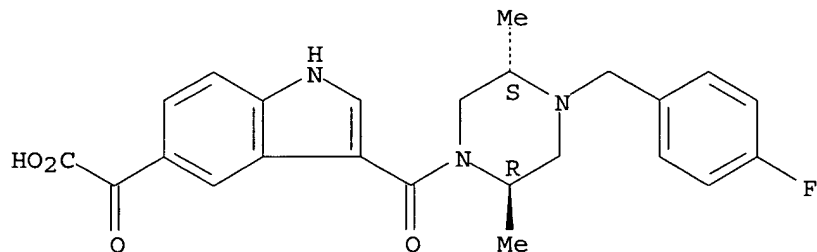
Relative stereochemistry.



RN 431061-59-5 CAPLUS

CN 1H-Indole-5-acetic acid, 3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-α-oxo-, rel- (9CI) (CA INDEX NAME)

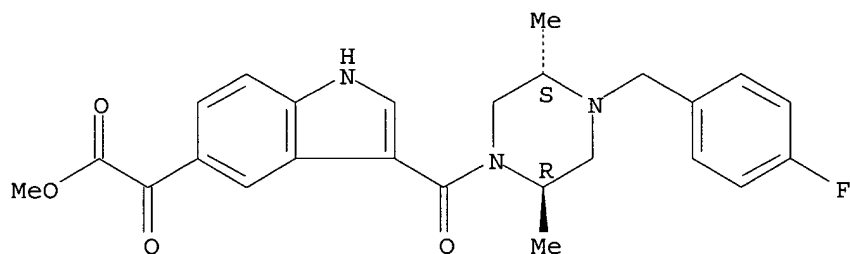
Relative stereochemistry.



RN 431061-60-8 CAPLUS

CN 1H-Indole-5-acetic acid, 3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-α-oxo-, methyl ester, rel- (9CI)
(CA INDEX NAME)

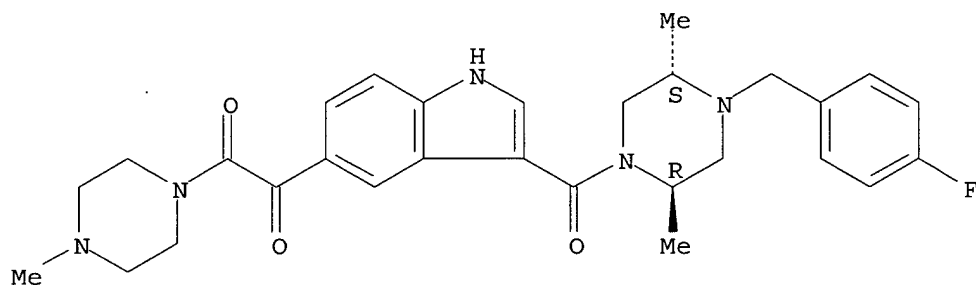
Relative stereochemistry.



RN 431061-61-9 CAPLUS

CN Piperazine, 1-[(4-fluorophenyl)methyl]-2,5-dimethyl-4-[[5-[(4-methyl-1-piperazinyl)oxoacetyl]-1H-indol-3-yl]carbonyl]-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

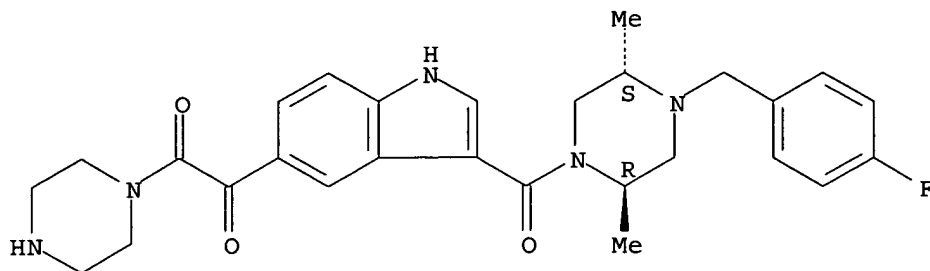
Relative stereochemistry.



RN 431061-62-0 CAPLUS

CN Piperazine, 1-[(4-fluorophenyl)methyl]-2,5-dimethyl-4-[[5-(oxo-1-piperazinylacetyl)-1H-indol-3-yl]carbonyl]-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

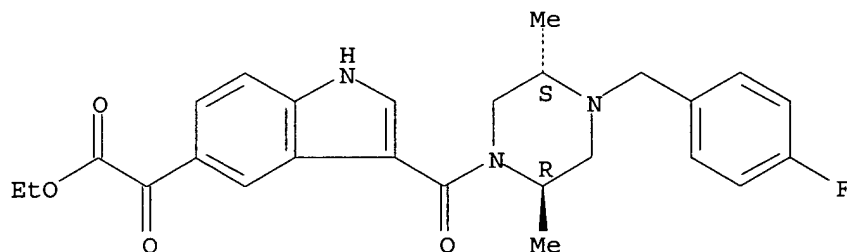
Relative stereochemistry.



RN 431061-63-1 CAPLUS

CN 1H-Indole-5-acetic acid, 3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-α-oxo-, ethyl ester, rel- (9CI)
(CA INDEX NAME)

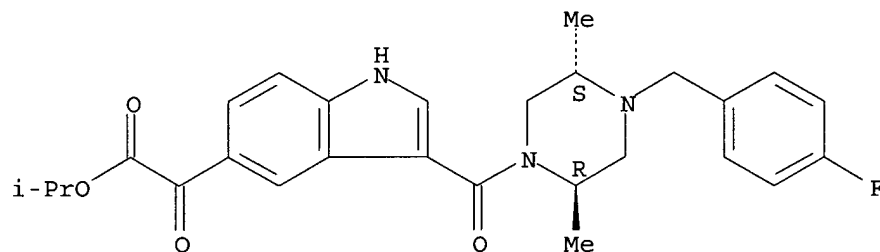
Relative stereochemistry.



RN 431061-64-2 CAPLUS

CN 1H-Indole-5-acetic acid, 3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-α-oxo-, 1-methylethyl ester, rel- (9CI) (CA INDEX NAME)

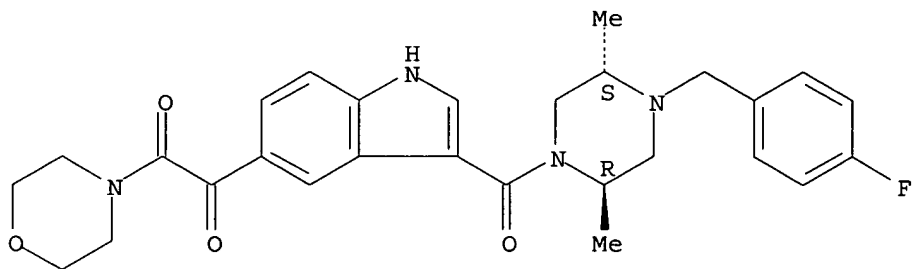
Relative stereochemistry.



RN 431061-65-3 CAPLUS

CN Morpholine, 4-[[[3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1H-indol-5-yl]oxoacetyl]-, rel- (9CI) (CA INDEX NAME)

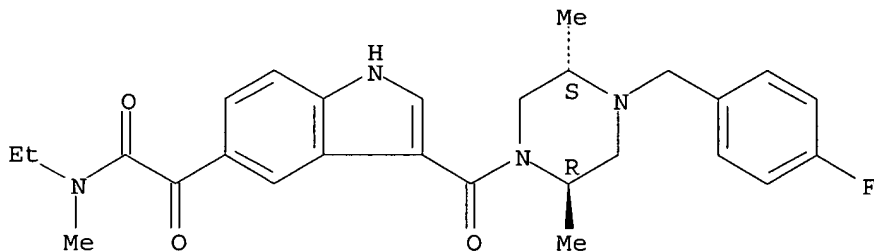
Relative stereochemistry.



RN 431061-66-4 CAPLUS

CN 1H-Indole-5-acetamide, N-ethyl-3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N-methyl-α-oxo-, rel- (9CI) (CA INDEX NAME)

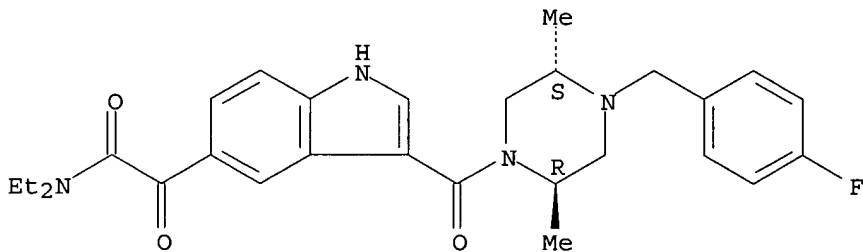
Relative stereochemistry.



RN 431061-67-5 CAPLUS

CN 1H-Indole-5-acetamide, N,N-diethyl-3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-α-oxo-, rel- (9CI) (CA INDEX NAME)

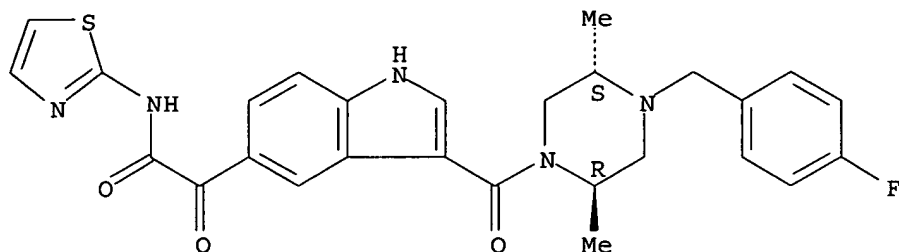
Relative stereochemistry.



RN 431061-68-6 CAPLUS

CN 1H-Indole-5-acetamide, 3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-α-oxo-N-2-thiazolyl-, rel- (9CI) (CA INDEX NAME)

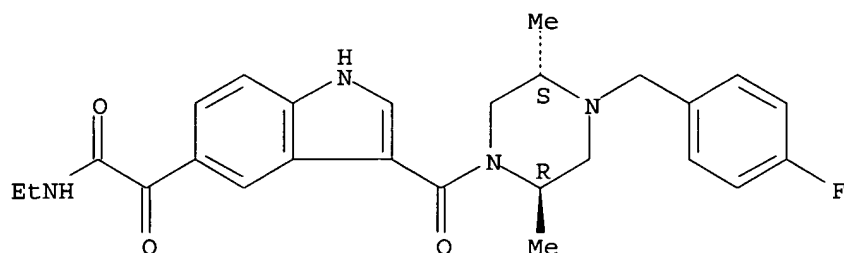
Relative stereochemistry.



RN 431061-69-7 CAPLUS

CN 1H-Indole-5-acetamide, N-ethyl-3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-α-oxo-, rel- (9CI) (CA INDEX NAME)

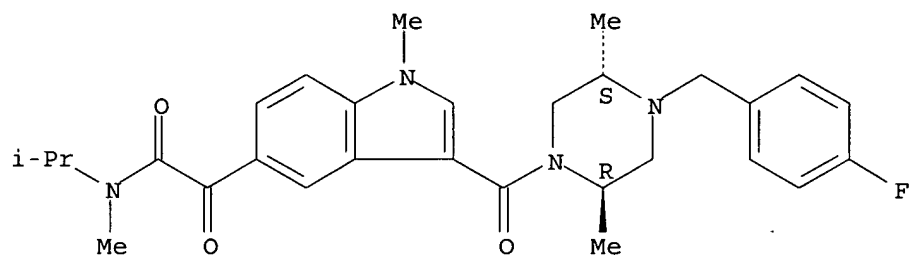
Relative stereochemistry.



RN 431061-70-0 CAPLUS

CN 1H-Indole-5-acetamide, 3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,1-dimethyl-N-(1-methylethyl)-α-oxo-, rel- (9CI) (CA INDEX NAME)

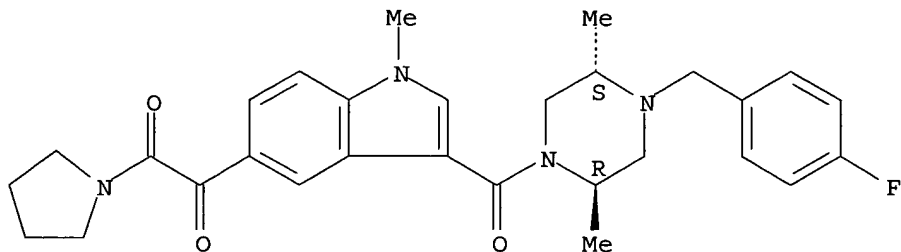
Relative stereochemistry.



RN 431061-71-1 CAPLUS

CN Piperazine, 1-[(4-fluorophenyl)methyl]-2,5-dimethyl-4-[[[1-methyl-5-[oxo(1-pyrrolidinyl)acetyl]-1H-indol-3-yl]carbonyl]-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

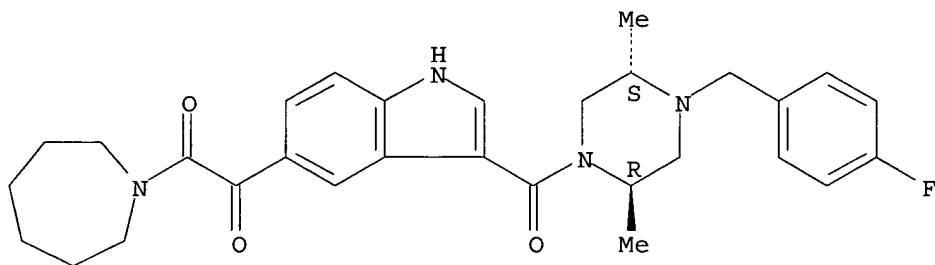
Relative stereochemistry.



RN 431061-72-2 CAPLUS

CN 1H-Azepine, 1-[[3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1H-indol-5-yl]oxoacetyl]hexahydro-, rel- (9CI) (CA INDEX NAME)

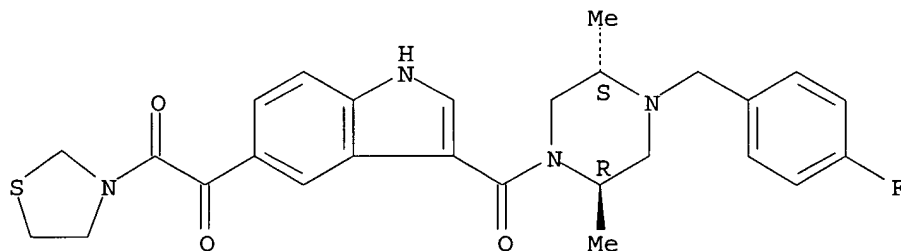
Relative stereochemistry.



RN 431061-73-3 CAPLUS

CN Piperazine, 1-[(4-fluorophenyl)methyl]-2,5-dimethyl-4-[[5-oxo(3-thiazolidinyl)acetyl]-1H-indol-3-yl]carbonyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

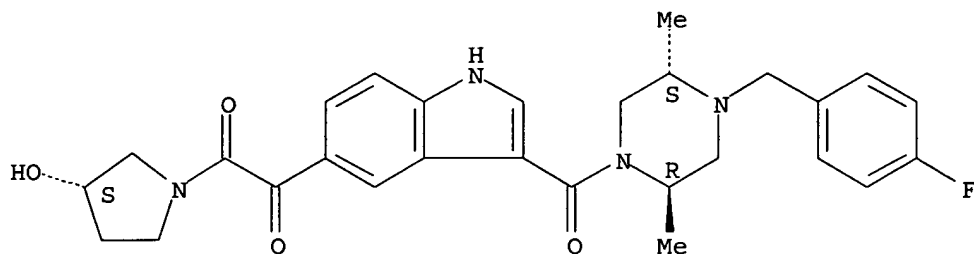
Relative stereochemistry.



RN 431061-74-4 CAPLUS

CN Piperazine, 1-[(4-fluorophenyl)methyl]-4-[[5-[[[(3R)-3-hydroxy-1-pyrrolidinyl]oxoacetyl]-1H-indol-3-yl]carbonyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

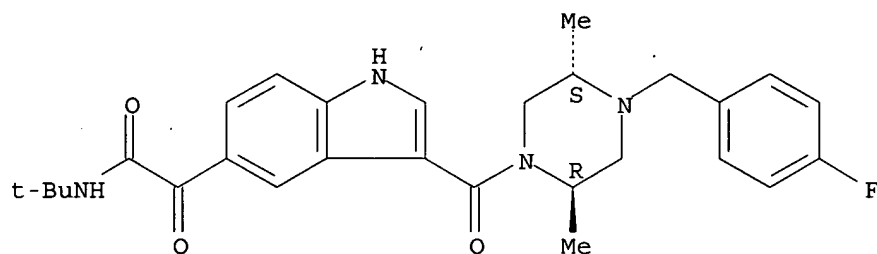
Absolute stereochemistry.



RN 431061-75-5 CAPLUS

CN 1H-Indole-5-acetamide, N-(1,1-dimethylethyl)-3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]- α -oxo-, rel- (9CI) (CA INDEX NAME)

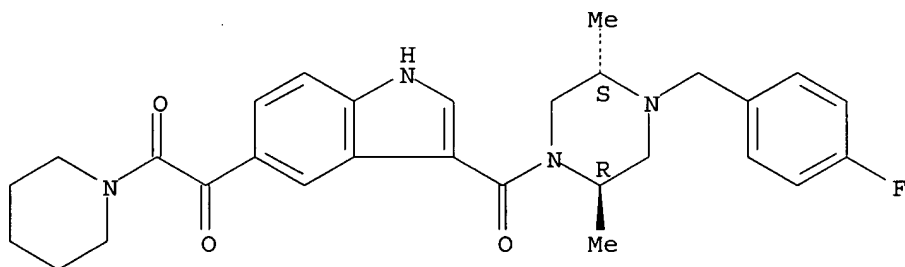
Relative stereochemistry.



RN 431061-76-6 CAPLUS

CN Piperazine, 1-[(4-fluorophenyl)methyl]-2,5-dimethyl-4-[[5-[oxo(1-piperidinyl)acetyl]-1H-indol-3-yl]carbonyl]-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

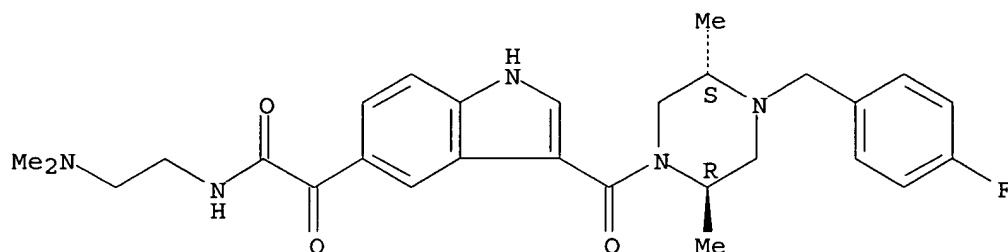
Relative stereochemistry.



RN 431061-77-7 CAPLUS

CN 1H-Indole-5-acetamide, N-[2-(dimethylamino)ethyl]-3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]- α -oxo-, rel- (9CI) (CA INDEX NAME)

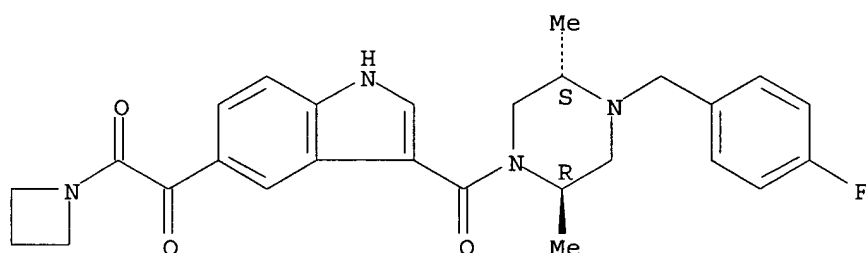
Relative stereochemistry.



RN 431061-78-8 CAPLUS

CN Piperazine, 1-[[5-[(1-azetidinyloxyacetyl)-1H-indol-3-yl]carbonyl]-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

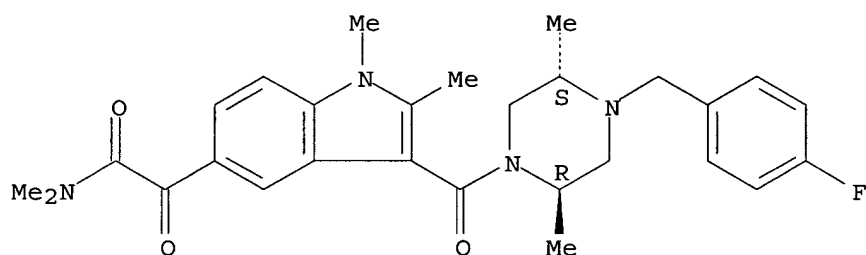
Relative stereochemistry.



RN 431061-79-9 CAPLUS

CN 1H-Indole-5-acetamide, 3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1,2-tetramethyl-α-oxo-, rel- (9CI) (CA INDEX NAME)

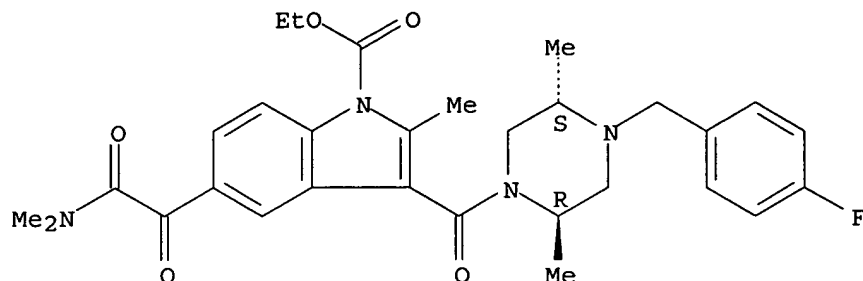
Relative stereochemistry.



RN 431061-80-2 CAPLUS

CN 1H-Indole-1-carboxylic acid, 5-[(dimethylamino)oxoacetyl]-3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-2-methyl-, ethyl ester, rel- (9CI) (CA INDEX NAME)

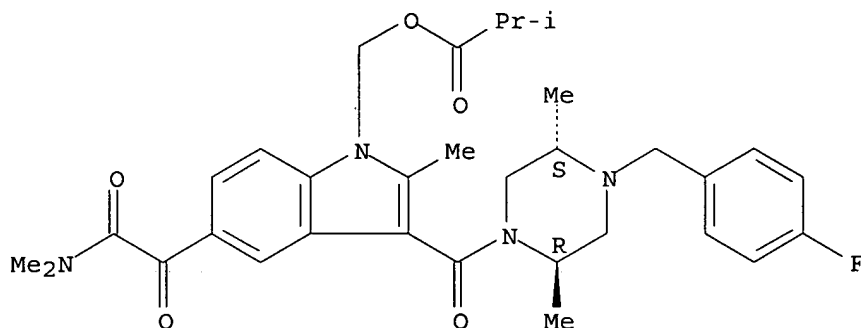
Relative stereochemistry.



RN 431061-81-3 CAPLUS

CN Propanoic acid, 2-methyl-, [5-[(dimethylamino)oxoacetyl]-3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-2-methyl-1H-indol-1-yl]methyl ester, rel- (9CI) (CA INDEX NAME)

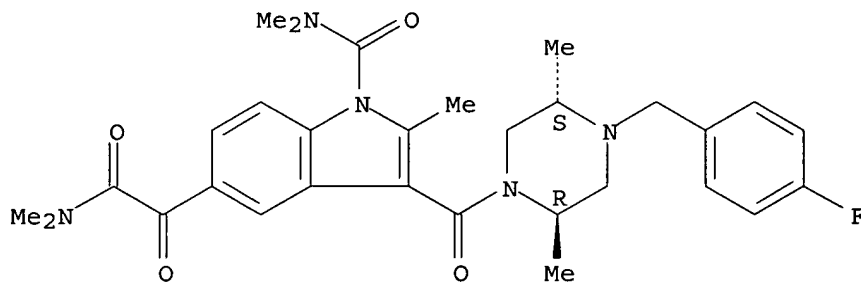
Relative stereochemistry.



RN 431061-82-4 CAPLUS

CN 1H-Indole-5-acetamide, 1-[(dimethylamino)carbonyl]-3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,2-trimethyl- α -oxo-, rel- (9CI) (CA INDEX NAME)

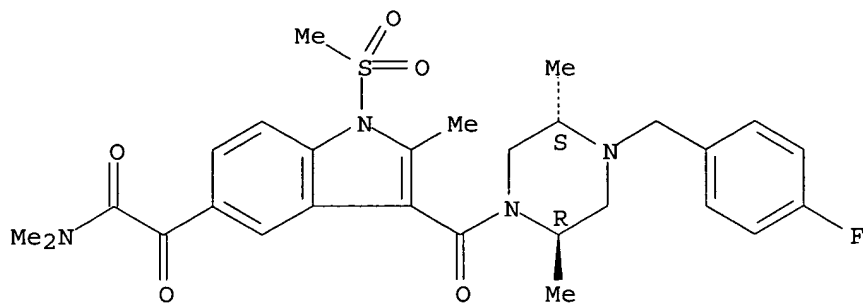
Relative stereochemistry.



RN 431061-83-5 CAPLUS

CN 1H-Indole-5-acetamide, 3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,2-trimethyl-1-(methylsulfonyl)- α -oxo-, rel- (9CI) (CA INDEX NAME)

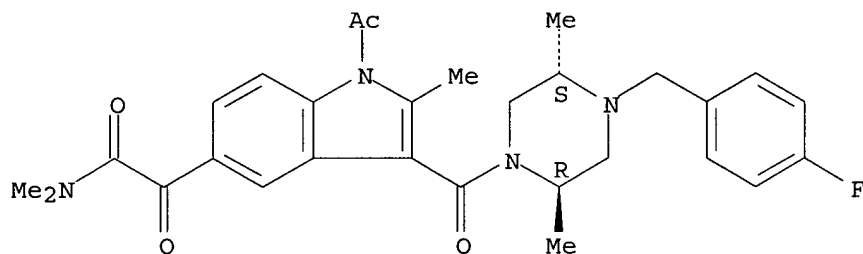
Relative stereochemistry.



RN 431061-84-6 CAPLUS

CN 1H-Indole-5-acetamide, 1-acetyl-3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,2-trimethyl-α-oxo-, rel- (9CI)
(CA INDEX NAME)

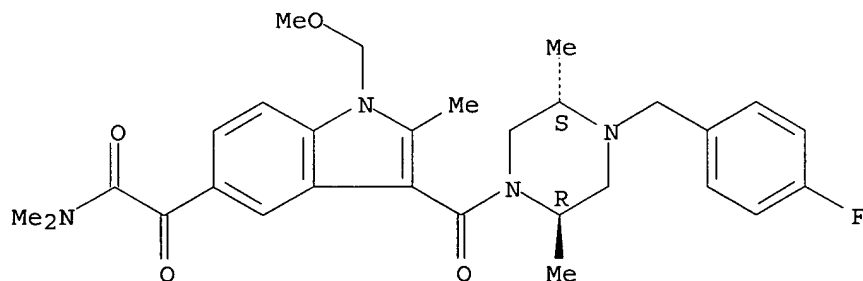
Relative stereochemistry.



RN 431061-85-7 CAPLUS

CN 1H-Indole-5-acetamide, 3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1-(methoxymethyl)-N,N,2-trimethyl-α-oxo-, rel- (9CI) (CA INDEX NAME)

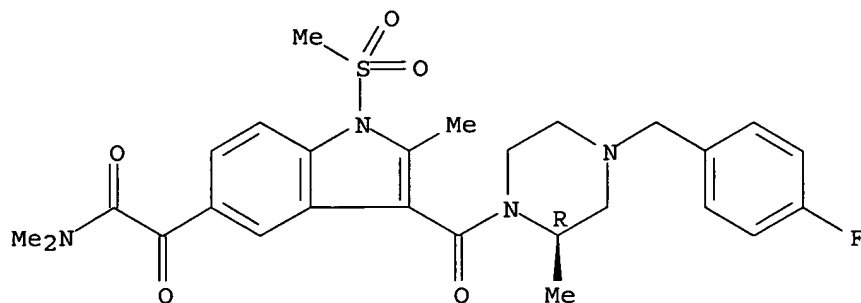
Relative stereochemistry.



RN 431061-86-8 CAPLUS

CN 1H-Indole-5-acetamide, 3-[[[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]carbonyl]-N,N,2-trimethyl-1-(methylsulfonyl)-α-oxo- (9CI) (CA INDEX NAME)

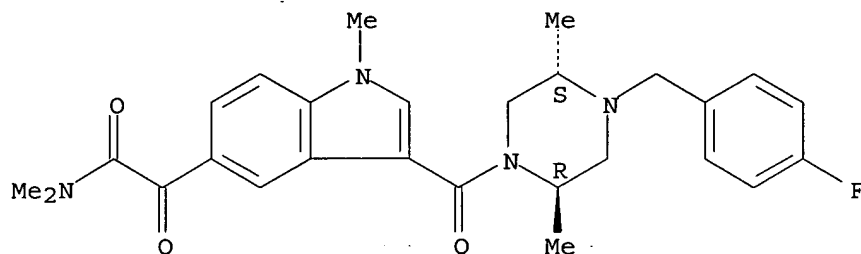
Absolute stereochemistry.



RN 431061-87-9 CAPLUS

CN 1H-Indole-5-acetamide, 3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl-α-oxo-, rel- (9CI) (CA INDEX NAME)

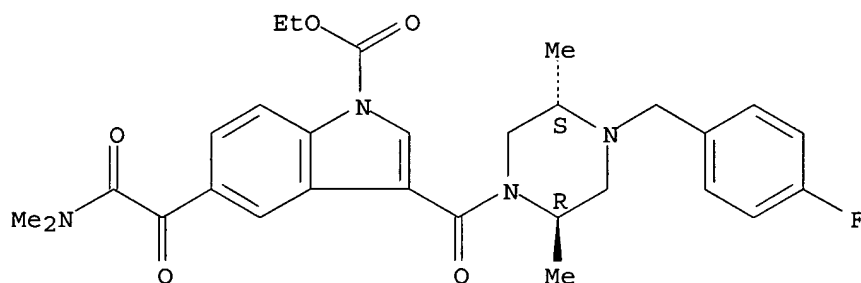
Relative stereochemistry.



RN 431061-88-0 CAPLUS

CN 1H-Indole-1-carboxylic acid, 5-[(dimethylamino)oxoacetyl]-3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-, ethyl ester, rel- (9CI) (CA INDEX NAME)

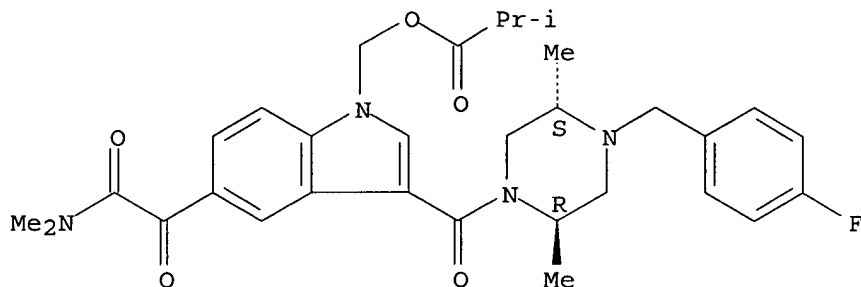
Relative stereochemistry.



RN 431061-89-1 CAPLUS

CN Propanoic acid, 2-methyl-, [5-[(dimethylamino)oxoacetyl]-3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1H-indol-1-yl]methyl ester, rel- (9CI) (CA INDEX NAME)

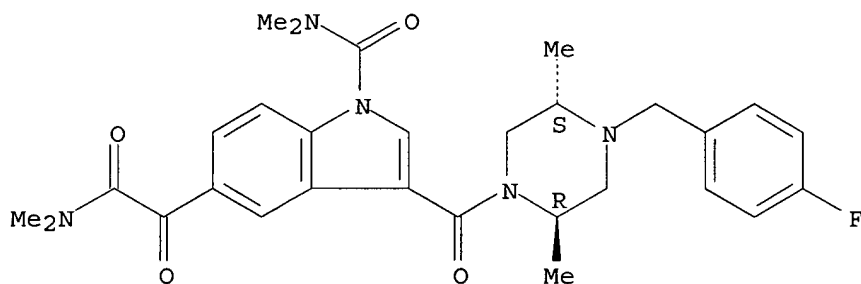
Relative stereochemistry.



RN 431061-90-4 CAPLUS

CN 1H-Indole-5-acetamide, 1-[(dimethylamino)carboxyl]-3-[[4-(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N-dimethyl- α -oxo-, rel- (9CI) (CA INDEX NAME)

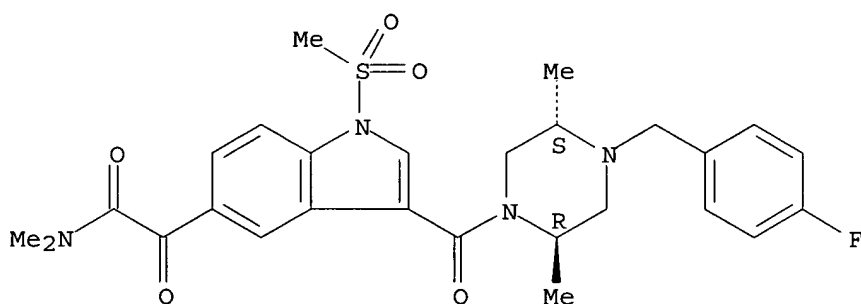
Relative stereochemistry.



RN 431061-91-5 CAPLUS

CN 1H-Indole-5-acetamide, 3-[[4-(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N-dimethyl-1-(methylsulfonyl)- α -oxo-, rel- (9CI) (CA INDEX NAME)

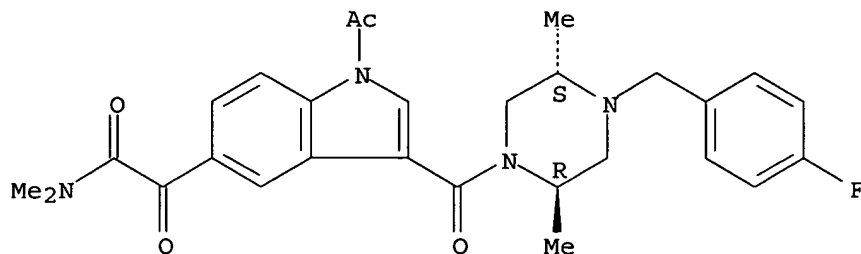
Relative stereochemistry.



RN 431061-92-6 CAPLUS

CN 1H-Indole-5-acetamide, 1-acetyl-3-[[4-(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N-dimethyl- α -oxo-, rel- (9CI) (CA INDEX NAME)

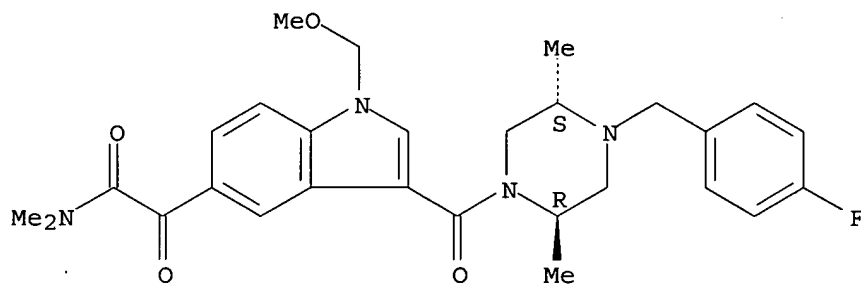
Relative stereochemistry.



RN 431061-93-7 CAPLUS

CN 1H-Indole-5-acetamide, 3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1-(methoxymethyl)-N,N-dimethyl-α-oxo-, rel- (9CI) (CA INDEX NAME)

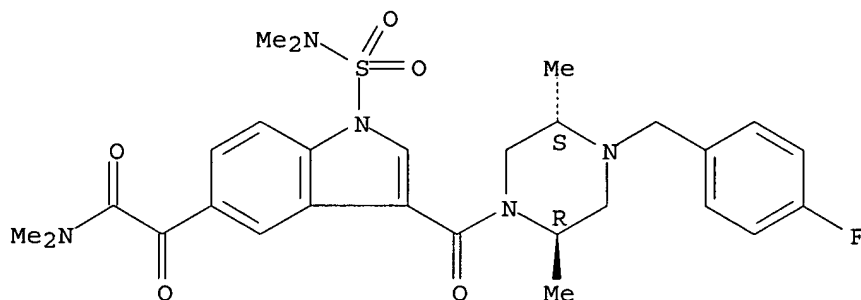
Relative stereochemistry.



RN 431061-94-8 CAPLUS

CN 1H-Indole-5-acetamide, 1-[(dimethylamino)sulfonyl]-3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N-dimethyl-1-[(methylthio)methyl]-α-oxo-, rel- (9CI) (CA INDEX NAME)

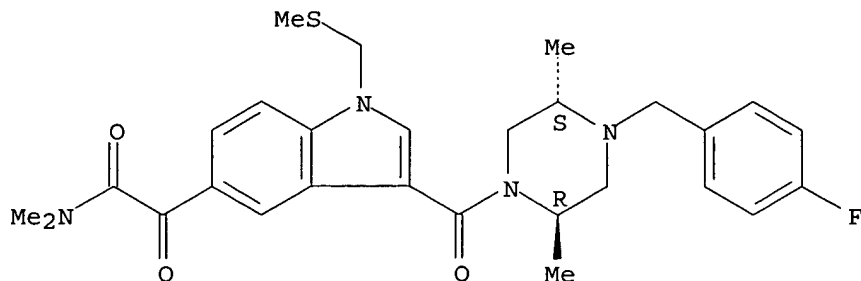
Relative stereochemistry.



RN 431061-95-9 CAPLUS

CN 1H-Indole-5-acetamide, 3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N-dimethyl-1-[(methylthio)methyl]-α-oxo-, rel- (9CI) (CA INDEX NAME)

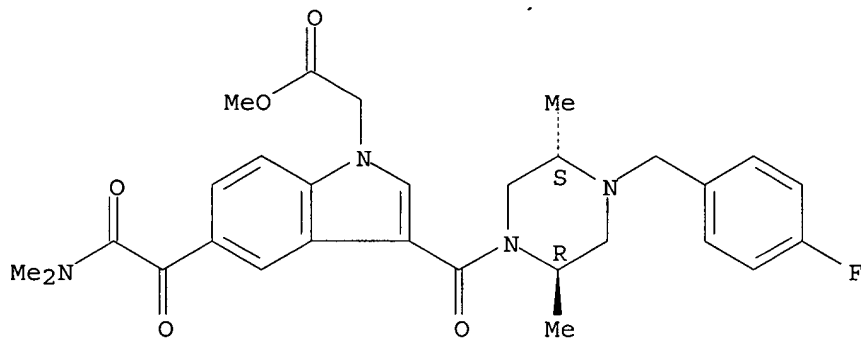
Relative stereochemistry.



RN 431061-96-0 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[(dimethylamino)oxoacetyl]-3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-, methyl ester, rel- (9CI) (CA INDEX NAME)

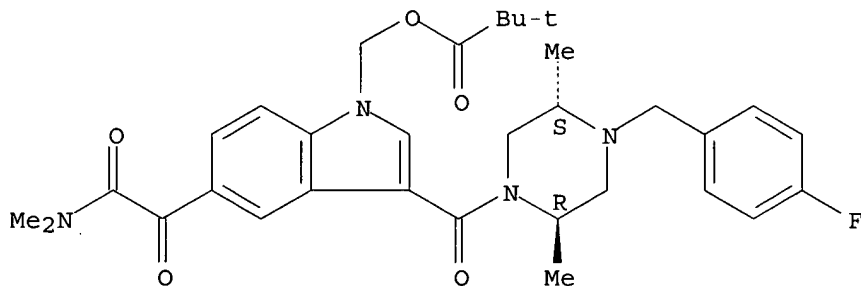
Relative stereochemistry.



RN 431061-97-1 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, [5-[(dimethylamino)oxoacetyl]-3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1H-indol-1-yl]methyl ester, rel- (9CI) (CA INDEX NAME)

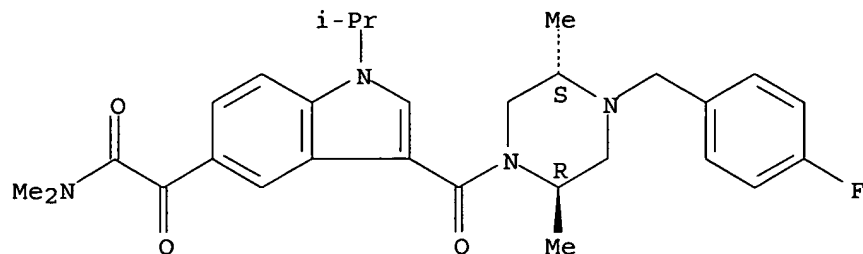
Relative stereochemistry.



RN 431061-98-2 CAPLUS

CN 1H-Indole-5-acetamide, 3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N-dimethyl-1-(1-methylethyl)-alpha-oxo-, rel- (9CI) (CA INDEX NAME)

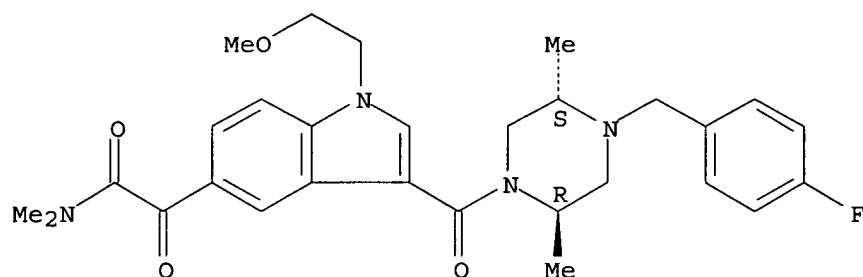
Relative stereochemistry.



RN 431061-99-3 CAPLUS

CN 1H-Indole-5-acetamide, 3-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1-(2-methoxyethyl)-N,N-dimethyl-α-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



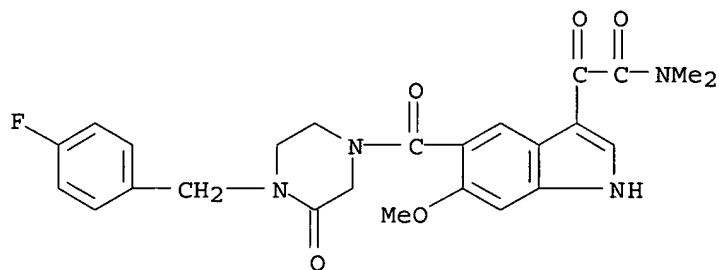
IT 309915-14-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of piperidinylcarbonyl- and piperazinylcarbonylindolylglyoxylates and -amides as inhibitors of p38-α kinase)

RN 309915-14-8 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[[4-[(4-fluorophenyl)methyl]-3-oxo-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-α-oxo- (9CI) (CA INDEX NAME)



L17 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:51452 CAPLUS

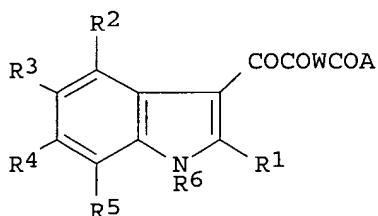
DOCUMENT NUMBER: 136:118470

TITLE: Preparation of substituted indoleoxoacetyl piperazines with antiviral activity against HIV-1

INVENTOR(S): Wallace, Owen B.; Wang, Tao; Yeung, Kap-Sun; Pearce,

Bradley C.; Meanwell, Nicholas A.; Qiu, Zhilei; Fang, Haiquan; Xue, Qiufen May; Yin, Zhiwei
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; Squibb Bristol Myers Co
 SOURCE: PCT Int. Appl., 277 pp., which
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2002004440 | A1 | 20020117 | WO 2001-US20300 | 20010626 |
| WO 2002004440 | C2 | 20051103 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2413044 | AA | 20020117 | CA 2001-2413044 | 20010626 |
| EP 1299382 | A1 | 20030409 | EP 2001-946715 | 20010626 |
| EP 1299382 | B1 | 20050921 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004502768 | T2 | 20040129 | JP 2002-509305 | 20010626 |
| AT 304853 | E | 20051015 | AT 2001-946715 | 20010626 |
| ES 2250422 | T3 | 20060416 | ES 2001-1946715 | 20010626 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | US 2000-217444P | P 20000710 |
| | | | US 2001-265978P | P 20010202 |
| | | | WO 2001-US20300 | W 20010626 |
| OTHER SOURCE(S): MARPAT 136:118470 | | | | |
| GI | | | | |



I

AB Indoleoxoacetyl piperazines I [A = (un)substituted alkoxy, aryl, heteroaryl; W = (un)substituted piperazino; R1 = H; R2-R5 = H, halogen, CN, NO2, (un)substituted NH2, OH, (un)substituted alkyl, cycloalkyl, alkoxy, CO2H, acyl, carbamoyl, amidino, aryl, heteroaryl, heterocyclic; R6 = H, alkyl] and their 2,3-dihydroindole analogs were prepared for use as virucides in the treatment of HIV and AIDS. Thus, 2-bromo-5-fluoronitrobenzene was cyclized with CH2:CHMgBr to give

4-fluoro-7-bromoindole, which was treated with ClCOCO₂Et, followed by ester hydrolysis to give 4-fluoro-7-bromo-3-indoleglyoxylic acid. This acid was amidated with N-benzoylpiperazine and treated with PhSnBu₃ to give I [A = R₅ = Ph, W = piperazino, R₁, R₃, R₄, R₆ = H, R₂ = F]. This compound gave >98% inhibition of HIV-1 infection in HeLa cells.

IT 389629-30-5P

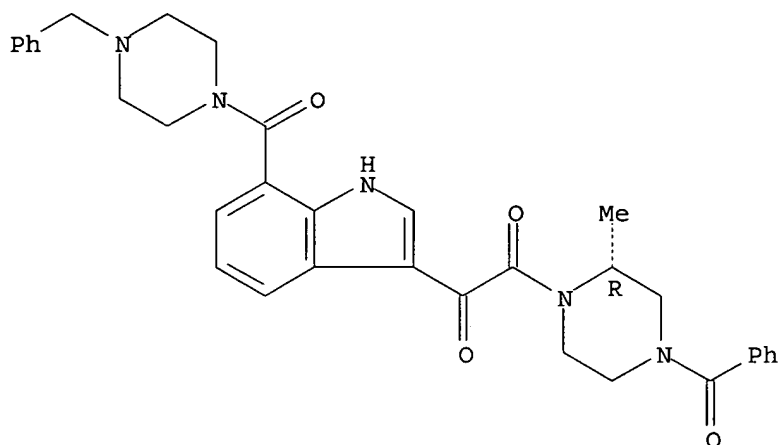
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted indoleoxoacetyl piperazines with antiviral activity against HIV-1)

RN 389629-30-5 CAPLUS

CN Piperazine, 4-benzoyl-2-methyl-1-[oxo[7-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1H-indol-3-yl]acetyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:842127 CAPLUS

DOCUMENT NUMBER: 134:17503

TITLE: Preparation of 5-[4-benzoylpiperidinyloxy]indolecarboxamides as inhibitors of p38 kinase

INVENTOR(S): Mavunkel, Babu J.; Chakravarty, Sarvajit; Perumattam, John J.; Dugar, Sundeeep; Lu, Qing; Liang, Xi

PATENT ASSIGNEE(S): Scios Inc., USA

SOURCE: PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|---|----------|-----------------|----------|
| WO 2000071535 | A1 | 20001130 | WO 2000-US14003 | 20000519 |
| W: | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, | | | |

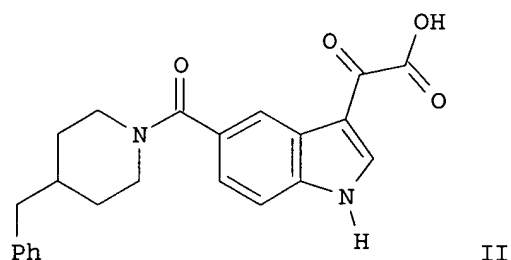
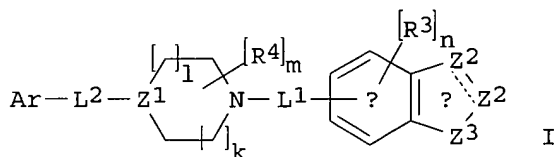
MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|--|----|----------|-----------------|----------|
| US 6589954 | B1 | 20030708 | US 1999-316761 | 19990521 |
| CA 2372567 | AA | 20001130 | CA 2000-2372567 | 20000519 |
| EP 1178983 | A1 | 20020213 | EP 2000-939322 | 20000519 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| BR 2000011274 | A | 20020226 | BR 2000-11274 | 20000519 |
| NZ 515285 | A | 20040130 | NZ 2000-515285 | 20000519 |
| AU 772295 | B2 | 20040422 | AU 2000-54424 | 20000519 |
| BG 106091 | A | 20020628 | BG 2001-106091 | 20011108 |
| HR 2001000854 | A1 | 20030430 | HR 2001-854 | 20011119 |
| NO 2001005655 | A | 20020118 | NO 2001-5655 | 20011120 |
| AU 2004203356 | A1 | 20040819 | AU 2004-203356 | 20040722 |

PRIORITY APPLN. INFO.:

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|-----------------|----|----------|
| US 1999-316761 | A | 19990521 |
| US 1999-154594P | P | 19990917 |
| US 2000-202608P | P | 20000509 |
| US 1998-86531P | P | 19980522 |
| US 1998-128137 | A2 | 19980803 |
| US 1999-275176 | A2 | 19990324 |
| WO 2000-US14003 | W | 20000519 |

OTHER SOURCE(S): MARPAT 134:17503
GI



AB The title compds. [I; one Z2 = CA, CR8A and the other = CR1, CR12, NR6, N (wherein R1, R6, R8 = H, noninterfering substituent; A = WiCOXjY; Y = COR2, an isostere; R2 = H, noninterfering substituent; W, X = spacer of 2-6Å; i, j = 0-1); Z3 = NR7, O; R3 = noninterfering substituent; n = 0-3; L1, L2 = linker; R4 = noninterfering substituent; m = 0-4; Z1 = CR5, N (R5 = H, noninterfering substituent); l, k = 0-2, wherein the sum of l and k = 0-3; Ar = aryl substituted with 0-5 noninterfering substituents, wherein two noninterfering substituents can form a fused ring; the

distance between the atom of Ar linked to L2 and the center of the α ring is 4.5-24Å] which inhibit p38- α kinase (biol. data given), were prepared Thus, treating 6-methoxy-(4-benzylpiperidiny1)-indole-5-carboxamide with oxalyl chloride in CH₂Cl₂ afforded the indole-5-carboxamide II.

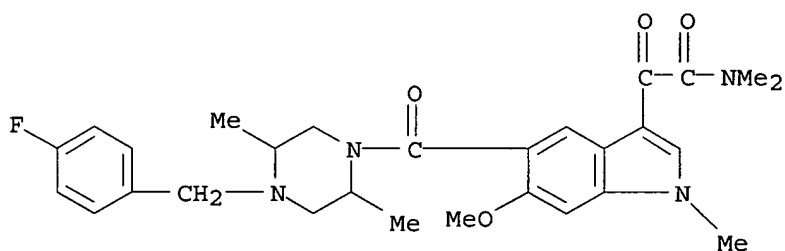
IT 309913-41-5P 309913-43-7P 309913-59-5P
 309913-60-8P 309913-64-2P 309913-71-1P
 309913-72-2P 309913-73-3P 309913-74-4P
 309913-82-4P 309913-83-5P 309913-85-7P
 309913-88-0P 309914-02-1P 309914-14-5P
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 309915-01-3P 309915-02-4P 309915-04-6P
 309915-12-6P 309915-13-7P 309915-14-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 5-[4-benzylpiperidiny1(piperazinyl)]-indolecarboxamides as inhibitors of p38 kinase)

RN 309913-41-5 CAPLUS

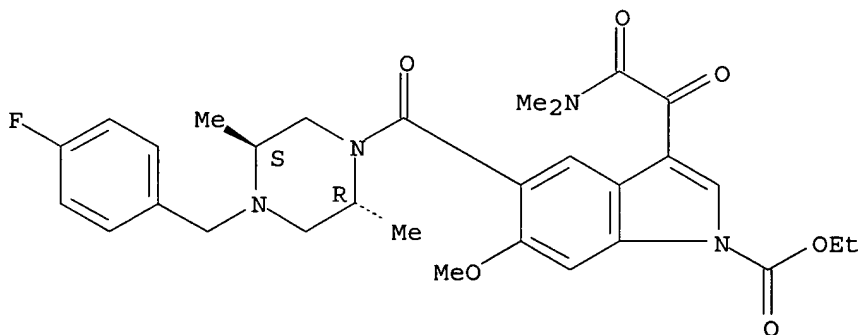
CN 1H-Indole-3-acetamide, 5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,1-trimethyl- α -oxo- (9CI) (CA INDEX NAME)



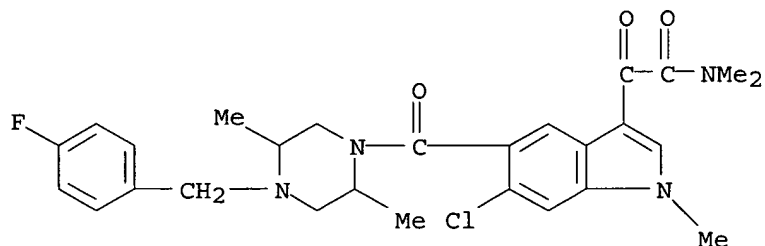
RN 309913-43-7 CAPLUS

CN 1H-Indole-1-carboxylic acid, 3-[(dimethylamino)oxoacetyl]-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-, ethyl ester, rel- (9CI) (CA INDEX NAME)

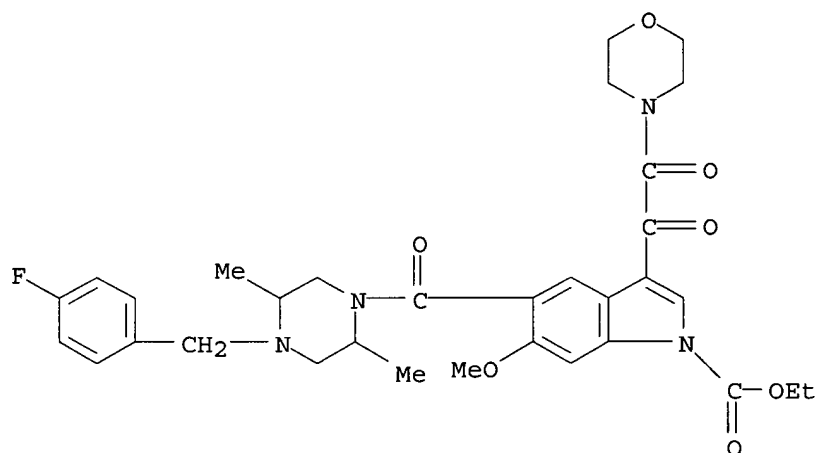
Relative stereochemistry.



RN 309913-59-5 CAPLUS
 CN 1H-Indole-3-acetamide, 6-chloro-5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl- α -oxo- (9CI) (CA INDEX NAME)

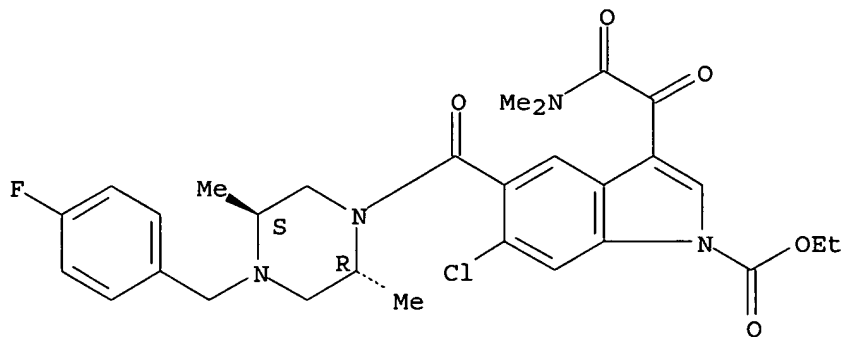


RN 309913-60-8 CAPLUS
 CN 1H-Indole-1-carboxylic acid, 5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-3-(4-morpholinyl-oxoacetyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 309913-64-2 CAPLUS
 CN 1H-Indole-1-carboxylic acid, 6-chloro-3-[(dimethylamino)oxoacetyl]-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-, ethyl ester, rel- (9CI) (CA INDEX NAME)

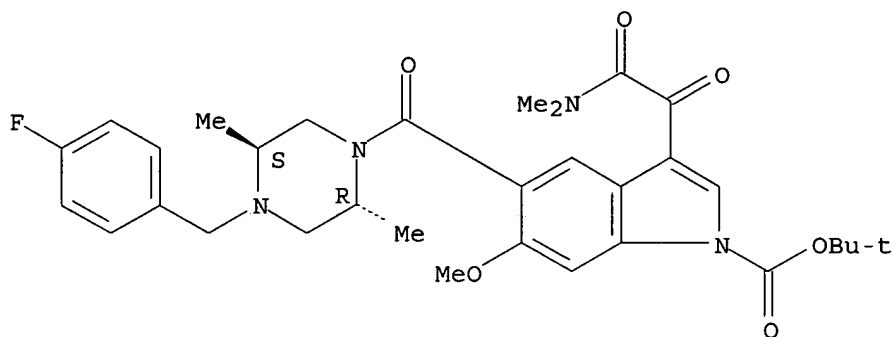
Relative stereochemistry.



RN 309913-71-1 CAPLUS

CN 1H-Indole-1-carboxylic acid, 3-[(dimethylamino)oxoacetyl]-5-[[2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

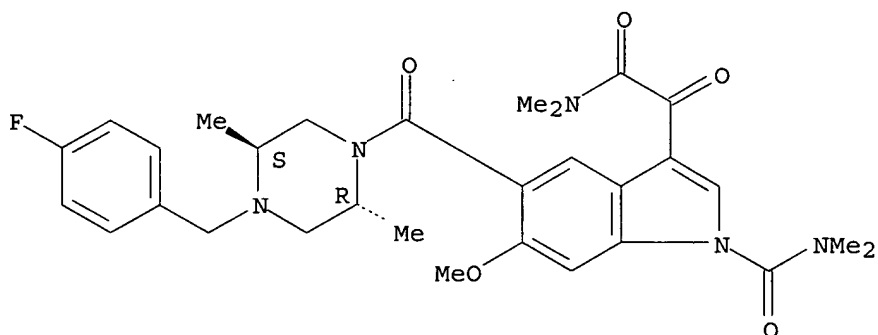
Relative stereochemistry.



RN 309913-72-2 CAPLUS

CN 1H-Indole-3-acetamide, 1-[(dimethylamino)carbonyl]-5-[[2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-α-oxo-, rel- (9CI) (CA INDEX NAME)

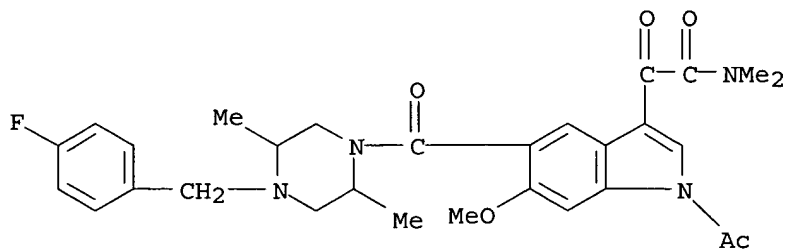
Relative stereochemistry.



RN 309913-73-3 CAPLUS

CN 1H-Indole-3-acetamide, 1-acetyl-5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-α-oxo-, (9CI)

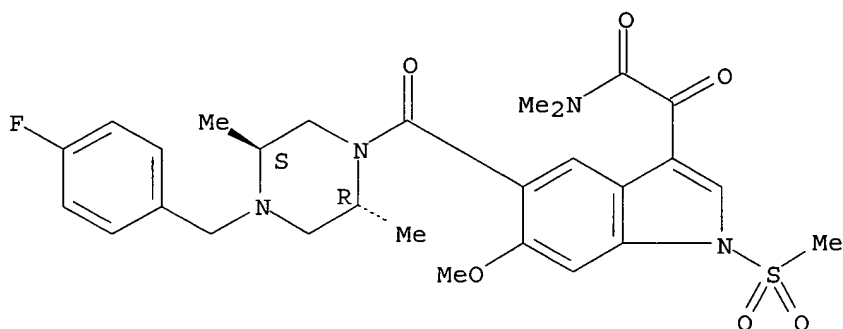
(CA INDEX NAME)



RN 309913-74-4 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-1-(methylsulfonyl)- α -oxo-, rel- (9CI) (CA INDEX NAME)

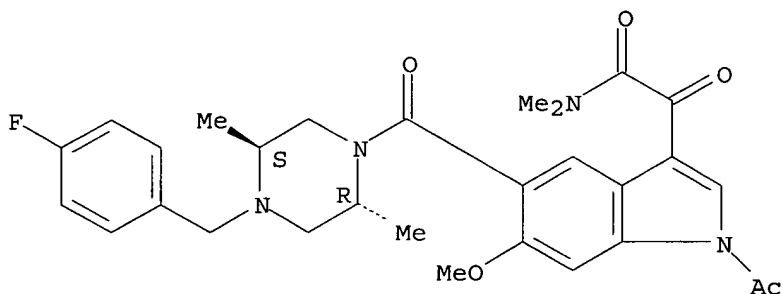
Relative stereochemistry.



RN 309913-82-4 CAPLUS

CN 1H-Indole-3-acetamide, 1-acetyl-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl- α -oxo-, rel- (9CI) (CA INDEX NAME)

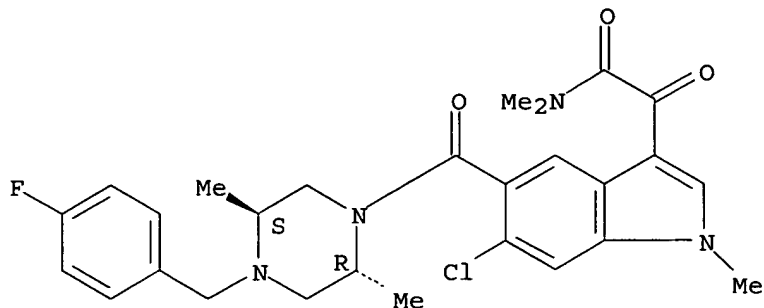
Relative stereochemistry.



RN 309913-83-5 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl- α -oxo- (9CI) (CA INDEX NAME)

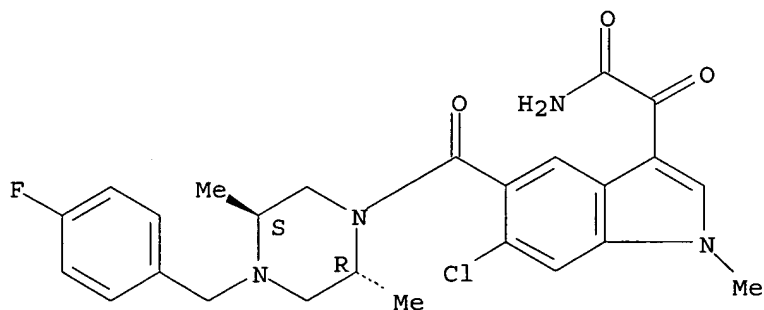
Absolute stereochemistry.



RN 309913-85-7 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1-methyl- α -oxo-, rel- (9CI) (CA INDEX NAME)

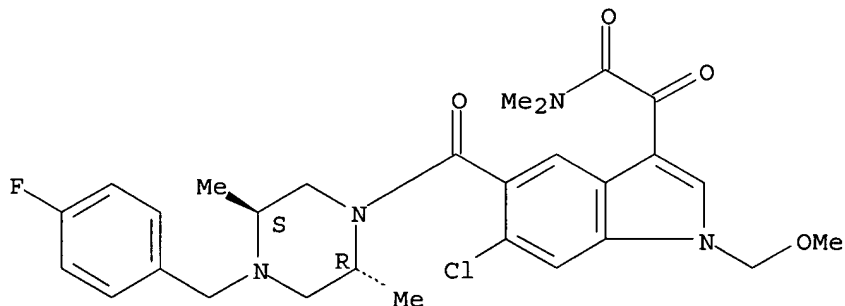
Relative stereochemistry.



RN 309913-88-0 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1-(methoxymethyl)-N,N-dimethyl- α -oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

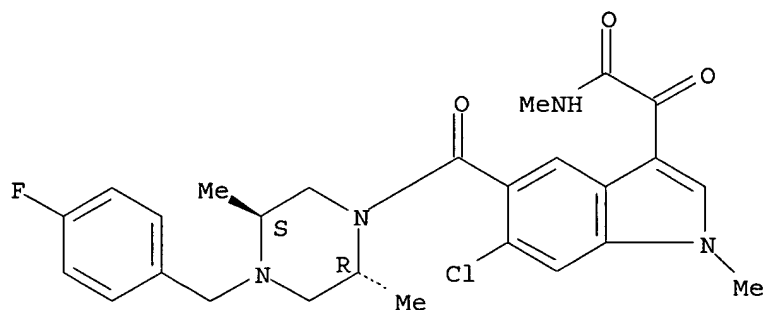


RN 309914-02-1 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,1-dimethyl- α -oxo-, rel- (9CI)

(CA INDEX NAME)

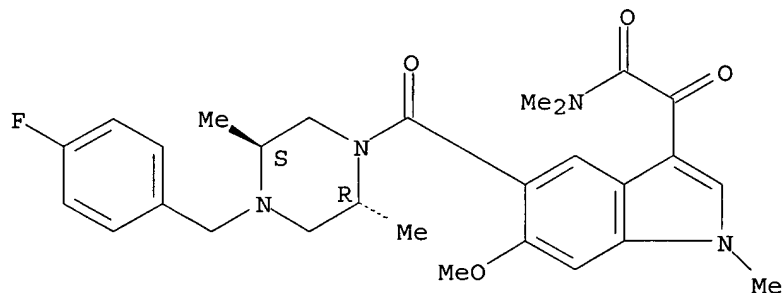
Relative stereochemistry.



RN 309914-14-5 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,1-trimethyl- α -oxo- (9CI) (CA INDEX NAME)

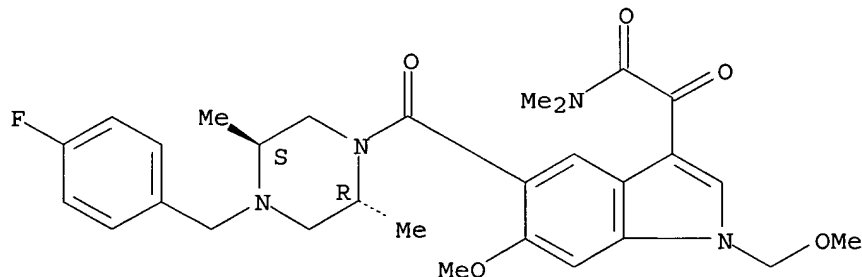
Absolute stereochemistry.



RN 309914-17-8 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1-(methoxymethyl)-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

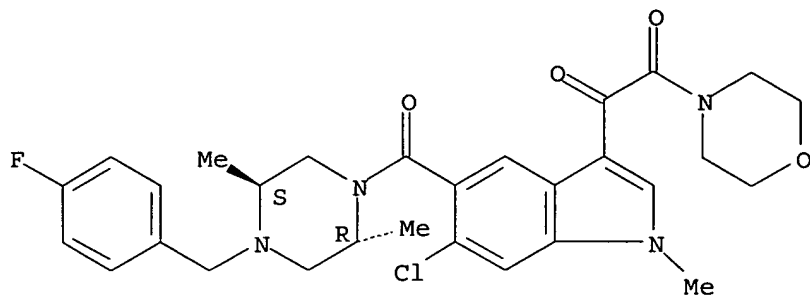


RN 309914-21-4 CAPLUS

CN Morpholine, 4-[[[6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1-methyl-1H-indol-3-yl]oxoacetyl]- (9CI)

(CA INDEX NAME)

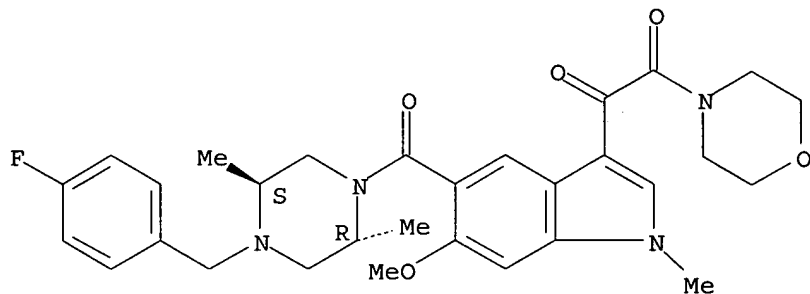
Absolute stereochemistry.



RN 309914-25-8 CAPLUS

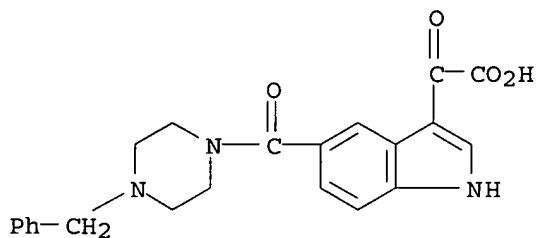
CN Morphinolone, 4-[[5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1-methyl-1H-indol-3-yl]oxoacetyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



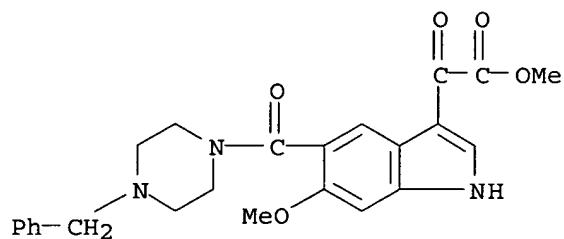
RN 309914-60-1 CAPLUS

CN 1H-Indole-3-acetic acid, α -oxo-5-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]- (9CI) (CA INDEX NAME)



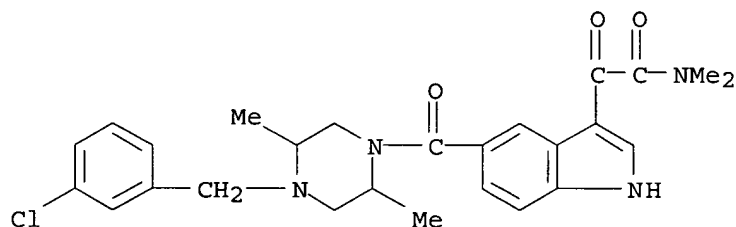
RN 309914-62-3 CAPLUS

CN 1H-Indole-3-acetic acid, 6-methoxy- α -oxo-5-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



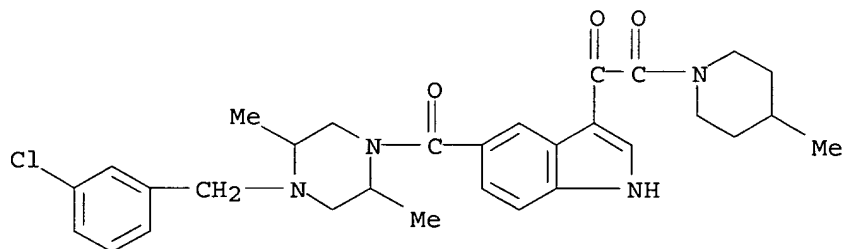
RN 309914-71-4 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[4-[(3-chlorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)



RN 309914-73-6 CAPLUS

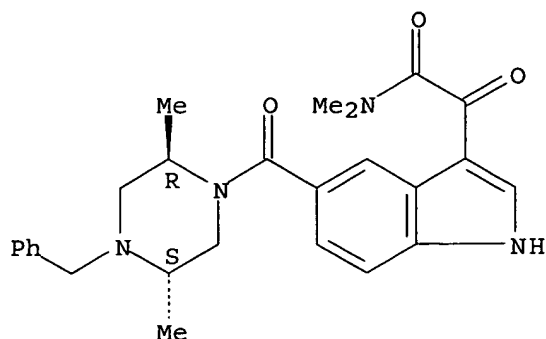
CN Piperazine, 1-[(3-chlorophenyl)methyl]-2,5-dimethyl-4-[[3-[(4-methyl-1-piperidinyl)oxoacetyl]-1H-indol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 309914-77-0 CAPLUS

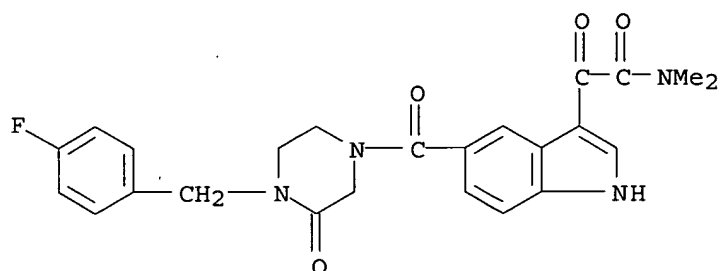
CN 1H-Indole-3-acetamide, 5-[[[(2R,5S)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]carbonyl]-N,N-dimethyl- α -oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



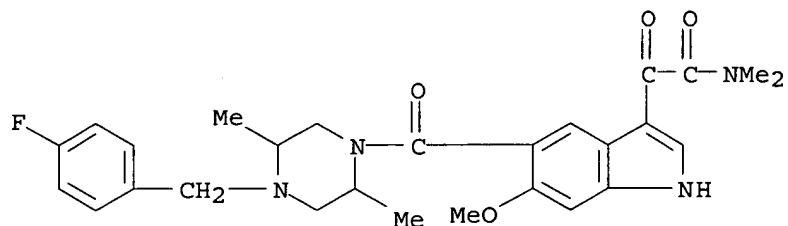
RN 309914-78-1 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[4-[(4-fluorophenyl)methyl]-3-oxo-1-piperazinyl]carbonyl]-N,N-dimethyl-α-oxo- (9CI) (CA INDEX NAME)



RN 309914-79-2 CAPLUS

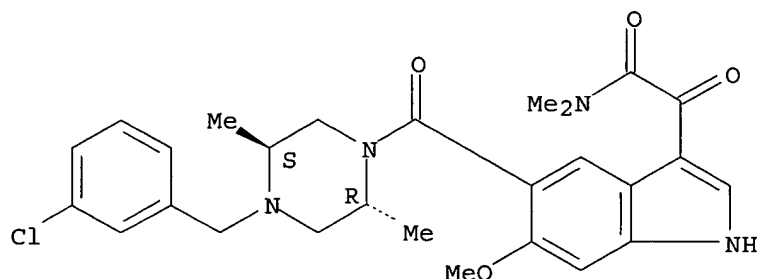
CN 1H-Indole-3-acetamide, 5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-α-oxo- (9CI) (CA INDEX NAME)



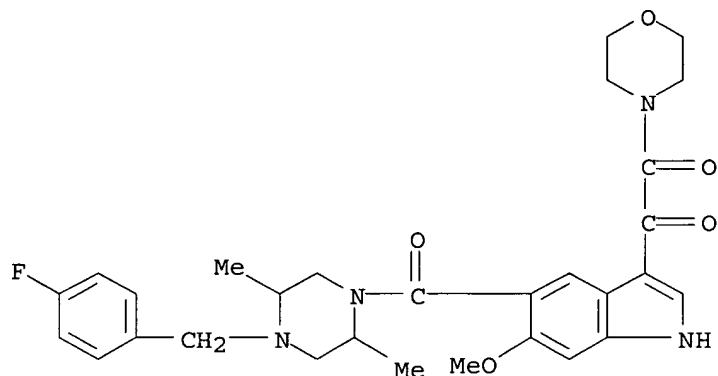
RN 309914-80-5 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[[(2R,5S)-4-[(3-chlorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-α-oxo-, rel- (9CI) (CA INDEX NAME)

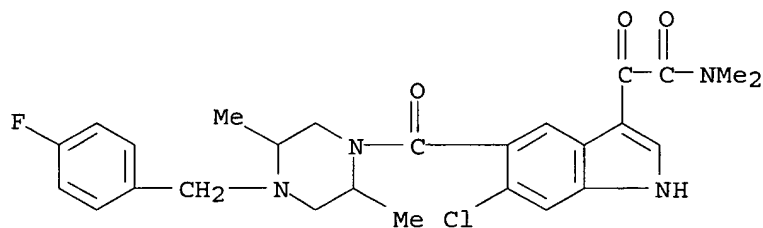
Relative stereochemistry.



RN 309914-86-1 CAPLUS
 CN Morpholine, 4-[[5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1H-indol-3-yl]oxoacetyl]- (9CI) (CA INDEX NAME)

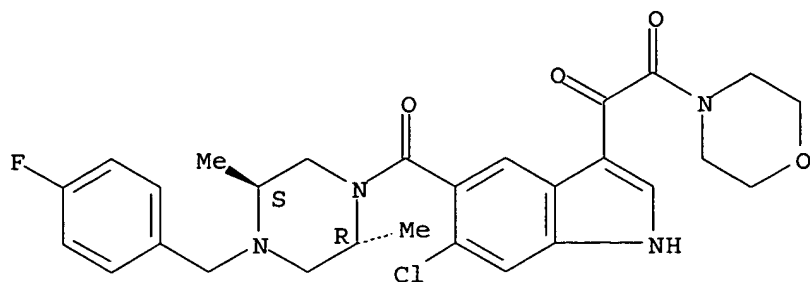


RN 309914-87-2 CAPLUS
 CN 1H-Indole-3-acetamide, 6-chloro-5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)



RN 309914-89-4 CAPLUS
 CN Morpholine, 4-[[6-chloro-5-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1H-indol-3-yl]oxoacetyl]-, rel- (9CI) (CA INDEX NAME)

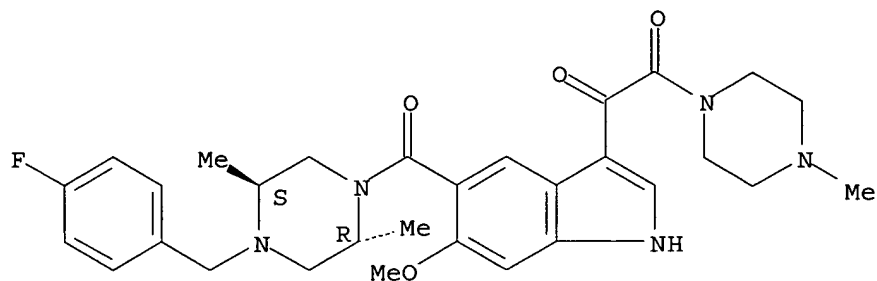
Relative stereochemistry.



RN 309914-95-2 CAPLUS

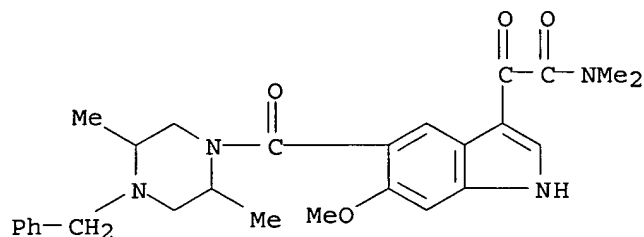
CN Piperazine, 1-[(4-fluorophenyl)methyl]-4-[[6-methoxy-3-[(4-methyl-1-piperazinyl)oxoacetyl]-1H-indol-5-yl]carbonyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 309914-96-3 CAPLUS

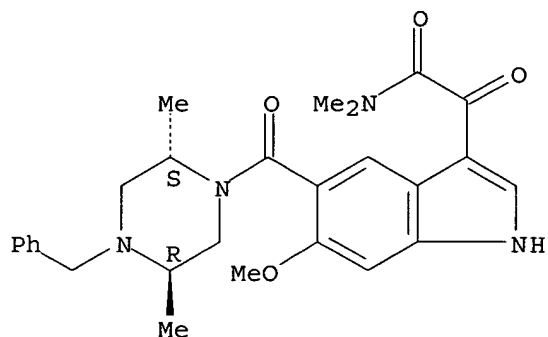
CN 1H-Indole-3-acetamide, 5-[[2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)



RN 309914-97-4 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)

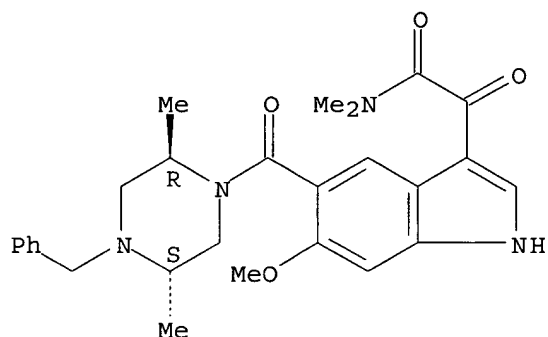
Absolute stereochemistry.



RN 309914-98-5 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)

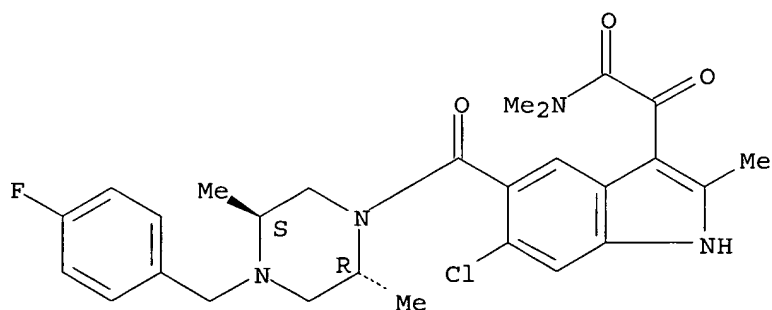
Absolute stereochemistry.



RN 309915-01-3 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,2-trimethyl- α -oxo-, rel- (9CI) (CA INDEX NAME)

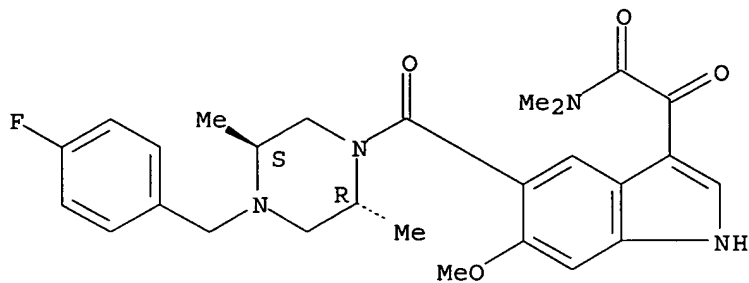
Relative stereochemistry.



RN 309915-02-4 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl- α -oxo-, rel- (9CI) (CA INDEX NAME)

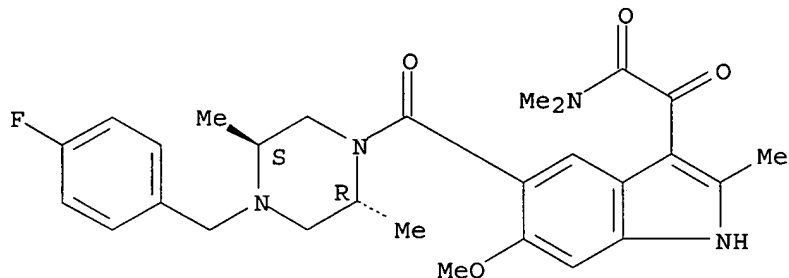
Relative stereochemistry.



RN 309915-04-6 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,2-trimethyl- α -oxo-, rel- (9CI)
(CA INDEX NAME)

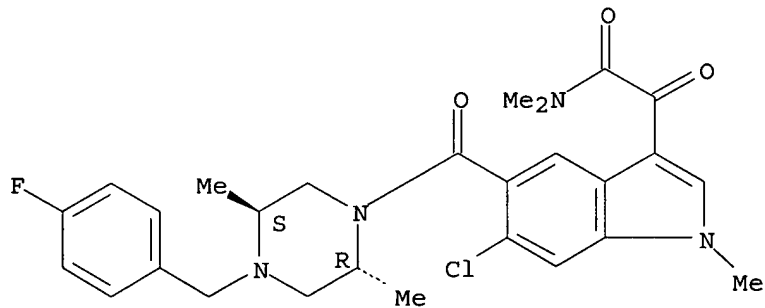
Relative stereochemistry.



RN 309915-12-6 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl- α -oxo-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

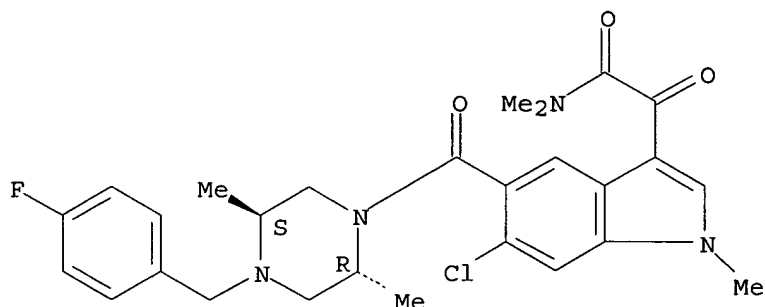


● x HCl

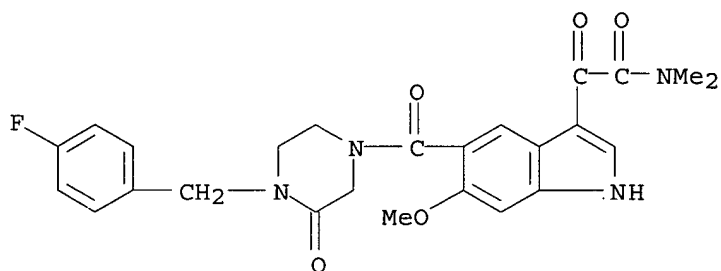
RN 309915-13-7 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl- α -oxo-, rel- (9CI)
(CA INDEX NAME)

Relative stereochemistry.



RN 309915-14-8 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[4-[(4-fluorophenyl)methyl]-3-oxo-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl- α -oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT